

STN Columbus

* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 Feb 24 PCTGEN now available on STN
NEWS 4 Feb 24 TEMA now available on STN
NEWS 5 Feb 26 NTIS now allows simultaneous left and right truncation
NEWS 6 Feb 26 PCTFULL now contains images
NEWS 7 Mar 04 SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 8 Mar 24 PATDPAFULL now available on STN
NEWS 9 Mar 24 Additional information for trade-named substances without
structures available in REGISTRY
NEWS 10 Apr 11 Display formats in DGENE enhanced
NEWS 11 Apr 14 MEDLINE Reload
NEWS 12 Apr 17 Polymer searching in REGISTRY enhanced
NEWS 13 Jun 13 Indexing from 1947 to 1956 added to records in CA/CAPLUS
NEWS 14 Apr 21 New current-awareness alert (SDI) frequency in
WPIDS/WPINDEX/WPIX
NEWS 15 Apr 28 RDISCLOSURE now available on STN
NEWS 16 May 05 Pharmacokinetic information and systematic chemical names
added to PHAR
NEWS 17 May 15 MEDLINE file segment of TOXCENTER reloaded
NEWS 18 May 15 Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 19 May 19 Simultaneous left and right truncation added to WSCA
NEWS 20 May 19 RAPRA enhanced with new search field, simultaneous left and
right truncation
NEWS 21 Jun 06 Simultaneous left and right truncation added to CBNB
NEWS 22 Jun 06 PASCAL enhanced with additional data
NEWS 23 Jun 20 2003 edition of the FSTA Thesaurus is now available
NEWS 24 Jun 25 HSDB has been reloaded
NEWS 25 Jul 16 Data from 1960-1976 added to RDISCLOSURE
NEWS 26 Jul 21 Identification of STN records implemented
NEWS 27 Jul 21 Polymer class term count added to REGISTRY
NEWS 28 Jul 22 INPADOC: Basic index (/BI) enhanced; Simultaneous Left and
Right Truncation available

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS INTER General Internet Information
NEWS LOGIN Welcome Banner and News Items
NEWS PHONE Direct Dial and Telecommunication Network Access to STN
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that
specific topic.

All use of STN is subject to the provisions of the STN Customer
agreement. Please note that this agreement limits use to scientific
research. Use for software development or design or implementation
of commercial gateways or other similar uses is prohibited and may
result in loss of user privileges and other penalties.

* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 17:42:48 ON 27 JUL 2003

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=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.63

0.63

FILE 'REGISTRY' ENTERED AT 17:44:18 ON 27 JUL 2003

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 25 JUL 2003 HIGHEST RN 555152-78-8

DICTIONARY FILE UPDATES: 25 JUL 2003 HIGHEST RN 555152-78-8

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

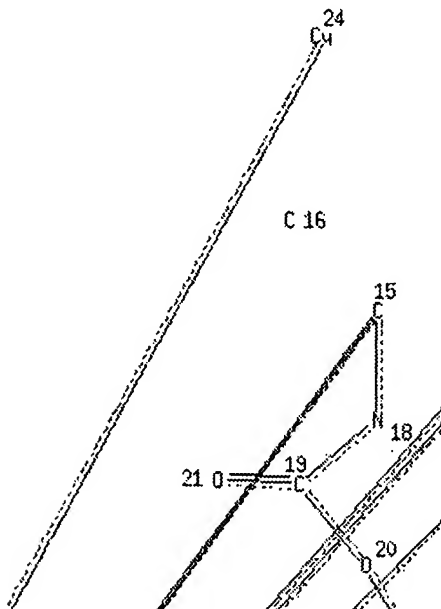
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L1 STRUCTURE UPLOADED

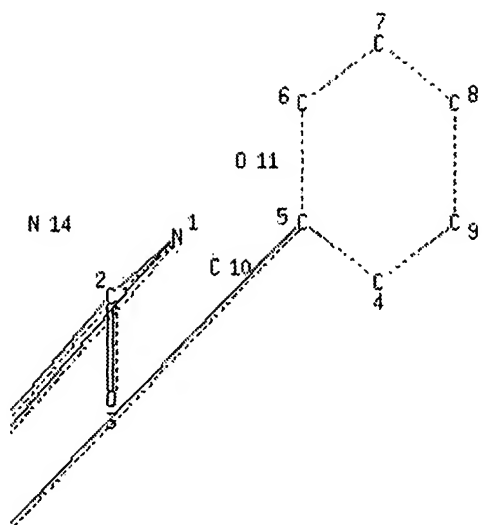
=> d l1

L1 HAS NO ANSWERS

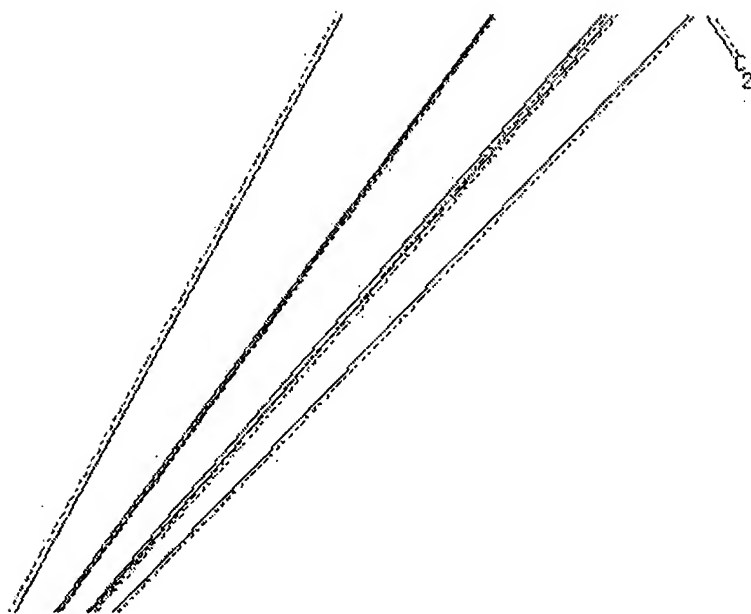
L1 STR



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Page 1-B

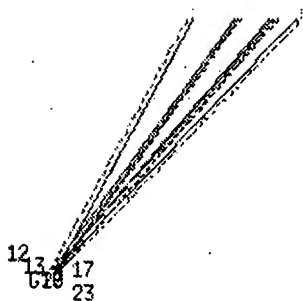


Page 2-A

2

Page 2-B

STN Columbus



Page 3-A

REP G17=(0-6) 16-15 16-24

REP G18=(0-3) 14-2 14-15

REP G19=(0-1) 11-5 11-12

REP G20=(0-6) 1-1 3-13

NODE ATTRIBUTES:

NSPEC	IS C	AT	1
NSPEC	IS C	AT	2
NSPEC	IS C	AT	3
NSPEC	IS R	AT	4
NSPEC	IS R	AT	5
NSPEC	IS R	AT	6
NSPEC	IS R	AT	7
NSPEC	IS R	AT	8
NSPEC	IS R	AT	9
NSPEC	IS C	AT	10
NSPEC	IS C	AT	11
NSPEC	IS C	AT	12
NSPEC	IS C	AT	13
NSPEC	IS C	AT	14
NSPEC	IS C	AT	15
NSPEC	IS C	AT	16
NSPEC	IS C	AT	17
NSPEC	IS C	AT	18
NSPEC	IS C	AT	19
NSPEC	IS C	AT	20
NSPEC	IS C	AT	21
NSPEC	IS C	AT	22
NSPEC	IS C	AT	23
NSPEC	IS C	AT	24

DEFAULT MLEVEL IS ATOM

MLEVEL IS CLASS AT 1 2 3 10 11 14 15 16 18 19 20 21 22

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 24

STEREO ATTRIBUTES: NONE

=> s 11

SAMPLE SEARCH INITIATED 17:52:23 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - >1,000,000 TO ITERATE

< 0.1% PROCESSED 1000 ITERATIONS

50 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

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FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
 BATCH **INCOMPLETE**
 PROJECTED ITERATIONS: EXCEEDS 1000000
 PROJECTED ANSWERS: EXCEEDS 1000000

L2 50 SEA SSS SAM L1

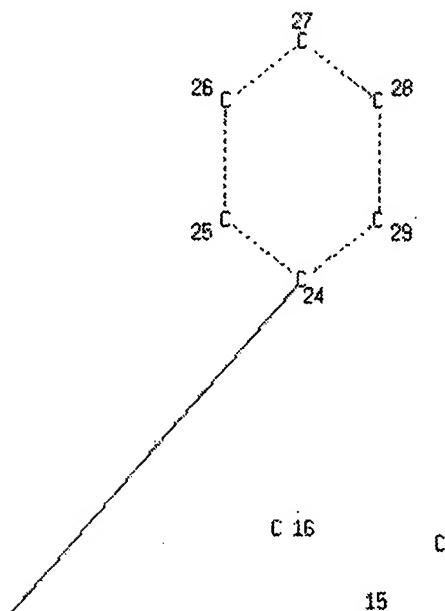
=>

L3 STRUCTURE UPLOADED

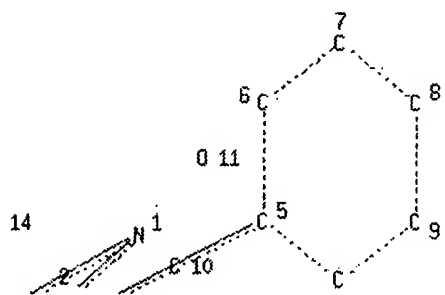
=> d 13

L3 HAS NO ANSWERS

L3 STR

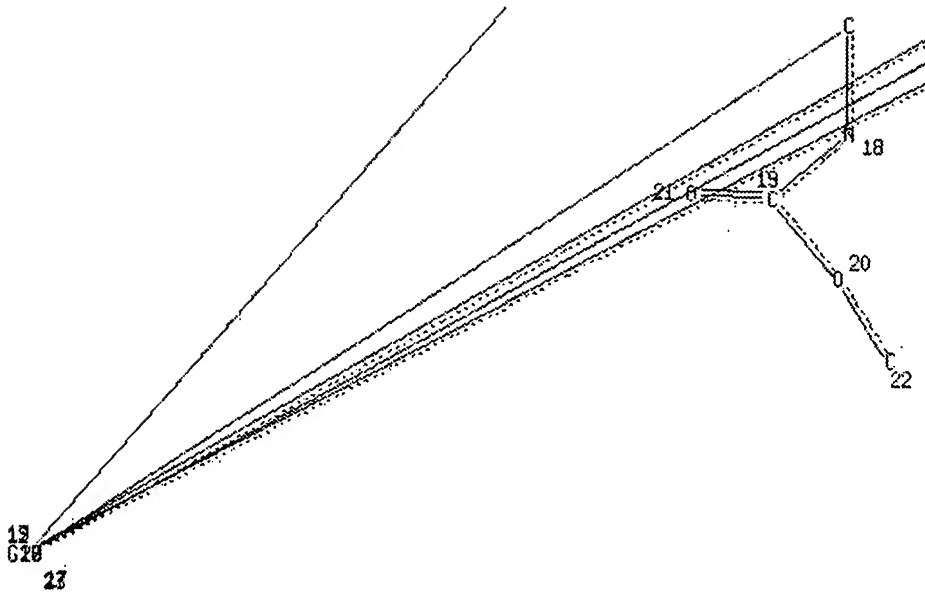


Page 1-A

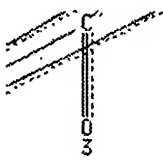


Page 1-B

STN Columbus



Page 2-A



4

Page 2-B

REP G17=(0-6) 16-15 16-24

REP G18=(0-3) 14-2 14-15

REP G19=(0-1) 11-5 11-12

REP G20=(0-6) 1-1 3-13

NODE ATTRIBUTES:

NSPEC	IS C	AT	1
NSPEC	IS C	AT	2
NSPEC	IS C	AT	3
NSPEC	IS R	AT	4
NSPEC	IS R	AT	5
NSPEC	IS R	AT	6
NSPEC	IS R	AT	7
NSPEC	IS R	AT	8
NSPEC	IS R	AT	9
NSPEC	IS C	AT	10
NSPEC	IS C	AT	11
NSPEC	IS C	AT	12
NSPEC	IS C	AT	13
NSPEC	IS C	AT	14
NSPEC	IS C	AT	15
NSPEC	IS C	AT	16
NSPEC	IS C	AT	17
NSPEC	IS C	AT	18
NSPEC	IS C	AT	19
NSPEC	IS C	AT	20
NSPEC	IS C	AT	21
NSPEC	IS C	AT	22

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NSPEC IS C AT 23
NSPEC IS R AT 24
NSPEC IS R AT 25
NSPEC IS R AT 26
NSPEC IS R AT 27
NSPEC IS R AT 28
NSPEC IS R AT 29
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 1 2 3 10 11 14 15 16 18 19 20 21 22
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 29

STEREO ATTRIBUTES: NONE

=> s 13
SAMPLE SEARCH INITIATED 17:54:07 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - >1,000,000 TO ITERATE

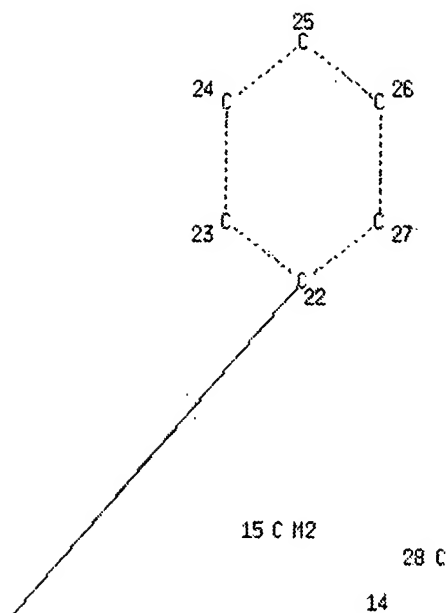
< 0.1% PROCESSED 1000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: EXCEEDS 1000000
PROJECTED ANSWERS: EXCEEDS 1000000

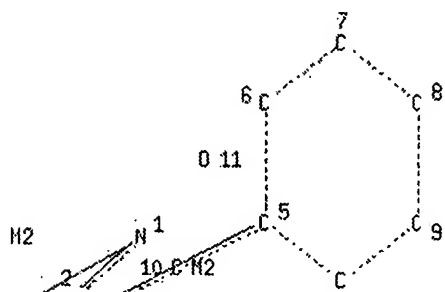
L4 50 SEA SSS SAM L3

=>
L5 STRUCTURE UPLOADED

=> d 15
L5 HAS NO ANSWERS
L5 STR

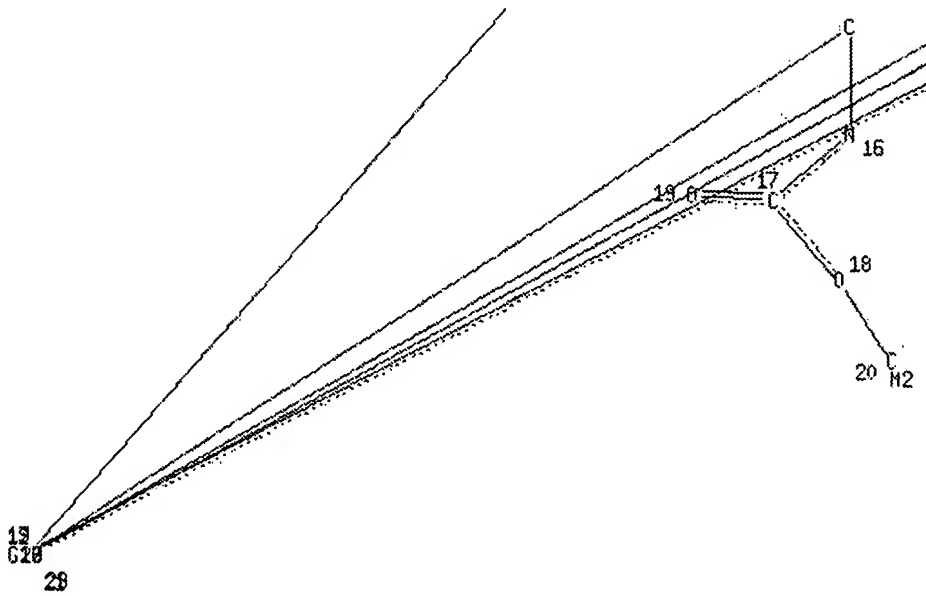


Page 1-A

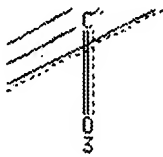


Page 1-B

STN Columbus



Page 2-A



4

Page 2-B

REP G17=(0-1) 28-14 28-2
 REP G18=(0-6) 15-14 15-22
 REP G19=(0-1) 11-5 11-12
 REP G20=(0-6) 0-1 0-13

NODE ATTRIBUTES:

HCOUNT	IS M2	AT	10
HCOUNT	IS M2	AT	15
HCOUNT	IS M2	AT	20
HCOUNT	IS M2	AT	28
NSPEC	IS C	AT	1
NSPEC	IS C	AT	2
NSPEC	IS C	AT	3
NSPEC	IS R	AT	4
NSPEC	IS R	AT	5
NSPEC	IS R	AT	6
NSPEC	IS R	AT	7
NSPEC	IS R	AT	8
NSPEC	IS R	AT	9
NSPEC	IS C	AT	10
NSPEC	IS C	AT	11
NSPEC	IS C	AT	12
NSPEC	IS C	AT	13
NSPEC	IS C	AT	14
NSPEC	IS C	AT	15
NSPEC	IS C	AT	16
NSPEC	IS C	AT	17
NSPEC	IS C	AT	18

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```

NSPEC   IS C      AT 19
NSPEC   IS C      AT 20
NSPEC   IS C      AT 21
NSPEC   IS R      AT 22
NSPEC   IS R      AT 23
NSPEC   IS R      AT 24
NSPEC   IS R      AT 25
NSPEC   IS R      AT 26
NSPEC   IS R      AT 27
NSPEC   IS C      AT 28
NSPEC   IS C      AT 29
DEFAULT MLEVEL IS ATOM
MLEVEL  IS CLASS AT 1 2 3 10 11 14 15 16 17 18 19 20 28
DEFAULT ECLEVEL IS LIMITED

```

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 29

STEREO ATTRIBUTES: NONE

=> s 15

SEARCH FAILED DUE TO A STRUCTURE QUERY ERROR

The structure query could not be searched. Please review and revise your structure query, especially checking the variable definitions and attachments. In rare instances the failure may be due to a system problem. Please contact your local STN Help Desk if you need assistance.

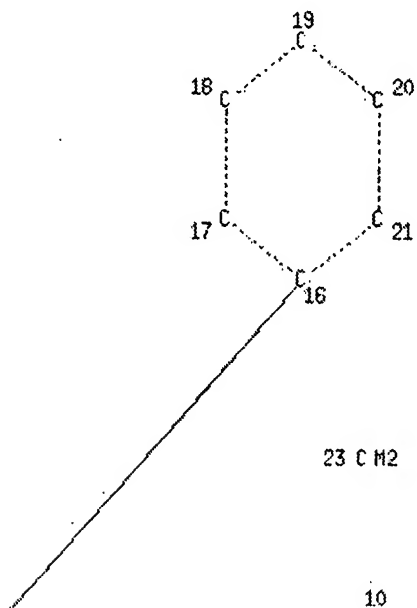
=>

L6 STRUCTURE UPLOADED

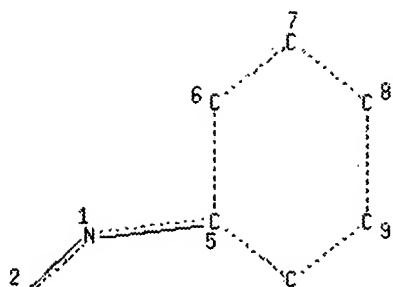
=> d 16

L6 HAS NO ANSWERS

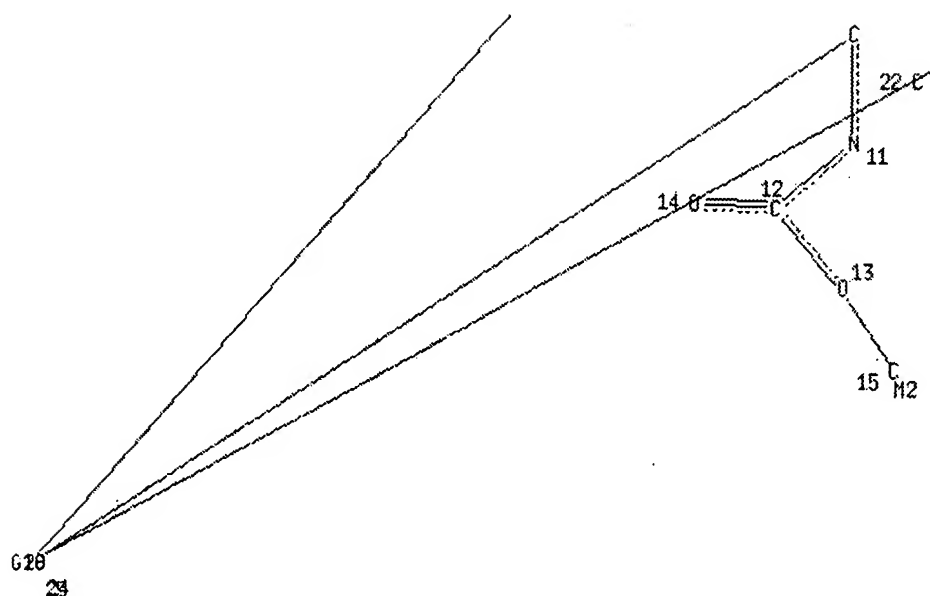
L6 STR



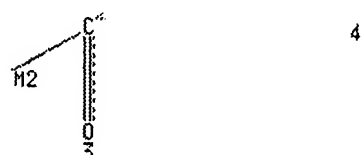
STN Columbus



Page 1-B



Page 2-A



Page 2-B

REP G19=(0-1) 23-16 23-10

REP G20=(0-1) 22-10 22-2

NODE ATTRIBUTES:

HCOUNT	IS	M2	AT	15
HCOUNT	IS	M2	AT	22
HCOUNT	IS	M2	AT	23
NSPEC	IS	C	AT	1
NSPEC	IS	C	AT	2
NSPEC	IS	C	AT	3
NSPEC	IS	R	AT	4
NSPEC	IS	R	AT	5
NSPEC	IS	R	AT	6
NSPEC	IS	R	AT	7

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```

NSPEC  IS R      AT   8
NSPEC  IS R      AT   9
NSPEC  IS C      AT  10
NSPEC  IS C      AT  11
NSPEC  IS C      AT  12
NSPEC  IS C      AT  13
NSPEC  IS C      AT  14
NSPEC  IS C      AT  15
NSPEC  IS R      AT  16
NSPEC  IS R      AT  17
NSPEC  IS R      AT  18
NSPEC  IS R      AT  19
NSPEC  IS R      AT  20
NSPEC  IS R      AT  21
NSPEC  IS C      AT  22
NSPEC  IS C      AT  23
NSPEC  IS C      AT  24
NSPEC  IS C      AT  25
DEFAULT MLEVEL IS ATOM
MLEVEL  IS CLASS AT   1  2  3 10 11 12 13 14 15 22 23
DEFAULT ECLEVEL IS LIMITED

```

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 25

STEREO ATTRIBUTES: NONE

```

=> s 16
SAMPLE SEARCH INITIATED 18:02:15 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 657 TO ITERATE

```

```

100.0% PROCESSED      657 ITERATIONS          13 ANSWERS
SEARCH TIME: 00.00.01

```

```

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:   11603 TO   14677
PROJECTED ANSWERS:      44 TO     476

```

L7 13 SEA SSS SAM L6

```

=> search 16
ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:.
ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:full
FULL SEARCH INITIATED 18:02:28 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 15041 TO ITERATE

```

```

100.0% PROCESSED      15041 ITERATIONS        297 ANSWERS
SEARCH TIME: 00.00.01

```

L8 297 SEA SSS FUL L6

=> d 18 1-40

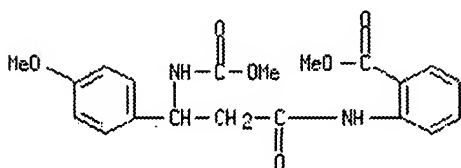
```

L8  ANSWER 1 OF 297  REGISTRY  COPYRIGHT 2003 ACS on STN
RN  553642-67-4  REGISTRY
CN  INDEX NAME NOT YET ASSIGNED
FS  3D CONCORD
MF  C20 H22 N2 O6
SR  CA

```

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LC STN Files: CAPLUS

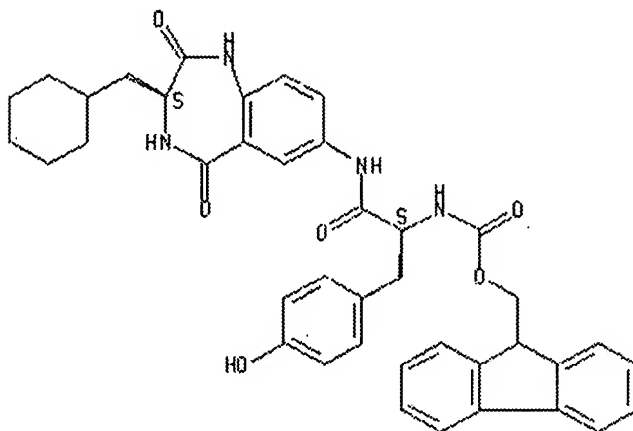


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L8 ANSWER 2 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
 RN 528603-58-9 REGISTRY
 CN Carbamic acid, [(1S)-2-[[[(3S)-3-(cyclohexylmethyl)-2,3,4,5-tetrahydro-2,5-dioxo-1H-1,4-benzodiazepin-7-yl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C40 H40 N4 O6
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry. Rotation (+).



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1947 TO DATE)

1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

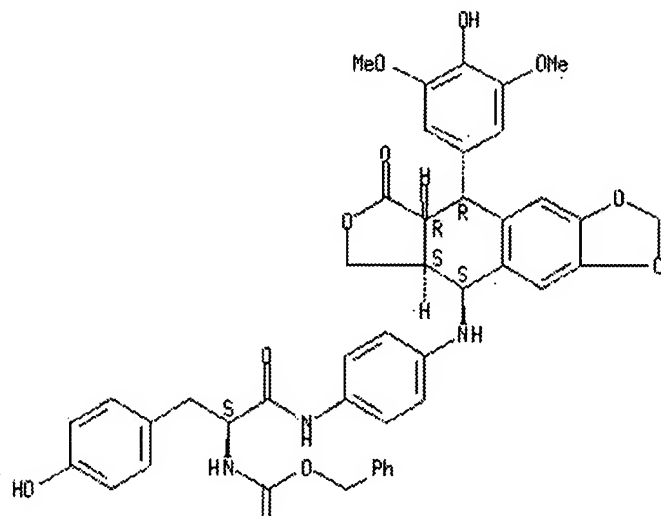
L8 ANSWER 3 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
 RN 527678-04-2 REGISTRY
 CN Carbamic acid, [(1S)-2-[[[4-[[[(5S,5aS,8aR,9R)-5,5a,6,8,8a,9-hexahydro-9-(4-hydroxy-3,5-dimethoxyphenyl)-8-oxofuro[3',4':6,7]naphtho[2,3-d]-1,3-dioxol-5-yl]amino]phenyl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

STN Columbus

FS STEREOSEARCH
MF C44 H41 N3 O11
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry. Rotation (-).

PAGE 1-A



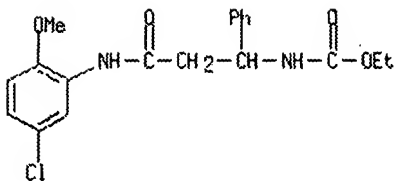
PAGE 2-A

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1947 TO DATE)
1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L8 ANSWER 4 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
RN 508186-94-5 REGISTRY
CN Carbamic acid, [3-[(5-chloro-2-methoxyphenyl)amino]-3-oxo-1-phenylpropyl]-
ethyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C19 H21 Cl N2 O4
SR Chemical Library
LC STN Files: CHEMCATS

STN Columbus



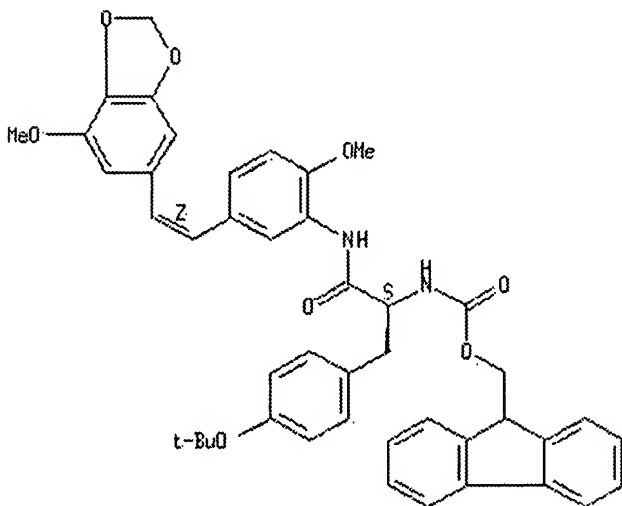
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

```

L8  ANSWER 5 OF 297  REGISTRY  COPYRIGHT 2003 ACS on STN
RN  501034-12-4  REGISTRY
CN  Carbamic acid, [(1S)-1-[[4-(1,1-dimethylethoxy)phenyl]methyl]-2-[[2-
methoxy-5-[(1Z)-2-(7-methoxy-1,3-benzodioxol-5-yl)ethenyl]phenyl]amino]-2-
oxoethyl]-, 9H-fluoren-9-ylmethyl ester (9CI)  (CA INDEX NAME)
FS  STEREOSEARCH
MF  C45 H44 N2 O8
SR  CA
LC  STN Files:  CA, CAPLUS, CASREACT, TOXCENTER

```

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

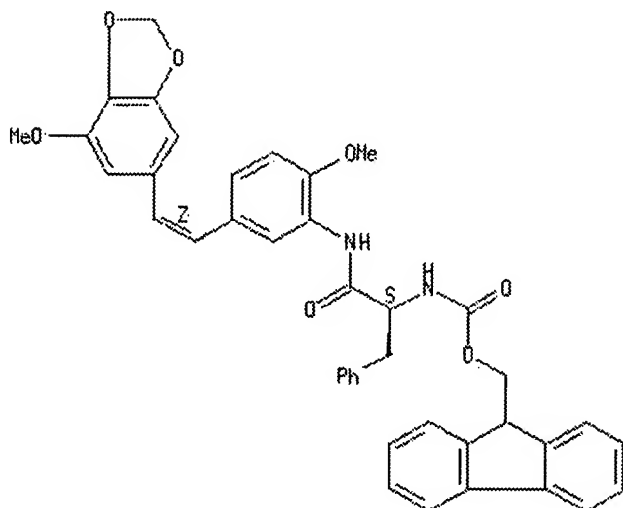
1 REFERENCES IN FILE CA (1947 TO DATE)
1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

```
L8 ANSWER 6 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
RN 501034-09-9 REGISTRY
CN Carbamic acid, [(1S)-2-[[2-methoxy-5-[(1Z)-2-(7-methoxy-1,3-benzodioxol-5-yl)ethenyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-,
9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C41 H36 N2 O7
```

STN Columbus

SR CA
LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



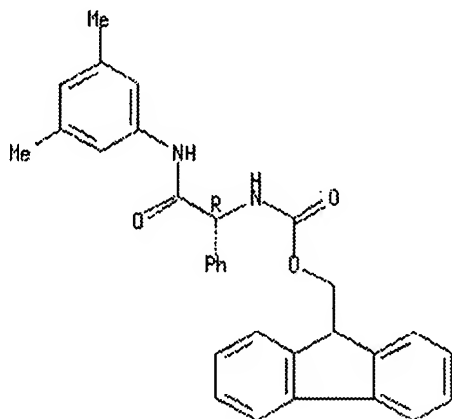
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1947 TO DATE)
1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L8 ANSWER 7 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
RN 499782-31-9 REGISTRY
CN Carbamic acid, [(1R)-2-[(3,5-dimethylphenyl)amino]-2-oxo-1-phenylethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C31 H28 N2 O3
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

STN Columbus

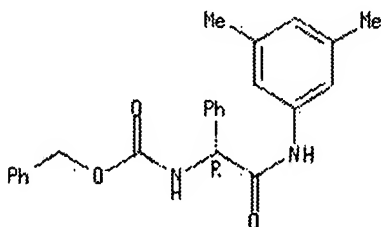


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1947 TO DATE)
1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L8 ANSWER 8 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
RN 499782-30-8 REGISTRY
CN Carbamic acid, [(1R)-2-[(3,5-dimethylphenyl)amino]-2-oxo-1-phenylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C24 H24 N2 O3
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



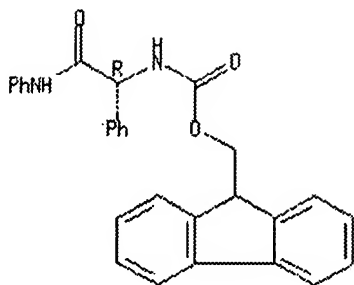
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1947 TO DATE)
1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L8 ANSWER 9 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
RN 499782-29-5 REGISTRY
CN Carbamic acid, [(1R)-2-oxo-1-phenyl-2-(phenylamino)ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H24 N2 O3
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

STN Columbus



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1947 TO DATE)

1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L8 ANSWER 10 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN

RN 499782-28-4 REGISTRY

CN Carbamic acid, [(1S)-2-[(3,5-dimethylphenyl)amino]-2-oxo-1-phenylethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

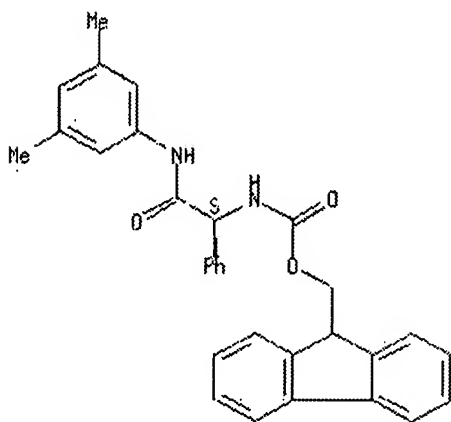
FS STEREOSEARCH

MF C31 H28 N2 O3

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1947 TO DATE)

1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L8 ANSWER 11 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN

RN 499782-27-3 REGISTRY

CN Carbamic acid, [(1S)-2-[(3,5-dimethylphenyl)amino]-2-oxo-1-phenylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

FS STEREOSEARCH

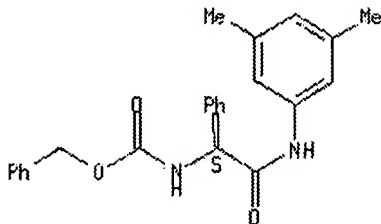
MF C24 H24 N2 O3

SR CA

LC STN Files: CA, CAPLUS

STN Columbus

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1947 TO DATE)

1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L8 ANSWER 12 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN

RN 499782-24-0 REGISTRY

CN Carbamic acid, [(1S)-2-oxo-1-phenyl-2-(phenylamino)ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

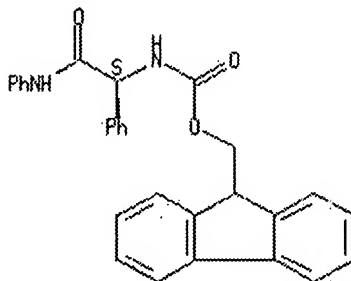
FS STEREOSEARCH

MF C29 H24 N2 O3

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1947 TO DATE)

1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L8 ANSWER 13 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN

RN 499782-23-9 REGISTRY

CN Carbamic acid, [(1S)-2-oxo-1-phenyl-2-(phenylamino)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

FS STEREOSEARCH

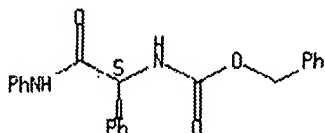
MF C22 H20 N2 O3

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

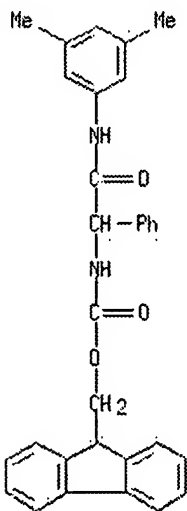
STN Columbus



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1947 TO DATE)
1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L8 ANSWER 14 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
RN 499782-21-7 REGISTRY
CN Carbamic acid, [2-[(3,5-dimethylphenyl)amino]-2-oxo-1-phenylethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C31 H28 N2 O3
SR CA
LC STN Files: CA, CAPLUS

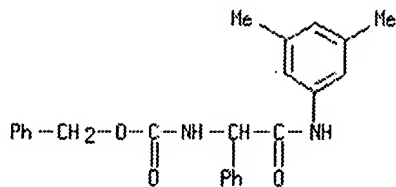


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1947 TO DATE)
1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L8 ANSWER 15 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
RN 499782-20-6 REGISTRY
CN Carbamic acid, [2-[(3,5-dimethylphenyl)amino]-2-oxo-1-phenylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C24 H24 N2 O3
SR CA
LC STN Files: CA, CAPLUS

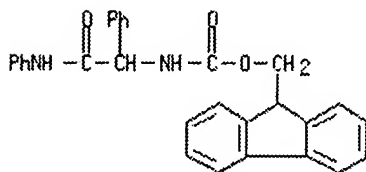
STN Columbus



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1947 TO DATE)
1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

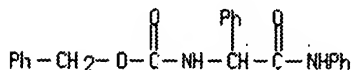
L8 ANSWER 16 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
RN 499782-18-2 REGISTRY
CN Carbamic acid, [2-oxo-1-phenyl-2-(phenylamino)ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C29 H24 N2 O3
SR CA
LC STN Files: CA, CAPLUS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1947 TO DATE)
1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L8 ANSWER 17 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
RN 499782-17-1 REGISTRY
CN Carbamic acid, [2-oxo-1-phenyl-2-(phenylamino)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C22 H20 N2 O3
SR CA
LC STN Files: CA, CAPLUS



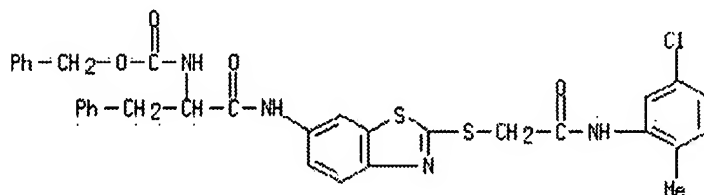
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1947 TO DATE)
1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L8 ANSWER 18 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN

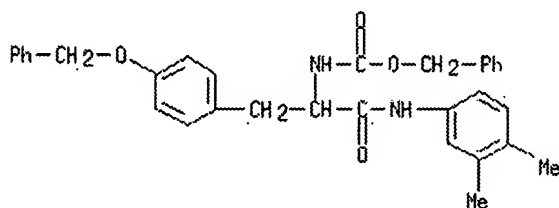
STN Columbus

RN 499772-58-6 REGISTRY
 CN Carbamic acid, [2-[[2-[[2-[(5-chloro-2-methylphenyl)amino]-2-oxoethyl]thio]-6-benzothiazolyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)
 FS 3D CONCORD
 MF C33 H29 Cl N4 O4 S2
 SR Chemical Library
 LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

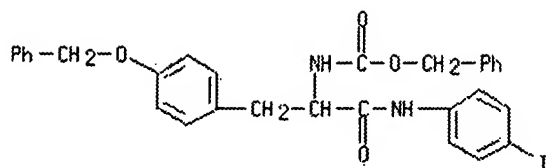
L8 ANSWER 19 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
 RN 497820-32-3 REGISTRY
 CN Carbamic acid, [2-[(3,4-dimethylphenyl)amino]-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)
 FS 3D CONCORD
 MF C32 H32 N2 O4
 SR Chemical Library
 LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

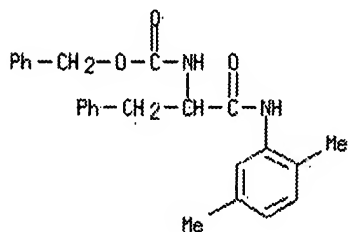
L8 ANSWER 20 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
 RN 497820-27-6 REGISTRY
 CN Carbamic acid, [2-[(4-iodophenyl)amino]-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)
 FS 3D CONCORD
 MF C30 H27 I N2 O4
 SR Chemical Library
 LC STN Files: CHEMCATS

STN Columbus



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

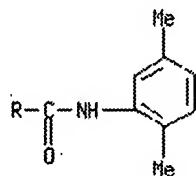
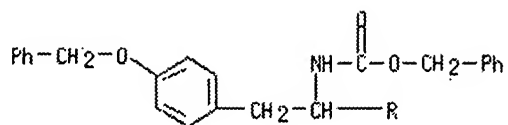
L8 ANSWER 21 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
 RN 496789-84-5 REGISTRY
 CN Carbamic acid, [2-[(2,5-dimethylphenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)
 FS 3D CONCORD
 MF C25 H26 N2 O3
 SR Chemical Library
 LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

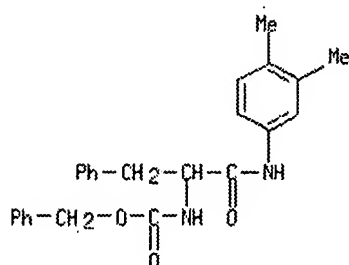
L8 ANSWER 22 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
 RN 496789-83-4 REGISTRY
 CN Carbamic acid, [2-[(2,5-dimethylphenyl)amino]-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)
 FS 3D CONCORD
 MF C32 H32 N2 O4
 SR Chemical Library
 LC STN Files: CHEMCATS

STN Columbus



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

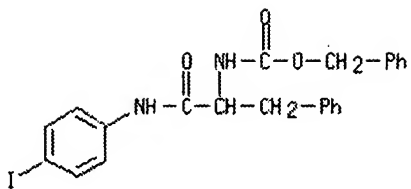
L8 ANSWER 23 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
 RN 485828-44-2 REGISTRY
 CN Carbamic acid, [2-[(3,4-dimethylphenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-
 , phenylmethyl ester (9CI) (CA INDEX NAME)
 FS 3D CONCORD
 MF C25 H26 N2 O3
 SR Chemical Library
 LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 ANSWER 24 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
 RN 485828-42-0 REGISTRY
 CN Carbamic acid, [2-[(4-iodophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-,
 phenylmethyl ester (9CI) (CA INDEX NAME)
 FS 3D CONCORD
 MF C23 H21 I N2 O3
 SR Chemical Library
 LC STN Files: CHEMCATS

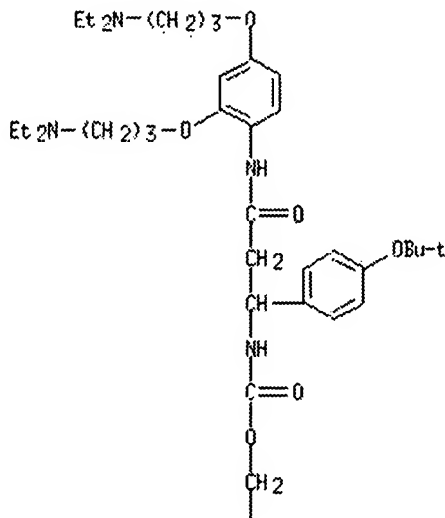
STN Columbus



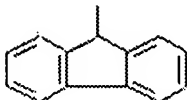
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 ANSWER 25 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
 RN 457060-98-9 REGISTRY
 CN Carbamic acid, [3-[[2,4-bis[3-(diethylamino)propoxy]phenyl]amino]-1-[4-(1,1-dimethylethoxy)phenyl]-3-oxopropyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)
 FS 3D CONCORD
 MF C48 H64 N4 O6
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PAGE 1-A



PAGE 2-A



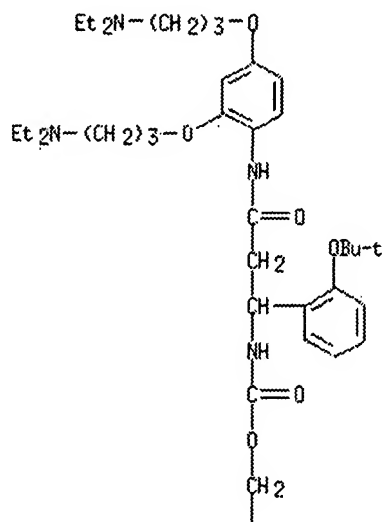
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1947 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

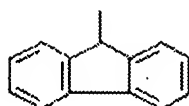
STN Columbus

L8 ANSWER 26 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
 RN 457060-59-2 REGISTRY
 CN Carbamic acid, [3-[[2,4-bis[3-(diethylamino)propoxy]phenyl]amino]-1-[2-(1,1-dimethylethoxy)phenyl]-3-oxopropyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)
 FS 3D CONCORD
 MF C48 H64 N4 O6
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PAGE 1-A



PAGE 2-A

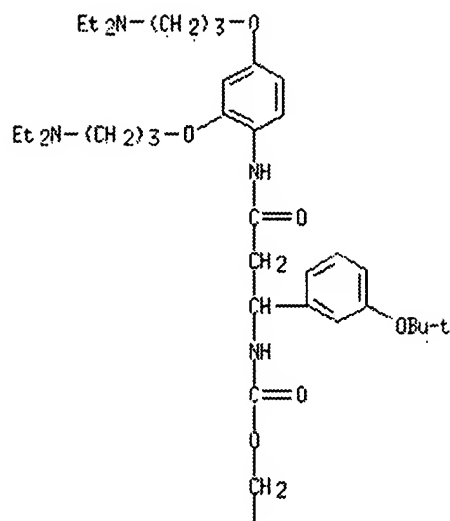


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

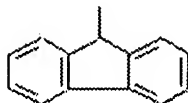
1 REFERENCES IN FILE CA (1947 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L8 ANSWER 27 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
 RN 457060-52-5 REGISTRY
 CN Carbamic acid, [3-[[2,4-bis[3-(diethylamino)propoxy]phenyl]amino]-1-[3-(1,1-dimethylethoxy)phenyl]-3-oxopropyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)
 FS 3D CONCORD
 MF C48 H64 N4 O6
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PAGE 1-A



PAGE 2-A



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1947 TO DATE)

1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L8 ANSWER 28 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN

RN 438056-03-2 REGISTRY

CN Carbamic acid, [(1R)-2-[[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

FS STEREOSEARCH

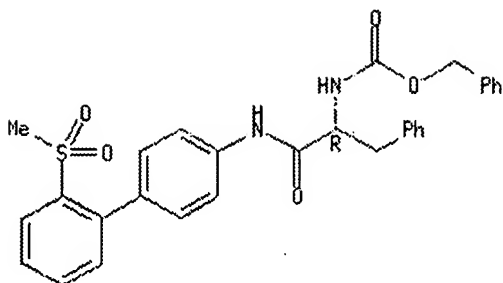
MF C30 H28 N2 O5 S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.

STN Columbus

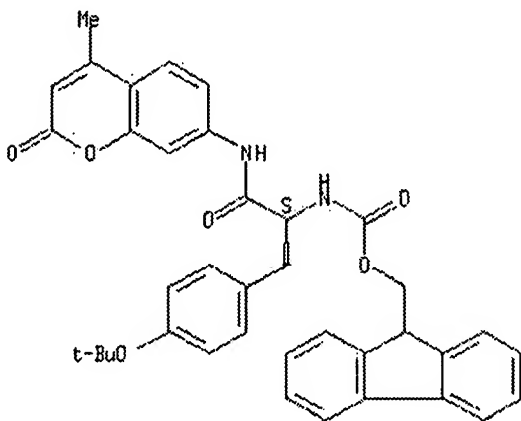


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1947 TO DATE)
1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L8 ANSWER 29 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
RN 422309-15-7 REGISTRY
CN Carbamic acid, [(1S)-1-[[4-(1,1-dimethylethoxy)phenyl]methyl]-2-[(4-methyl-2-oxo-2H-1-benzopyran-7-yl)amino]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C38 H36 N2 O6
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

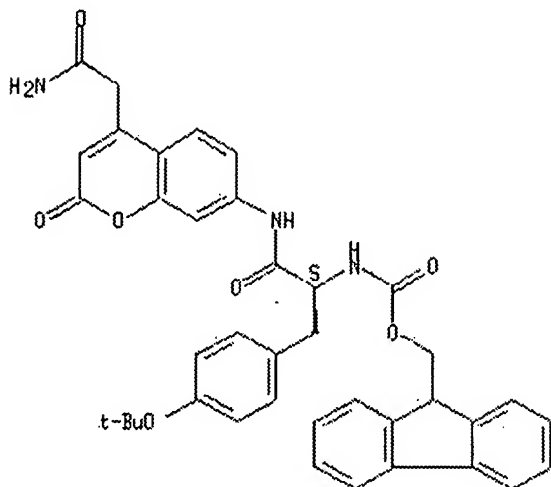
1 REFERENCES IN FILE CA (1947 TO DATE)
1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L8 ANSWER 30 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
RN 403519-12-0 REGISTRY
CN Carbamic acid, [(1S)-2-[[4-(2-amino-2-oxoethyl)-2-oxo-2H-1-benzopyran-7-yl]amino]-1-[[4-(1,1-dimethylethoxy)phenyl]methyl]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH

STN Columbus

MF C39 H37 N3 O7
 SR CA
 LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.



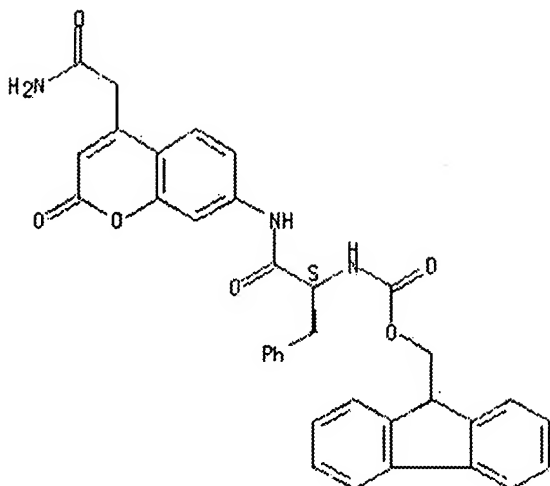
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1947 TO DATE)
 1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
 1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L8 ANSWER 31 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
 RN 403519-07-3 REGISTRY
 CN Carbamic acid, [(1S)-2-[[4-(2-amino-2-oxoethyl)-2-oxo-2H-1-benzopyran-7-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI)
 (CA INDEX NAME)
 FS STEREOSEARCH
 MF C35 H29 N3 O6
 SR CA
 LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.

STN Columbus

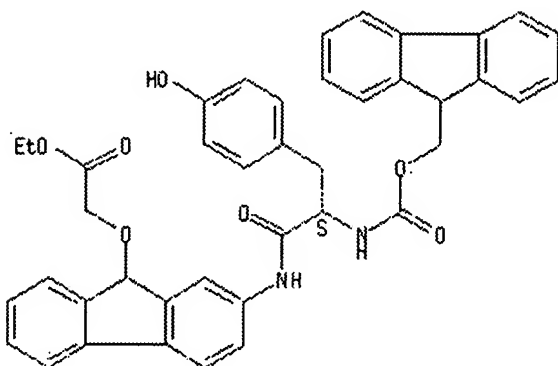


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1947 TO DATE)
 1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
 1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L8 ANSWER 32 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
 RN 401643-06-9 REGISTRY
 CN Acetic acid, [[2-[[[(2S)-2-[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-9H-fluoren-9-yl]oxy]-, ethyl ester (9CI)
 (CA INDEX NAME)
 FS STEREOSEARCH
 MF C41 H36 N2 O7
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

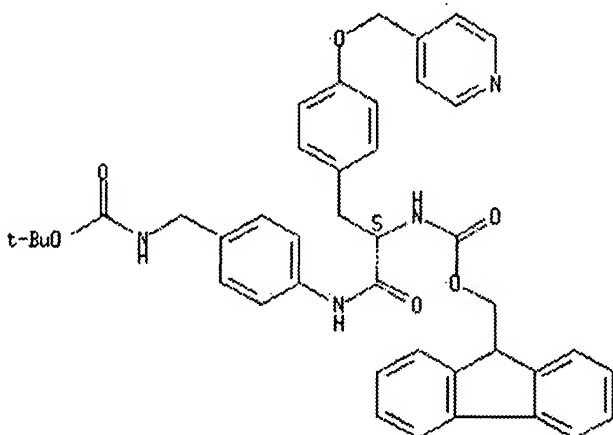
1 REFERENCES IN FILE CA (1947 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L8 ANSWER 33 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN

STN Columbus

RN 395062-80-3 REGISTRY
 CN Carbamic acid, [(1S)-2-[[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]amino]-2-oxo-1-[[4-(4-pyridinylmethoxy)phenyl]methyl]ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C42 H42 N4 O6
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

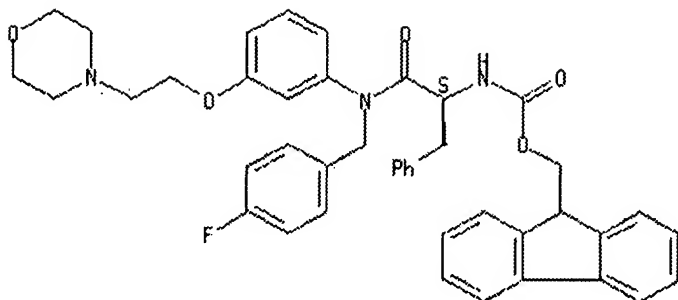
1 REFERENCES IN FILE CA (1947 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L8 ANSWER 34 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
 RN 373826-30-3 REGISTRY
 CN Carbamic acid, [(1S)-2-[[4-(4-fluorophenyl)methyl][3-[2-(4-morpholinyl)ethoxy]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, 9H-fluoren-9-ylmethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C43 H42 F N3 O5 . C2 H F3 O2
 SR CA
 LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

CM 1

CRN 373826-29-0
 CMF C43 H42 F N3 O5

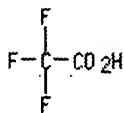
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



1 REFERENCES IN FILE CA (1947 TO DATE)

1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L8 ANSWER 35 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN

RN 373826-29-0 REGISTRY

CN Carbamic acid, [(1S)-2-[[[4-fluorophenyl)methyl][3-[2-(4-morpholinyl)ethoxy]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

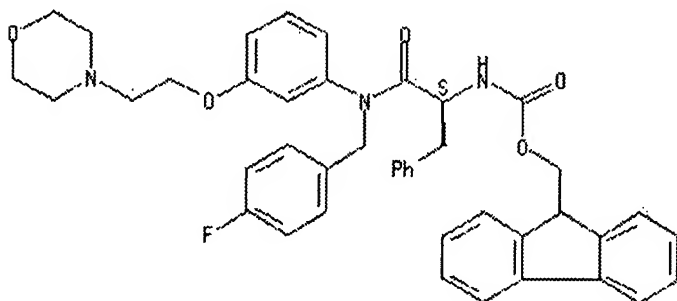
FS STEREOSEARCH

MF C43 H42 F N3 O5

CI COM

SR CA

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 ANSWER 36 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN

RN 352621-27-3 REGISTRY

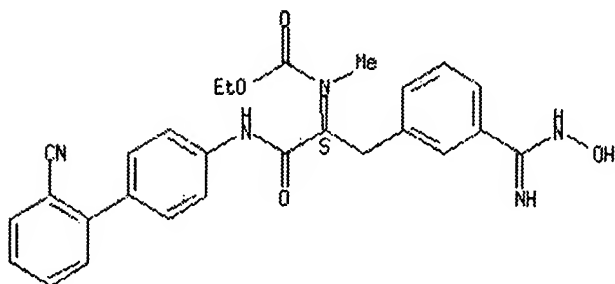
STN Columbus

CN Carbamic acid, [(1S)-2-[(2'-cyano[1,1'-biphenyl]-4-yl)amino]-1-[[3-[(hydroxyamino)iminomethyl]phenyl]methyl]-2-oxoethyl]methyl-, ethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C27 H27 N5 O4 . C2 H F3 O2
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL

CM 1

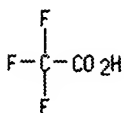
CRN 352621-26-2
 CMF C27 H27 N5 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1
 CMF C2 H F3 O2

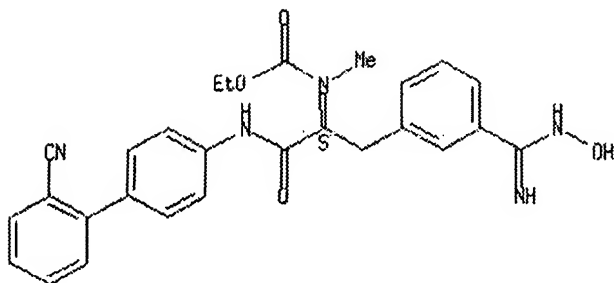


1 REFERENCES IN FILE CA (1947 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L8 ANSWER 37 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
 RN 352621-26-2 REGISTRY
 CN Carbamic acid, [(1S)-2-[(2'-cyano[1,1'-biphenyl]-4-yl)amino]-1-[[3-[(hydroxyamino)iminomethyl]phenyl]methyl]-2-oxoethyl]methyl-, ethyl ester (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C27 H27 N5 O4
 CI COM
 SR CA

Absolute stereochemistry.

STN Columbus



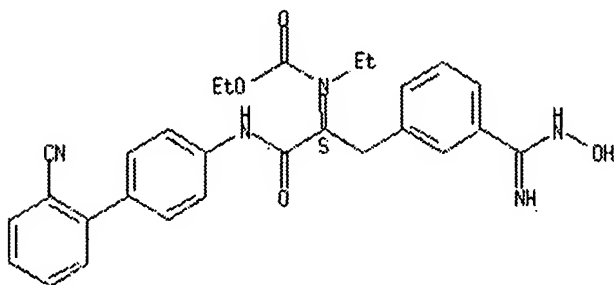
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 ANSWER 38 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
 RN 352621-23-9 REGISTRY
 CN Carbamic acid, [(1S)-2-[(2'-cyano[1,1'-biphenyl]-4-yl)amino]-1-[[3-[(hydroxyamino)iminomethyl]phenyl]methyl]-2-oxoethyl]ethyl-, ethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C28 H29 N5 O4 . C2 H F3 O2
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL

CM 1

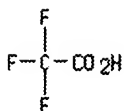
CRN 352621-22-8
 CMF C28 H29 N5 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1
 CMF C2 H F3 O2

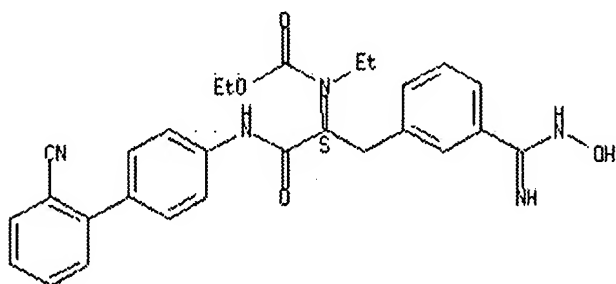


1 REFERENCES IN FILE CA (1947 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

STN Columbus

L8 ANSWER 39 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
 RN 352621-22-8 REGISTRY
 CN Carbamic acid, [(1S)-2-[(2'-cyano[1,1'-biphenyl]-4-yl)amino]-1-[[3-[(hydroxyamino)iminomethyl]phenyl)methyl]-2-oxoethyl]ethyl-, ethyl ester (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C28 H29 N5 O4
 CI COM
 SR CA

Absolute stereochemistry.



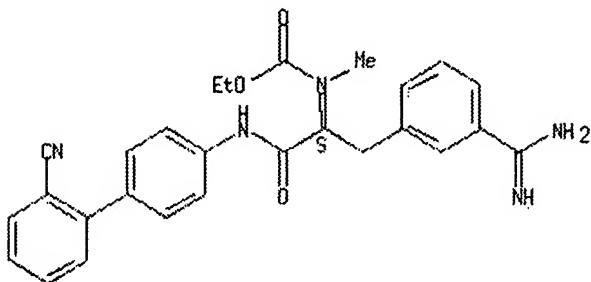
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 ANSWER 40 OF 297 REGISTRY COPYRIGHT 2003 ACS on STN
 RN 352620-69-0 REGISTRY
 CN Carbamic acid, [(1S)-1-[[3-(aminoiminomethyl)phenyl)methyl]-2-[(2'-cyano[1,1'-biphenyl]-4-yl)amino]-2-oxoethyl]methyl-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C27 H27 N5 O3 . C2 H F3 O2
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL

CM 1

CRN 352620-68-9
 CMF C27 H27 N5 O3

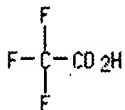
Absolute stereochemistry.



CM 2

STN Columbus

CRN 76-05-1
CMF C2 H F3 O2



1 REFERENCES IN FILE CA (1947 TO DATE)
1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

=> file caplus

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FULL ESTIMATED COST	227.75	228.38

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=> d his

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FILE 'REGISTRY' ENTERED AT 17:44:18 ON 27 JUL 2003

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L2	50 S L1
L3	STRUCTURE UPLOADED
L4	50 S L3
L5	STRUCTURE UPLOADED
L6	STRUCTURE UPLOADED
L7	13 S L6
L8	297 SEARCH L6 FULL

FILE 'CAPLUS' ENTERED AT 18:03:27 ON 27 JUL 2003

=> s 18

L9	175 L8
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STN Columbus

=> d 19 fbib ab hitstr 1-175

L9 ANSWER 1 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2003:512083 CAPLUS

TI Preparation of amino acid derivatives as probes for drug discovery

IN Mjalli, Adnan M. M.; Wysong, Chris; Baudry, Jerome; Yokum, Thomas Scott; Andrews, Rob; Banner, William K.

PA USA

SO U.S. Pat. Appl. Publ., 165 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003125315	A1	20030703	US 2002-120278	20020411
				US 2001-282759PP	20010410

AB Aspects of the invention include probes, methods, and systems that have stand-alone utility and may comprise features of a drug discovery system or method. An embodiment of the invention utilizes sets of probes and a new approach to computational chem. in a drug discovery method having increased focus in comparison to previously utilized combinatorial chem. The claims describe probes which comprise a framework, an input fragment, and a recognition element, e.g., R9R10CHCHR1R2-G2 [R1, R2 = alk(en)(yn)yl, cycloalkyl, heterocyclyl, aryl, heteroaryl, or H; or R1R2 = :O; R9 = alk(en)(yn)yl, cycloalkyl, heterocyclyl, aryl, heteroaryl, alkylaryl, alkylheteroaryl, or H; R10 = any group given for R9 except H or the side chain of a natural or non-natural α -amino acid in which any functional groups may be protected; G2 = -O-L15-R20 or -N(L16-R22)L17-R21, where L15, L16, and L17 are alk(en)(yn)ylene, cycloalk(en)ylene, arylene, heterocyclylene, heteroarylene, fused cycloalkylarylene, fused cycloalkylheteroarylene, fused heterocyclylarylene, fused heterocyclylheteroarylene, or a direct bond and R20, R21, and R22 are alk(en)(yn)yl, cycloalk(en)yl, heterocyclyl, heteroaryl, aryl, fused cycloalkylaryl, amino groups, H, etc.]. The synthesis of a thrombin inhibitory library is described. Probe 3-indazolecarboxylic acid [[$(\alpha$ -methylbenzyl)amino]carbonyl](4-piperidinyl)methylamide (claimed compd.) was prepd. from N-Fmoc-amino(N-Boc-4-piperidinyl)acetic acid (Fmoc = fluorenylmethoxycarbonyl, Boc = tert-butoxycarbonyl), methylbenzylamine, and 3-indazolecarboxylic acid and showed 40-74% inhibition of thrombin at 100 μ M.

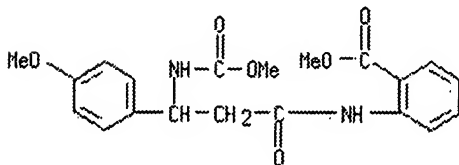
IT 553642-67-4P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of amino acid derivs. as probes for drug discovery)

RN 553642-67-4 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



L9 ANSWER 2 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

STN Columbus

Full Text

AN 2003:390845 CAPLUS

DN 138:385216

TI Preparation of etoposide amino acid analogs as DNA topoisomerase II inhibitors

IN Lee, Kuo-Hsiung; Xiao, Zhiyan; Bastow, Kenneth F.

PA The University of North Carolina At Chapel Hill, USA

SO U.S., 17 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6566393	B1	20030520	US 2002-177147	20020621
				US 2002-177147	20020621

OS MARPAT 138:385216

AB Etoposide amino acid analogs I (X = O, S, NH, CO, CH:N, CH₂NH; R₁ = covalent linkage between X and Y, alkyl, alkenyl, (un)substituted Ph; Y = NHCO, CONH; Z = CHR₂(CH₂)nR₃, R₂ = CO₂H, NH₂, ester, etc., R₃ = alkyl, alkenyl, aryl, n= 0-2; D = CH₂OC(O), CH₂OC(:CH₂), CH₂CH₂C(O), CH₂OCH₂, CH₂OC(S), CH₂O(SO₂)OCH₂, etc.) were prepd. as DNA topoisomerase II inhibitors. Thus, 4'-O-demethyl-4β-[4''-(methyl-L-tyrosine-N-carbonyl)anilino]-4-desoxy-podophyllotoxin (II) and 4'-O-demethyl-4β-[4''-(methyl-L-tryptophan-N-carbonyl)anilino]-4-desoxypodophyllotoxin were prepd. from podophyllotoxin and their pharmaceutical activity evaluated. The antitumor ED₅₀ of II against A 549 human cell line was 2.4 μM.

IT 527678-04-2P

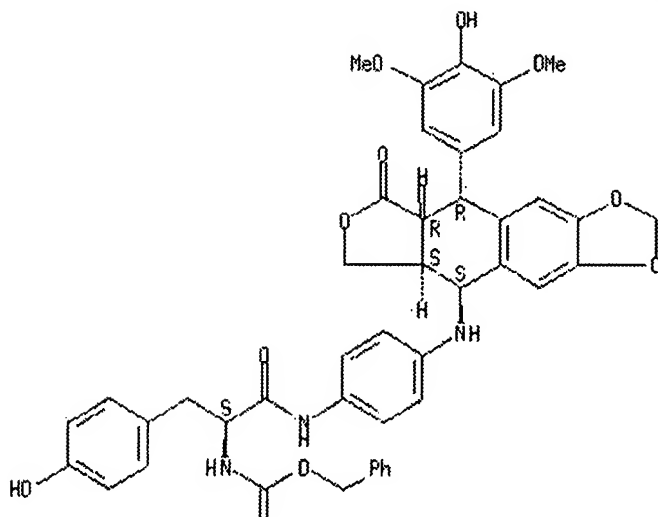
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of etoposide amino acid analogs as DNA topoisomerase II inhibitors)

RN 527678-04-2 CAPLUS

CN Carbamic acid, [(1S)-2-[[4-[[[(5S,5aS,8aR,9R)-5,5a,6,8,8a,9-hexahydro-9-(4-hydroxy-3,5-dimethoxyphenyl)-8-oxofuro[3',4':6,7]naphtho[2,3-d]-1,3-dioxol-5-yl]amino]phenyl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



U

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2003:242698 CAPLUS

DN 138:385412

TI Solid-Phase Synthesis of 7-Acylamino-1,4-benzodiazepine-2,5-diones

AU Ettmayer, Peter; Chloupek, Stefan; Weigand, Klaus

CS Novartis Forschungsinstitut, Vienna, A-1235, Austria

SO Journal of Combinatorial Chemistry (2003), 5(3), 253-259

CODEN: JCCHFF; ISSN: 1520-4766

PB American Chemical Society

DT Journal

LA English

AB A method for the synthesis of polymer-bound 7-acylamino-benzodiazepine-2,5-diones is described. The amino group of an α -amino acid is linked to polystyrene or TentaGel resin via reductive amination of polymer-bound 4-alkoxy-2,6-dimethoxybenzaldehyde. Acylation with unprotected 5-nitroanthranilic acid is followed by base-catalyzed ring closure. Redn. of the nitro group yields enantiomerically pure 7-aminobenzodiazepin-2,5-dione attached via the N-4 atom to the resin. Acylation of the amino group on the arom. ring with acid chlorides in N-methylpyrrolidone (no DMF, no base) followed by cleavage from the resin using TFA/Me₂S/water (90:5:5) provides the acylated benzodiazepinones in 52-69% (PS resin) and 41-48% (TG resin) yield (based on the theor. loading) and >70% purity (HPLC, 210 nm). Using Fmoc-protected tyrosine fluoride in NMP gives the amino acid-coupled benzodiazepinones in 24% (PS resin) and 31% (TG resin) yield.

IT 528603-58-9P

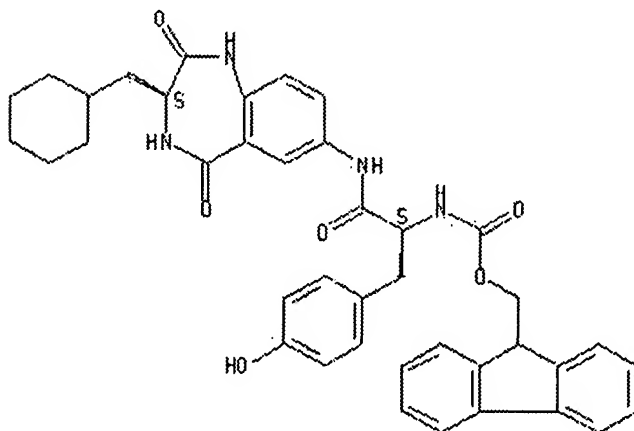
RL: SPN (Synthetic preparation); PREP (Preparation)

(solid-phase synthesis of 7-acylamino-1,4-benzodiazepine-2,5-diones)

STN Columbus

RN 528603-58-9 CAPLUS
 CN Carbamic acid, [(1S)-2-[[[(3S)-3-(cyclohexylmethyl)-2,3,4,5-tetrahydro-2,5-dioxo-1H-1,4-benzodiazepin-7-yl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2003:45408 CAPLUS

DN 138:233880

TI Fairly Marked Enantioselectivity for the Hydrolysis of Amino Acid Esters
 by Chemically Modified Enzymes

AU Yano, Yoshihiro; Shimada, Kenji; Okai, Jiro; Goto, Koichi; Matsumoto,
 Yoko; Ueoka, Ryuichi

CS Division of Applied Chemistry, Graduate School, Sojo University, Kumamoto,
 860-0082, Japan

SO Journal of Organic Chemistry (2003), 68(4), 1314-1318
 CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

OS CASREACT 138:233880

AB The hydrolysis (deacylation) of enantiomeric substrates by the chem.
 modified enzymes decanoyl- α -chymotrypsin and decanoyl-trypsin was
 studied. Reaction activity for decanoyl- α -chymotrypsin was lower
 than that for the native enzyme, although intriguingly the
 enantioselectivity was markedly enhanced as compared with the native
 enzyme. In particular, the apparently complete enantioselective catalysis
 was attained for the hydrolytic cleavage of p-nitrophenyl
 N-dodecanoyl-D(L)-phenylalaninates. The enhancement of
 enantioselectivity, however, was not obsd. for decanoyl-trypsin. These
 results suggest that the chem. modified α -chymotrypsin by addn. of
 hydrophobic groups has promoted enantioselectivity for the hydrolysis of
 hydrophobic esters.

IT 14235-15-5P 19647-71-3P

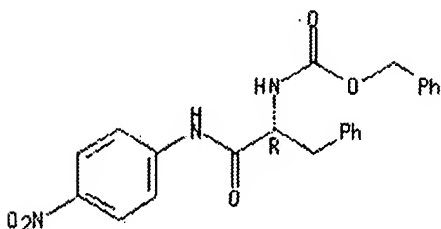
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation);
 BIOL (Biological study); PREP (Preparation)

(decanoyl- modified α -chymotrypsin exhibits enhanced
 enantioselectivity for hydrolysis of hydrophobic amino acid esters)

STN Columbus

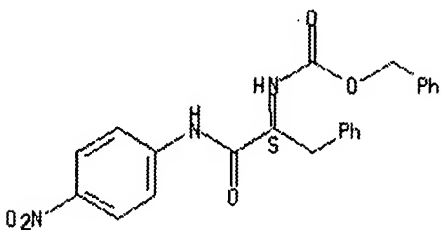
RN 14235-15-5 CAPLUS
 CN Carbamic acid, [(1R)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 19647-71-3 CAPLUS
 CN Carbamic acid, [(1S)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2003:20798 CAPLUS
 DN 138:221804
 TI Antineoplastic Agents. 487. Synthesis and Biological Evaluation of the Antineoplastic Agent 3,4-Methylenedioxy-5,4'-dimethoxy-3'-amino-Z-stilbene and Derived Amino Acid Amides
 AU Pettit, George R.; Anderson, Collin R.; Herald, Delbert L.; Jung, M. Katherine; Lee, Debbie J.; Hamel, Ernest; Pettit, Robin K.
 CS Cancer Research Institute and Department of Chemistry and Biochemistry, Arizona State University, Tempe, AZ, 85287-2404, USA
 SO Journal of Medicinal Chemistry (2003), 46(4), 525-531
 CODEN: JMCMAR; ISSN: 0022-2623
 PB American Chemical Society
 DT Journal
 LA English
 OS CASREACT 138:221804
 AB An efficient synthesis of 3,4-methylenedioxy-5,4'-dimethoxy-3'-amino-Z-stilbene, I (R = H), and its hydrochloride salt is reported. Nitrostilbene II was obtained via a Wittig reaction using phosphonium bromide III and 3-nitro-4-methoxybenzaldehyde. A one-step redn. of II using zinc in acetic acid produced I (R = H). The coupling of I (R = H) with various Fmoc amino acids (Cys, Gly, Phe, Ser, Trp, Tyr, Val), followed by cleavage of the α -amine protecting group, resulted in a series of new cancer cell growth inhibitory amides. I (R = H), its HCl

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salt, glycine amide I (R = COCH₂NH₂), and tyrosine amide I [R = COCH(CH₂C₆H₄OH-4)NH₂] had the highest level (GI₅₀ = 10⁻²-10⁻³ µg/mL) of activity against a panel of six human and one animal (P388) cancer cell lines. I (R = H) and its hydrochloride salt potently inhibited tubulin polymn. by binding at the colchicine site, while the amino acid amides had little activity against purified tubulin. Nevertheless, most of the amides caused a marked increase in the mitotic index of treated cells, indicating that tubulin was their intracellular target.

IT 501034-09-9P 501034-12-4P

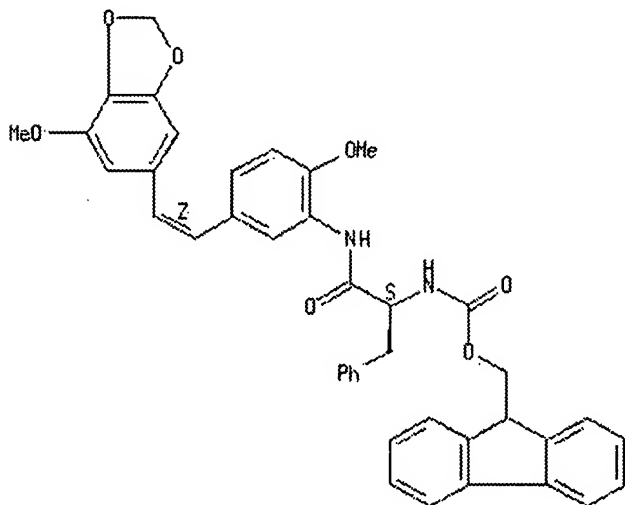
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and biol. evaluation of amino acid amides of Z-stilbene derivs. as antineoplastic and antimicrobial agents)

RN 501034-09-9 CAPLUS

CN Carbamic acid, [(1S)-2-[[2-methoxy-5-[(1Z)-2-(7-methoxy-1,3-benzodioxol-5-yl)ethenyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

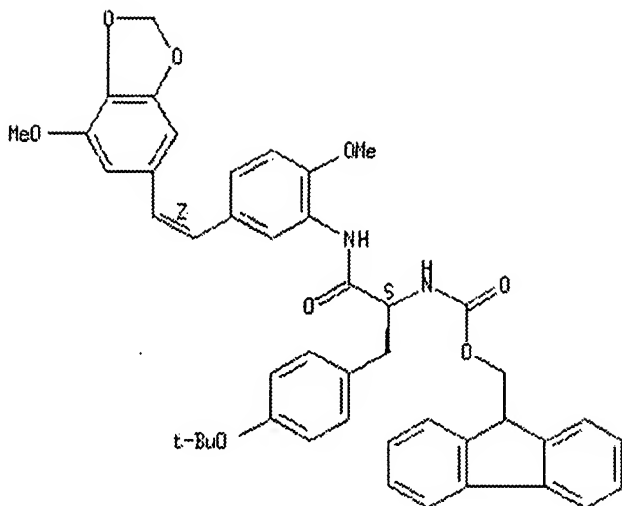
Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



RN 501034-12-4 CAPLUS

CN Carbamic acid, [(1S)-1-[[4-(1,1-dimethylethoxy)phenyl]methyl]-2-[[2-methoxy-5-[(1Z)-2-(7-methoxy-1,3-benzodioxol-5-yl)ethenyl]phenyl]amino]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2002:900004 CAPLUS

DN 138:154074

TI Isothermal titration calorimetry of molecularly imprinted polymer nanospheres

AU Weber, Achim; Dettling, Melanie; Brunner, Herwig; Tovar, Gunter E. M.

CS Laboratory for Biomimetic Interfaces, Fraunhofer Institute for Interfacial Engineering Biotechnology, University of Stuttgart, Stuttgart, 70569, Germany

SO Macromolecular Rapid Communications (2002), 23(14), 824-828

CODEN: MRCOE3; ISSN: 1022-1336

PB Wiley-VCH Verlag GmbH Co. KGaA

DT Journal

LA English

AB Ultrasensitive isothermal titrn. calorimetry was used to generate thermodyn. data to assess the binding properties of molecularly imprinted polymer microgels. Microgels were imprinted using L-boc-phenylalanine anilide (L-BFA) and then used in binding expts. with a variety of probe mols., structurally closely related to the template mol. Significant differences were obsd. between the binding enthalpy of the original template L-BFA and those of D-BFA, L-boc-phenylalanine, L-boc-tryptophane, and L-boc-tyrosine.

IT 16876-71-4, Boc-D-phenylalanine anilide

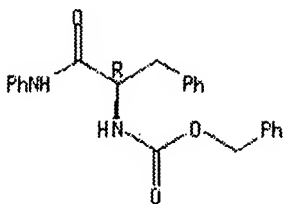
RL: NUU (Other use, unclassified); PRP (Properties); USES (Uses)
(binding properties of molecularly imprinted polymer microgels)

RN 16876-71-4 CAPLUS

CN Carbamic acid, [2-oxo-2-(phenylamino)-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

STN Columbus



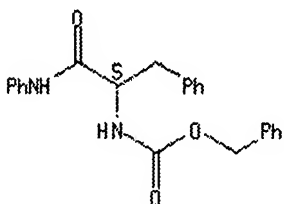
IT 15366-12-8

RL: NUU (Other use, unclassified); PRP (Properties); USES (Uses)
(template mol. and rebinding; binding properties of molecularly imprinted polymer microgels)

RN 15366-12-8 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-(phenylamino)-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2002:805909 CAPLUS

DN 138:188029

TI Liquid chromatographic resolution of N-protected α -amino acids as their anilide and 3,5-dimethylanilide derivatives on chiral stationary phases derived from (S)-leucine

AU Hyun, Myung Ho; Cho, Yoon Jae; Baik, In Kyu

CS Department of Chemistry and Chemistry Institute for Functional Materials, Pusan National University, Pusan, 609-735, S. Korea

SO Bulletin of the Korean Chemical Society (2002), 23(9), 1291-1296
CODEN: BKCSDE; ISSN: 0253-2964

PB Korean Chemical Society

DT Journal

LA English

AB Various racemic N-protected α -amino acids such as N-t-BOC- (tert-butoxycarbonyl), N-CBZ- (benzyloxycarbonyl) and N-FMOC- (9-fluorenylmethyloxycarbonyl) α -amino acids were resolved as their anilide and 3,5-dimethylanilide derivs. on an HPLC chiral stationary phase (CSP) developed by modifying a com. (S)-leucine CSP. The chromatog. resolu. results were compared to those on the com. (S)-leucine CSP. The resolu. were greater on the modified CSP than those on the com. CSP with only one exception, the resolu. of N-t-BOC-phenylglycine anilide. In addn., the chromatog. resolu. behaviors were quite consistent except for the resolu. of N-protected phenylglycine derivs., the (S)-enantiomers being retained longer. Based on the chromatog. resolu. behaviors and with the aid of CPK mol. model studies, we proposed a chiral recognition mechanism for the resolu. of N-protected α -amino acid derivs. However, for the resolu. of N-protected phenylglycine derivs., a second chiral recognition mechanism, which competes in the opposite sense with

STN Columbus

the first chiral recognition mechanism, was proposed. The two competing chiral recognition mechanisms were successfully used in the rationalization of the chromatog. behaviors for the resolu. of N-protected phenylglycine derivs.

IT 126727-10-4 126727-11-5 126727-20-6

126787-17-5 499782-17-1 499782-18-2

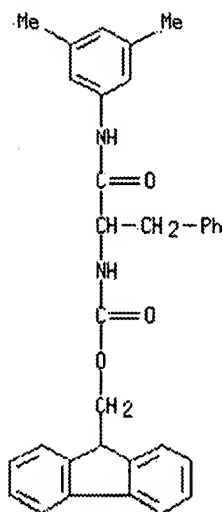
499782-20-6 499782-21-7

RL: CPS (Chemical process); PEP (Physical, engineering or chemical process); PROC (Process)

(liq. chromatog. resolu. of N-protected α -amino acids as anilides on chiral stationary phases derived from (S)-leucine)

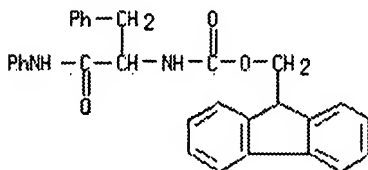
RN 126727-10-4 CAPLUS

CN Carbamic acid, [2-[(3,5-dimethylphenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)



RN 126727-11-5 CAPLUS

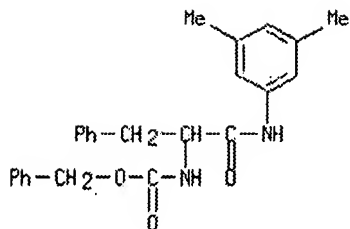
CN Carbamic acid, [2-oxo-2-(phenylamino)-1-(phenylmethyl)ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)



RN 126727-20-6 CAPLUS

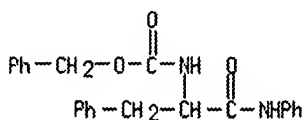
CN Carbamic acid, [2-[(3,5-dimethylphenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

STN Columbus



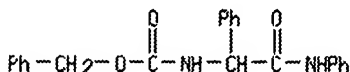
RN 126787-17-5 CAPLUS

CN Carbamic acid, [2-oxo-2-(phenylamino)-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



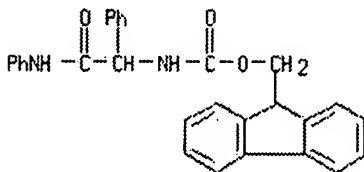
RN 499782-17-1 CAPLUS

CN Carbamic acid, [2-oxo-1-phenyl-2-(phenylamino)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



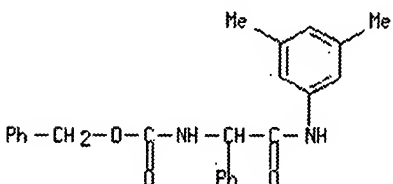
RN 499782-18-2 CAPLUS

CN Carbamic acid, [2-oxo-1-phenyl-2-(phenylamino)ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)



RN 499782-20-6 CAPLUS

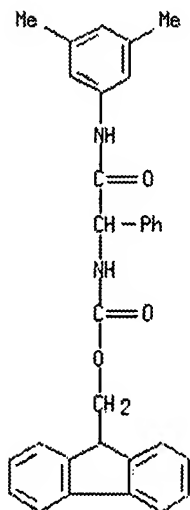
CN Carbamic acid, [2-[(3,5-dimethylphenyl)amino]-2-oxo-1-phenylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 499782-21-7 CAPLUS

STN Columbus

CN Carbamic acid, [2-[(3,5-dimethylphenyl)amino]-2-oxo-1-phenylethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)



IT 15366-12-8P 16876-71-4P 20998-91-8P

126787-23-3P 126787-38-0P 126787-39-1P

126787-48-2P 126872-09-1P 126872-53-5P

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499782-28-4P 499782-29-5P 499782-30-8P

499782-31-9P

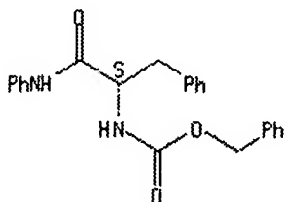
RL: PUR (Purification or recovery); PREP (Preparation)

(liq. chromatog. resoln. of N-protected α -amino acids as anilides on chiral stationary phases derived from (S)-leucine)

RN 15366-12-8 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-(phenylamino)-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

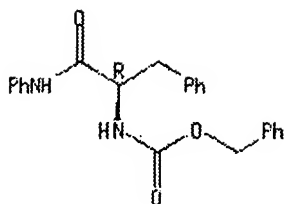


RN 16876-71-4 CAPLUS

CN Carbamic acid, [2-oxo-2-(phenylamino)-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

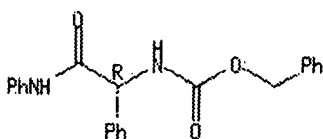
STN Columbus



RN 20998-91-8 CAPLUS

CN Carbamic acid, [(1R)-2-oxo-1-phenyl-2-(phenylamino)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

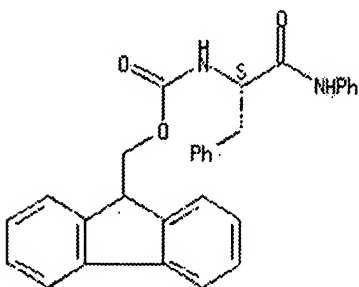
Absolute stereochemistry.



RN 126787-23-3 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-(phenylamino)-1-(phenylmethyl)ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

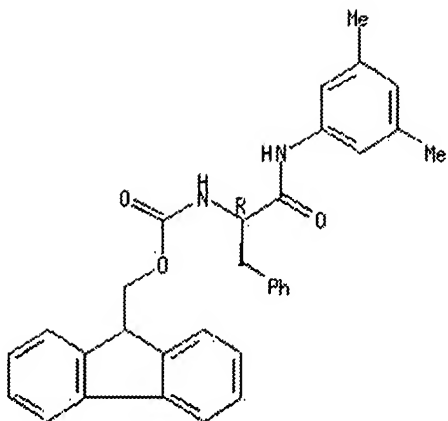


RN 126787-38-0 CAPLUS

CN Carbamic acid, [(1R)-2-[(3,5-dimethylphenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

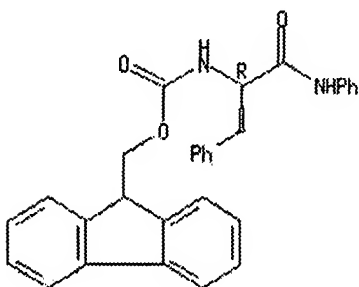
STN Columbus



RN 126787-39-1 CAPLUS

CN Carbamic acid, [(1R)-2-oxo-2-(phenylamino)-1-(phenylmethyl)ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

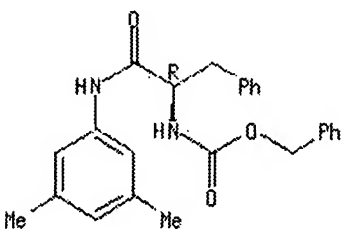
Absolute stereochemistry.



RN 126787-48-2 CAPLUS

CN Carbamic acid, [(1R)-2-[(3,5-dimethylphenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

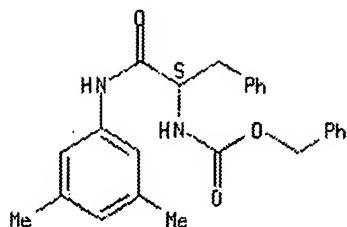


RN 126872-09-1 CAPLUS

CN Carbamic acid, [(1S)-2-[(3,5-dimethylphenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

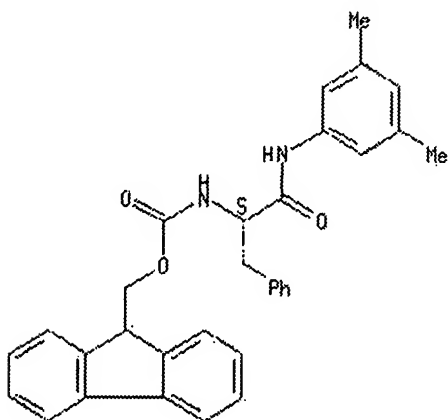
STN Columbus



RN 126872-53-5 CAPLUS

CN Carbamic acid, [(1S)-2-[(3,5-dimethylphenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

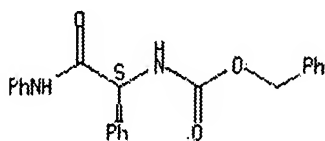
Absolute stereochemistry.



RN 499782-23-9 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-1-phenyl-2-(phenylamino)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

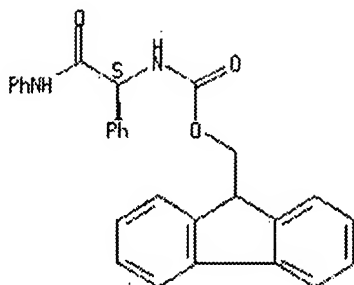


RN 499782-24-0 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-1-phenyl-2-(phenylamino)ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

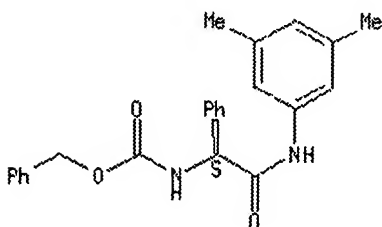
STN Columbus



RN 499782-27-3 CAPLUS

CN Carbamic acid, [(1S)-2-[(3,5-dimethylphenyl)amino]-2-oxo-1-phenylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

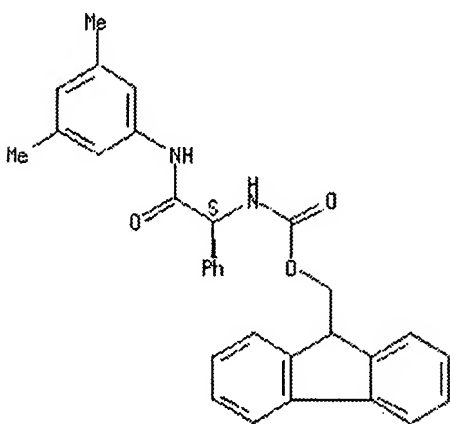
Absolute stereochemistry.



RN 499782-28-4 CAPLUS

CN Carbamic acid, [(1S)-2-[(3,5-dimethylphenyl)amino]-2-oxo-1-phenylethyl]-, 9H-fluorene-9-ylmethyl ester (9CI) (CA INDEX NAME)

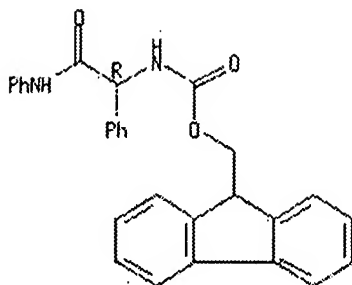
Absolute stereochemistry.



RN 499782-29-5 CAPLUS

CN Carbamic acid, [(1R)-2-oxo-1-phenyl-2-(phenylamino)ethyl]-, 9H-fluorene-9-ylmethyl ester (9CI) (CA INDEX NAME)

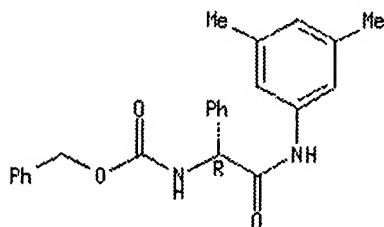
Absolute stereochemistry.



RN 499782-30-8 CAPLUS

CN Carbamic acid, [(1R)-2-[(3,5-dimethylphenyl)amino]-2-oxo-1-phenylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

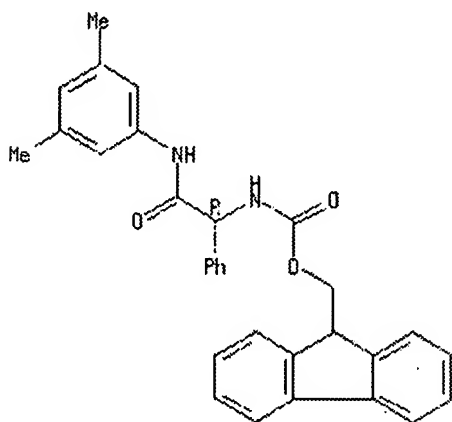
Absolute stereochemistry.



RN 499782-31-9 CAPLUS

CN Carbamic acid, [(1R)-2-[(3,5-dimethylphenyl)amino]-2-oxo-1-phenylethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 8 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

[Full Text](#)

AN 2002:695943 CAPLUS

DN 137:216780

TI Preparation of aromatic carboxamides as modulators of receptor for

STN Columbus

advanced glycated end products (RAGE).
 IN Mjalli, Adnan M. M.; Andrews, Rob; Wysong, Christopher
 PA Transtech Pharma, Inc., USA
 SO PCT Int. Appl., 95 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002070473	A2	20020912	WO 2002-US6707	20020305
	WO 2002070473	A3	20021227		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
				US 2001-273403PP	20010305
				US 2001-273404PP	20010305
				US 2001-273429PP	20010305
				US 2001-273445PP	20010305
				US 2001-273446PP	20010305
				US 2001-273454PP	20010305
				US 2001-273455PP	20010305
	US 2002193432	A1	20021219	US 2002-91759	20020305
				US 2001-273403PP	20010305
				US 2001-273404PP	20010305
				US 2001-273429PP	20010305
				US 2001-273445PP	20010305
				US 2001-273446PP	20010305
				US 2001-273454PP	20010305
				US 2001-273455PP	20010305

OS MARPAT 137:216780

AB G2R1R2CG1CONR3R4 [I; G1 = alkylene; G2 = H, alkyl, aryl, alkylaryl, amino, (substituted) imidazolyl; R1 = H, alkyl, aryl, alkylaryl; R2 = alkyl, aryl, aralkyl, etc.; R3 = H, alkyl, alkylaryl, alkoxyaryl; R4 = alkylaryl, alkoxyaryl, aryl], were prepd. I are modulators of the interaction between the receptor for advanced glycated end products (RAGE) and its ligands, such as advanced glycated end products (AGEs), S100/calgranulin/EN-RAGE, β -amyloid and amphoterin. I are useful in treating inflammation, the development of diabetic late complications such as increased vascular permeability, nephropathy, atherosclerosis, and retinopathy, the development of Alzheimer's disease, erectile dysfunction, and tumor invasion and metastasis. Thus, 3-(3-tert-butoxyphenyl)-3-(9-fluorenylmethoxycarbonylamino)propionic acid, HTBU, diisopropylethylamine, and 2,4-bis-(3-diethylaminopropoxy)aniline (prepn. given) were stirred overnight in MeCN to give 3-(3-tert-butoxyphenyl)-3-(9-fluorenylmethoxycarbonylamino)propionic acid 2,4-bis-(3-diethylaminopropoxy)aniline amide. The latter showed $IC_{50} < 0.5 \mu M$ for inhibition of binding of RAGE to s100b.

IT 457060-52-5P 457060-59-2P 457060-98-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

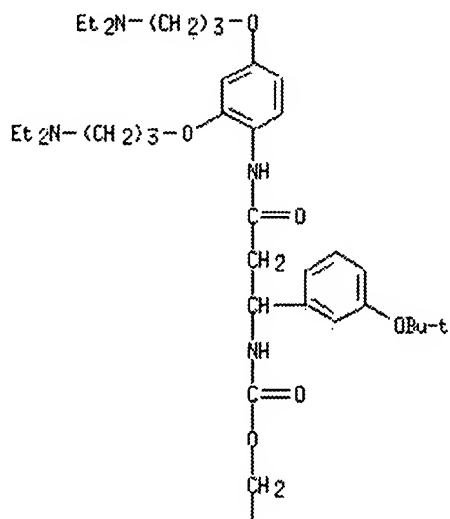
(prepn. of arom. carboxamides as modulators of receptor for advanced glycated end products (RAGE))

RN 457060-52-5 CAPLUS

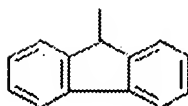
STN Columbus

CN Carbamic acid, [3-[[2,4-bis[3-(diethylamino)propoxy]phenyl]amino]-1-[3-(1,1-dimethylethoxy)phenyl]-3-oxopropyl]-, 9H-fluoren-9-ylmethyl ester
(9CI) (CA INDEX NAME)

PAGE 1-A



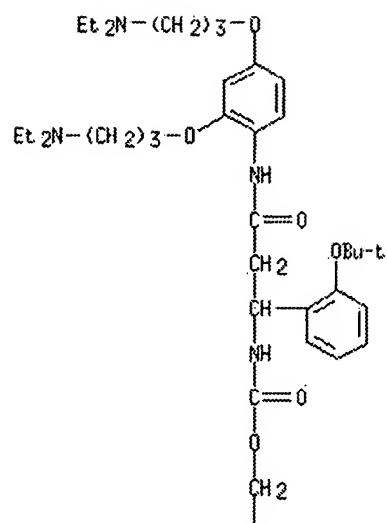
PAGE 2-A



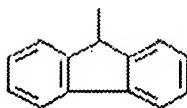
RN 457060-59-2 CAPLUS

CN Carbamic acid, [3-[[2,4-bis[3-(diethylamino)propoxy]phenyl]amino]-1-[2-(1,1-dimethylethoxy)phenyl]-3-oxopropyl]-, 9H-fluoren-9-ylmethyl ester
(9CI) (CA INDEX NAME)

PAGE 1-A

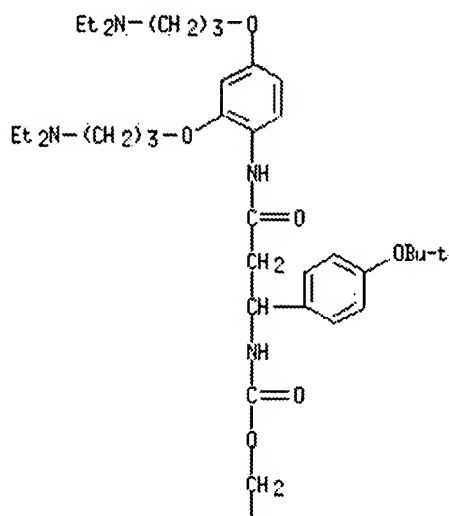


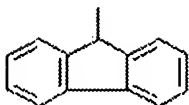
PAGE 2-A



RN 457060-98-9 CAPLUS
 CN Carbamic acid, [3-[[2,4-bis[3-(diethylamino)propoxy]phenyl]amino]-1-[4-(1,1-dimethylethoxy)phenyl]-3-oxopropyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A





L9 ANSWER 9 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2002:465965 CAPLUS

DN 137:47128

TI Preparation of of ureido- and carbamoyloxy-substituted amides as inhibitors of factor Xa for the treatment of clotting disorders and tumors.

IN Dorsch, Dieter; Mederski, Werner; Tsaklakidis, Christos; Cezanne, Bertram; Gleitz, Johannes; Barnes, Christopher

PA Merck Patent G.m.b.H., Germany

SO PCT Int. Appl., 92 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002048099	A1	20020620	WO 2001-EP13545	20011121
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM</p> <p>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG</p>				
DE 10063008	A1	20020620	DE 2000-10063008A	20001216
AU 2002021881	A5	20020624	AU 2002-21881	20011121
			DE 2000-10063008A	20001216
			WO 2001-EP13545W	20011121

OS MARPAT 137:47128

AB DNHCOXCHR1CONH(CH2)nEW [D = (substituted) Ph, pyridyl; R1 = H, Ar, Het, cycloalkyl, (substituted) A; R2 = H, A; E = (substituted) phenylene, piperidin-1,4-diyl; W = Ar, Het, N(R2)2, R2, cycloalkyl; X = NH, O; A = (fluoro-substituted) (O-, S-, or CH:CH-interrupted) alkyl; Ar = (substituted) Ph; Het = (arom.) (substituted) heterocyclyl; n = 0, 1], were prepd. Thus, Z-D-Phe-OH, 2'-methylsulfonylbiphenyl-4-ylamine, N-(3-dimethylaminopropyl)-N'-ethylcarbodiimide hydrochloride, 1-hydroxybenzotriazole, and 4-methylmorpholine were stirred 40 h in DMF to give benzyl [(R)-1-(2'-methylsulfonylbiphenyl-4-ylcarbamoyl)-2-phenylethyl]carbamate. This was hydrogenolyzed in MeOH over Pd/C and the product was stirred with 4-chlorophenyl isocyanate in CH2Cl2 to give (R)-2-[3-(4-chlorophenyl)ureido]-N-(2'-methylsulfonylbiphen-4-yl)-3-phenylpropionamide. The latter inhibited factor Xa with IC50 = 8.6 × 10⁻⁸ M.

IT 438056-03-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediates; prepn. of ureido- and carbamoyloxy-substituted amides)

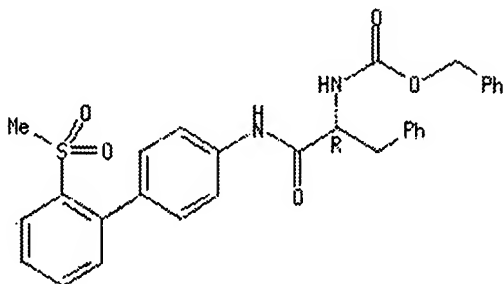
STN Columbus

as inhibitors of factor Xa for the treatment of clotting disorders such as strokes and cancer)

RN 438056-03-2 CAPLUS

CN Carbamic acid, [(1R)-2-[[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 10 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2002:369021 CAPLUS

DN 136:355481

TI Facile deprotection of Fmoc protected amino groups

IN Sheppeck, James E.

PA USA

SO U.S. Pat. Appl. Publ., 7 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002058788	A1	20020516	US 2001-939455	20010824
				US 2000-227894PP	20000825

OS CASREACT 136:355481; MARPAT 136:355481

AB Fluorenylmethoxycarbonyl (Fmoc)-protected amino groups were treated in a suitable medium with a base in the presence of a thiol compd. to yield the deprotected amino group. Thus, 25.5 mmol Fmoc-Lys(Boc)-AMC (AMC is a 7-amino-4-methylcoumarin residue, Boc = tert-butoxycarbonyl) was treated with 255 mmol 1-octanethiol and 0.77 mmol DBU for 3.25 h to afford H-Lys(Boc)-AMC quant.

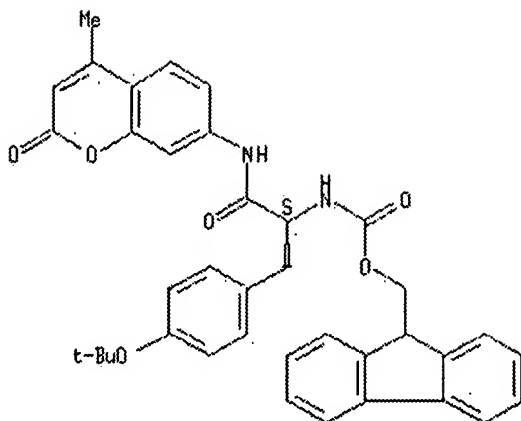
IT 422309-15-7

RL: RCT (Reactant); RACT (Reactant or reagent)
(facile deprotection of Fmoc protected amino groups)

RN 422309-15-7 CAPLUS

CN Carbamic acid, [(1S)-1-[[4-(1,1-dimethylethoxy)phenyl]methyl]-2-[(4-methyl-2-oxo-2H-1-benzopyran-7-yl)amino]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 11 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2002:157728 CAPLUS

DN 136:200477

TI Preparation of carbocyclic and heterocyclic compounds as integrin receptor inhibitors

IN Artis, Dean R.; Jackson, David Y.; Rawson, Thomas E.; Reynolds, Mark E.; Sutherlin, Daniel P.; Stanley, Mark S.

PA Genentech, Inc., USA

SO PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002016313	A2	20020228	WO 2001-US25865	20010816
	WO 2002016313	A3	20030530		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	AU 2001086542	A5	20020304	US 2000-226626PP	20000818
				AU 2001-86542	20010816
				US 2000-226626PP	20000818
				WO 2001-US25865W	20010816
	US 2002035104	A1	20020321	US 2001-932695	20010816
				US 2000-226626PP	20000818
	US 2003100599	A1	20030529	US 2002-313147	20021206
				US 2000-226626PP	20000818
				US 2001-932695 B1	20010816
OS	MARPAT 136:200477				
AB	Compds. I [A is a 5- or 6-membered carbocycle or heterocycle optionally substituted by oxo and R4; Q is (un)substituted alkyl, alkenyl or alkynyl or oxa, aza and thia derivs.; X is (un)substituted methylene or imino; Y is H, -CHR3-, -CR3= or a bond; Z is H, -CHR3-, =CR3-, -NR3-, =N-, O, S, SO, SO2 or a bond, provided that when one of Y and Z is H then the other is also H; W is -C(O)NR6- (R6 = H, alkyl, alkenyl, alkynyl), -NR6C(O)-, -C(S)NR6-, NR6, O, S, SO2, -CH2-, -C-, -NR6SO2-, etc.; R1 is H,				

STN Columbus

(un)substituted alkyl, alkenyl, alkynyl, carbocycle, or heterocycle; R2 is similar to R1, but not H; R3, R4 are H, OH, halogen, amino, nitro, carboxy, (un)substitute alkyl, etc.; m, n = 1-3] were prepd. The compds. of the invention bind to $\alpha 4$ integrin receptors and thereby inhibit binding of ligands for $\alpha 4$ integrins which is useful for prophylactic and/or therapeutic treatment of diseases and conditions assocd. with $\alpha 4$ integrins or their ligands. Thus, 2-[(N-acetyl-L-tyrosyl)amino]-9-fluorene-9-propionic acid was prepd. the solid-phase method using resin-bound acrylic acid.

IT 401643-06-9P

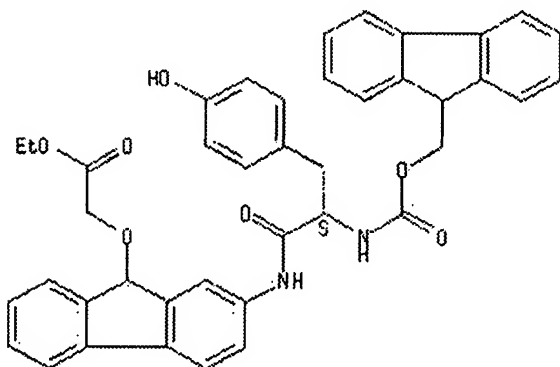
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of carbocyclic and heterocyclic compds. as integrin receptor inhibitors)

RN 401643-06-9 CAPLUS

CN Acetic acid, [[2-[[[(2S)-2-[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-9H-fluoren-9-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 12 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2002:34208 CAPLUS

DN 136:232179

TI Expedient Solid-Phase Synthesis of Fluorogenic Protease Substrates Using the 7-Amino-4-carbamoylmethylcoumarin (ACC) Fluorophore

AU Maly, Dustin J.; Leonetti, Francesco; Backes, Bradley J.; Dauber, Deborah S.; Harris, Jennifer L.; Craik, Charles S.; Ellman, Jonathan A.

CS Department of Chemistry, University of California, Berkeley, CA, 94720, USA

SO Journal of Organic Chemistry (2002), 67(3), 910-915

CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

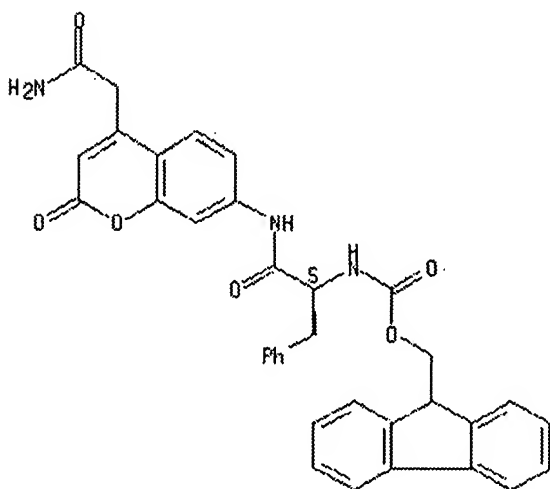
OS CASREACT 136:232179

AB A highly efficient solid-phase synthesis method for the prepn. of fluorogenic protease substrates based upon the bifunctional leaving group 7-amino-4-carbamoylmethylcoumarin (ACC) is reported. Methods for the large-scale prepn. of the novel fluorogenic leaving-group ACC are provided. Detailed procedures are also provided for loading a diverse set of amino acids to support-bound ACC in good yields and with minimal racemization. Finally, procedures are included for the preparative

STN Columbus

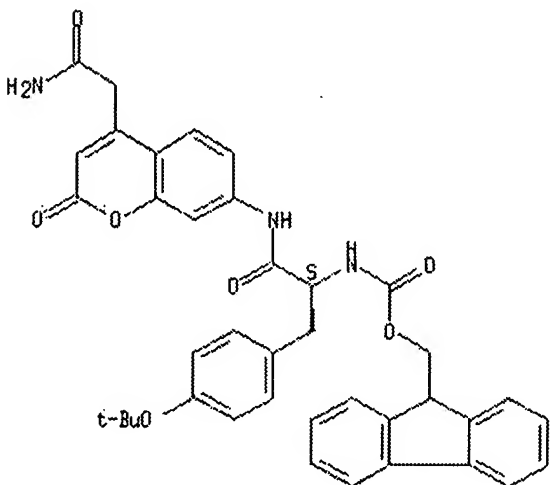
synthesis of optimized ACC substrates for HIV-1 protease and plasmin.
 IT 403519-07-3DP, resin-bound 403519-12-0DP, resin-bound
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (solid-phase prepn. of amino(carbamoylmethyl)coumarin derivs. of amino
 acids and peptides as fluorogenic substrates for proteases)
 RN 403519-07-3 CAPLUS
 CN Carbamic acid, [(1S)-2-[[4-(2-amino-2-oxoethyl)-2-oxo-2H-1-benzopyran-7-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



RN 403519-12-0 CAPLUS
 CN Carbamic acid, [(1S)-2-[[4-(2-amino-2-oxoethyl)-2-oxo-2H-1-benzopyran-7-yl]amino]-1-[[4-(1,1-dimethylethoxy)phenyl]methyl]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD

STN Columbus

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 13 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2001:833284 CAPLUS

DN 135:371641

TI Preparation of arylheterocyclylamides as motilin antagonists

IN Johnson, Sigmond G.; Rivero, Ralph A.

PA Ortho-McNeil Pharmaceutical, Inc., USA

SO PCT Int. Appl., 132 pp.

CODEN: PIXXD2

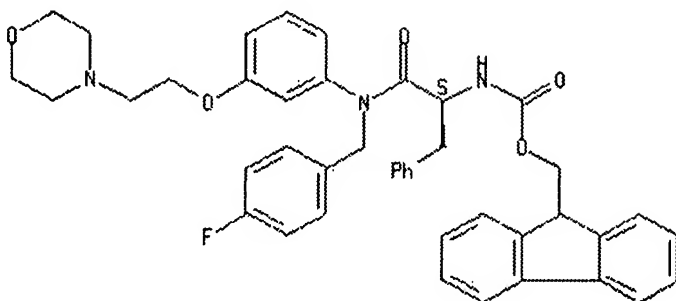
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001085694	A2	20011115	WO 2001-US11821	20010411
	WO 2001085694	A3	20020404		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	US 2000-202131PP			20000505	
	US 2002013352	A1	20020131	US 2001-829767	20010410
	US 6511980	B2	20030128		
	US 2000-202131PP			20000505	
	EP 1294695	A2	20030326	EP 2001-926866	20010411
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
	US 2000-202131PP			20000505	
	WO 2001-US11821W			20010411	
OS	MARPAT 135:371641				
AB	Title compds. [I; R1 = H, (substituted) aryl, aralkyl, heterocyclyl, diarylalkyl, alkyl, etc.; R2 = (substituted) aryl, aralkyl, cycloalkyl, heterocyclyl, heterocyclylalkyl, etc.; X1-X4 = null, CO, SO2; R1NR2X1 = (substituted) heterocyclyl; A = (substituted) alkyl, alkenyl, cycloalkyl, cycloalkylalkyl, etc.; Y = O, NH, S, SO2; n = 0-5; R4 = H, amino, alkylamino, dialkylamino, heterocyclyl, alkylheterocyclyl, etc.], were prepd. Thus, N-[3-[2-(1-pyrrolidino)ethoxy]phenyl]-N-(cis-3-aminocyclohexyl)methyl-4-fluorophenylcarboxamide (prepn. given) and PhCHO in PhMe were treated sequentially with Ti(OiPr)4, EtOH, and NaBH(OAc)3 to give a crude residue which in CH2Cl2 was treated with Me3CCOCl to give title compd. (II). II inhibited motilin-induced contraction in rabbit colon with IC50 = 0.029 µM.				
IT	373826-30-3P				
	RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)				
	(prepn. of arylheterocyclylamides as motilin antagonists)				
RN	373826-30-3	CAPLUS			
CN	Carbamic acid, [(1S)-2-[[[(4-fluorophenyl)methyl][3-[2-(4-morpholinyl)ethoxy]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, 9H-fluoren-9-ylmethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)				
CM	1				
CRN	373826-29-0				
CMF	C43 H42 F N3 O5				

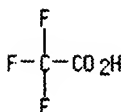
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



L9 ANSWER 14 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2001:812346 CAPLUS

DN 136:144646

TI Structure-inhibitory activity relationship of plasmin and plasma kallikrein inhibitors

AU Tsuda, Yuko; Tada, Mayako; Wanaka, Keiko; Okamoto, Utako; Hijikata-Okunomiya, Akiko; Okamoto, Shosuke; Okada, Yoshio

CS Faculty of Pharmaceutical Sciences, and High Technology Research Center, Kobe Gakuin University, Kobe, 651-2180, Japan

SO Chemical Pharmaceutical Bulletin (2001), 49(11), 1457-1463
CODEN: CPBTAL; ISSN: 0009-2363

PB Pharmaceutical Society of Japan

DT Journal

LA English

AB Based on the structure of Tra-Tyr(O-Pic)-octylamide, a portion of the octylamine was replaced with moieties bearing hydrophobic, basic or acidic groups. Replacement of the C-terminal residue with a moiety bearing a hydrophobic group gave the proper affinity of the inhibitor to both plasmin (PL) and plasma kallikrein (PK). While addn. of a basic residue did not improve the affinity of the inhibitor, a carboxylic acid attached to the Ph ring increased the PK selectivity of the inhibitor.

IT 395062-80-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(structure-inhibitory activity relationship of plasmin and plasma kallikrein inhibitors)

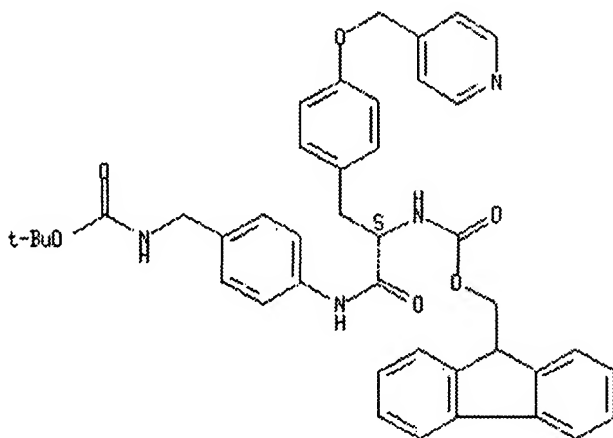
RN 395062-80-3 CAPLUS

CN Carbamic acid, [(1S)-2-[[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]amino]-2-oxo-1-[[4-(4-pyridinylmethoxy)phenyl]methyl]ethyl]-,

STN Columbus

9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 15 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2001:668346 CAPLUS

DN 135:226989

TI Synthesis of thiazolyl-phenyl-amide derivatives used to inhibit herpes virus replication and treat herpes infection

IN Crute, J. James; Faucher, Anne-marie; Grygon, Christine; Hargrave, Karl D.; Simoneau, Bruno; Thavonekham, Bounkham

PA Boehringer Ingelheim Ltd., Can.; Boehringer Ingelheim Pharm. Inc.

SO U.S., 61 pp., Cont.-in-part of U.S. Ser. No. 759,201.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6288091	B1	20010911	US 1999-364446	19990730
				US 1995-9433P	P 19951229
				US 1996-23209P	P 19960802
				US 1996-759201	A 19961204
	CN 1207094	A	19990203	CN 1996-199443	19961204
				US 1995-9433P	P 19951229
	US 6057451	A	20000502	US 1996-759201	19961204
				US 1995-9433P	P 19951229
				US 1996-23209P	P 19960802
	ZA 9610850	A	19970630	ZA 1996-10850	19961223
				US 1995-9433P	P 19951229
	US 6348477	B1	20020219	US 1999-456857	19991208
				US 1995-9433P	P 19951229
				US 1996-23209P	P 19960802
				US 1996-759201	A319961204
	US 6458959	B1	20021001	US 2000-685686	20001010
				US 1995-9433P	P 19951229
				US 1996-23209P	P 19960802
				US 1996-759201	A319961204
				US 1999-456857	A319991208

STN Columbus

PATENT FAMILY INFORMATION:

FAN 1997:543457

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9724343	A1	19970710	WO 1996-US19131	19961204
	W:			AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM	
	RW:			KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG	
				US 1995-9433P	P 19951229
				US 1996-23209P	P 19960802
	AU 9716828	A1	19970728	AU 1997-16828	19961204
				US 1995-9433P	P 19951229
				US 1996-23209P	P 19960802
				WO 1996-US19131W	19961204
	EP 871619	A1	19981021	EP 1996-945567	19961204
	EP 871619	B1	20021106		
	R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO	
				US 1995-9433P	P 19951229
				US 1996-23209P	P 19960802
				WO 1996-US19131W	19961204
	CN 1207094	A	19990203	CN 1996-199443	19961204
				US 1995-9433P	P 19951229
	BR 9612435	A	19990713	BR 1996-12435	19961204
				US 1995-9433P	P 19951229
				US 1996-23209P	P 19960802
				WO 1996-US19131W	19961204
	JP 2000502702	T2	20000307	JP 1997-524325	19961204
				US 1995-9433P	P 19951229
				US 1996-23209P	P 19960802
				WO 1996-US19131W	19961204
	NZ 331104	A	20000327	NZ 1996-331104	19961204
				US 1995-9433P	P 19951229
				US 1996-23209P	P 19960802
				WO 1996-US19131W	19961204
	AT 227279	E	20021115	AT 1996-945567	19961204
				US 1995-9433P	P 19951229
				US 1996-23209P	P 19960802
				WO 1996-US19131W	19961204
	ES 2186811	T3	20030516	ES 1996-945567	19961204
				US 1995-9433P	P 19951229
				US 1996-23209P	P 19960802
	CA 2192433	AA	19970630	CA 1996-2192433	19961209
				US 1995-9433P	P 19951229
				US 1996-23209P	P 19960802
	ZA 9610850	A	19970630	ZA 1996-10850	19961223
				US 1995-9433P	P 19951229
	NO 9802950	A	19980625	NO 1998-2950	19980625
				US 1995-9433P	P 19951229
				US 1996-23209P	P 19960802
				WO 1996-US19131W	19961204
	US 6458959	B1	20021001	US 2000-685686	20001010
				US 1995-9433P	P 19951229
				US 1996-23209P	P 19960802
				US 1996-759201	A319961204
				US 1999-456857	A319991208
OS	MARPAT 135:226989				

STN Columbus

AB Title compds. I [R = H, alkyl(amino), amino, alkanoylamino, etc.; Z = NR₂-C(O)-Q-CH(R₃)-NR₄R₅; R₂ = H, alkyl; Q = bond, CH₂; R₃ = H, ((substituted)phenyl)alkyl; R₄ = H, ((substituted)phenyl)alkyl, indanyl, cycloalkyl-alkyl; R₅ = (Het)-(Y)-(alkyl)-C(O); Het = pyridinyl; Y = O, S] were prepd. Over 200 synthetic examples were disclosed. For instance, Boc-glycine was N-benzylated (NaH, PhCH₂Br, THF, reflux, 16 h) and the product converted to II (i-BuOCOC₁, Et₃N, DCM, 4'-aminoacetophenone, room temp., 16 h.). Amide II was converted to example compd. III (n = 0, P = Boc, E = CH₂Ph) (I₂, thiourea, IPA, reflux, 2.5 h.). III (n = 0, P = CH₂Ph, E = C:OPh) had IC₅₀ = 0.072 μM for HSV-1 and EC₅₀ = 0.007 μM for human cytomegalovirus. I are used for treating herpes infection by inhibiting the herpes helicase-primase enzyme complex.

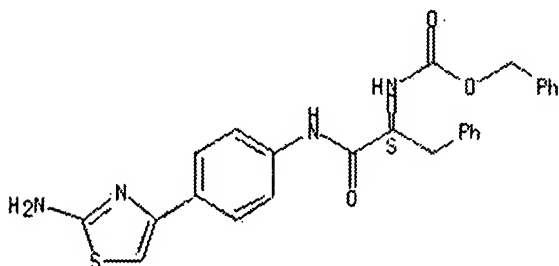
IT 193348-59-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; synthesis of thiazolyl-phenyl-amide derivs. used to inhibit herpes virus replication and treat herpes infection)

RN 193348-59-3 CAPLUS

CN Carbamic acid, [(1S)-2-[[4-(2-amino-4-thiazolyl)phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 16 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2001:604209 CAPLUS

DN 135:331664

TI A Novel Generation of Coupling Reagents. Enantiodifferentiating Coupling Reagents Prepared in Situ from 2-Chloro-4,6-dimethoxy-1,3,5-triazine (CDMT) and Chiral Tertiary Amines

AU Kaminski, Zbigniew J.; Kolesinska, Beata; Kaminska, Janina E.; Gora, Jozef
CS Institute of Organic Chemistry and Institute of General Food Chemistry, Technical University of Lodz, Lodz, 90-924, Pol.

SO Journal of Organic Chemistry (2001), 66(19), 6276-6281
CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

OS CASREACT 135:331664

AB Coupling of racemic N-protected amino acids with amino components by means of 2-chloro-4,6-dimethoxy-1,3,5-triazine (CDMT) in the presence of chiral tertiary amines such as strychnine, brucine, and sparteine proceeded enantioselectively, affording appropriate amides or dipeptides in 69-85% yield. For example, CDMT (10 mmol) in THF (20 mL) was treated with strychnine (10 mmol) at 0° for 30 min, followed by the successive

STN Columbus

addns. of Cbz-DL-Ala-OH (20 mmol) and aniline (11 mmol) to afford 82% of Cbz-D-Ala-NHPh with 98% enantiomeric excess. The configuration of the preferred enantiomer and enantiomeric enrichment depended on the structures of the amine and carboxylic acid. Calcd. Kagan enantioselectivity parameters (s) were in the range 1.6-195. Chiral triazinylammonium chlorides, formed in situ from CDMT and chiral tertiary amines, are postulated as reactive intermediates involved in the process of enantioselective activation of N-protected amino acids.

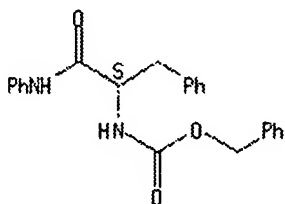
IT 15366-12-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(coupling of racemic N-protected amino acids with amino components by using (chloro)dimethoxytriazine as a coupling reagent in the presence of chiral tertiary amines)

RN 15366-12-8 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-(phenylamino)-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 17 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2001:565039 CAPLUS

DN 135:153111

TI Preparation of aryl-amidines and derivatives, and prodrugs thereof as factor Xa inhibitors

IN Kang, Myung-Gyun; Park, Doo-Hee; Kwon, Oh-Hwan; Kim, Eunice Eun-Kyeong; Hwang, Kwang-Yeon; Heo, Yong-Seok; Park, Tae-Kyo; Lee, Tae-Hee; Moon, Kwang-Yul; Park, Jong-Woo; Chang, Hye-Kyung; Lee, Sang-Koo; Lee, Sun-Hwa; Park, Su-Kyung; Lee, Sung-Hack; Park, Hee-Dong

PA LG Chem Investment Ltd., S. Korea

SO PCT Int. Appl., 177 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

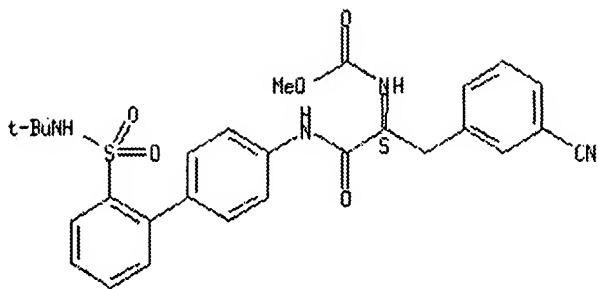
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001055146	A1	20010802	WO 2001-KR13	20010104
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
			KR 2000-4458	A 20000129
			KR 2000-6354	A 20000211
			KR 2000-7487	A 20000217

STN Columbus

KR 2000-7489 A 20000217
 EP 1254136 A1 20021106 EP 2001-901571 20010104
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 KR 2000-4458 A 20000129
 KR 2000-6354 A 20000211
 KR 2000-7487 A 20000217
 KR 2000-7489 A 20000217
 WO 2001-KR13 W 20010104
 US 2003065176 A1 20030403 US 2002-181975 20020724
 KR 2000-4458 A 20000129
 KR 2000-6354 A 20000211
 KR 2000-7487 A 20000217
 KR 2000-7489 A 20000217
 WO 2001-KR13 W 20010104
 OS MARPAT 135:153111
 AB The aryl-amidines, particularly amidinoaryl-cyclopropanes,
 amidinoarylmethyl-pyrroles, amidinoaryl-benzenes, amidinoaryl-pyridines,
 or amindonoaryl-alanines, represented by formula G-A(D)-A-L-P[(X)n]-Q(Y)Z
 [wherein Ar = benzene, pyridine, thiophene, naphthalene, isoquinoline; G =
 R, F, Cl, Br, iodo, cyano, OR, O2CR, CO2R, CONR2 (wherein R = H, linear,
 branched, cyclic or branched cyclic C1-10 alkyl); A = Q-Q6, CH2 CHR5CONH,
 CH2CHR5CH2O, CH2CHR6NHCO [wherein R1, R2 = F, Cl, Br, iodo, R, CH2O R,
 CH2O2CR, CO2R, CONR2, CON(CH2)m (m = 2-7), CO-morpholine, etc.; R3 = group
 listed in R2, CONH(amino acid or its ester or amide), etc.; R4 = F, Cl,
 Br, iodo, cyano, OR, R; R5 = NR2, NR(COR), NR (CH2)m1 CO2R (m1 = 0-3),
 etc.; R6 = CO2R, CONR2, CH2OR]; Lb= CONH, CONHCH2, CH2NHCO, NHCONH, etc.;
 D = NH2, CH2NH2, C(:NR7)NH2 (wherein R7 = H, OH, CO2R8, OR8, O2COR8;
 wherein R8 = Ph, CH2Ph, linear, branched, cyclic or branched cyclic C1-10
 alkyl); L = (CH2)m2 (m2 = 0,1); P = benzene, pyridine, pyrrole, furan,
 thiophene, oxazole, isoxazole, imidazole, 1,2-diazole, thiazole,
 isothiazole, pyridazine, pyridazine, pyrimidine, pyrazine, naphthalene,
 etc.; n = 0-2; Q = H, benzene, pyridine, pyridine, pyrrole, furan,
 thiophene, oxazole, isoxazole, imidazole, 1,2-diazole, thiazole,
 isothiazole, etc.; Y, Z = R, F, Cl, Br, iodo, cyano, OR, CO2R, COR, CONR2,
 NR2, NR(COR), N(COR)2, CF3, OCF3, etc.], pharmaceutically acceptable
 salts, prodrugs, hydrates, solvates or isomers thereof are prepd. These
 compds. are inhibitors of coagulation enzyme, factor Xa (FXa). The
 present invention also relates to a pharmaceutical compn. contg. the above
 compd., and a method of using the same as an anticoagulant agent for
 treatment and prevention of thrombosis disorders. N-[4-(2-aminosulfonylph
 enyl)phenyl]-cis-2-(3-aminoiminomethylphenyl)cyclopropane-1-carboxamide
 monotrifluoroacetate, 4-(4-aminoiminomethylbenzyl)-1-(3-
 aminoiminomethylbenzyl)pyrrole-3-carboxamide bis(trifluoroacetate),
 3-aminoiminomethylbenzyl 2-(3-aminoiminomethylphenyl)benzyl ether
 bis(trifluoroacetate), and (S)-N-{4-(2-aminosulfonylphenyl)benzoyl}-3-(3-
 aminoiminomethylphenyl)alanine Et ester trifluoroacetate in vitro
 inhibited FXa with Ki of 0.5, 0.12, 0.44, and 2 nM, resp., and thrombin
 with Ki of 2,900, 2.1, 5, and 620, resp., and exhibited the thrombin/FXa
 selectivity of 5,800, 18, 11, and 310, resp.
 IT 352617-49-3P 352617-59-5P 352617-67-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (intermediate; prepn. of aryl-amidines and derivs., and prodrugs
 thereof as factor Xa inhibitors and anticoagulants for treatment of
 thrombosis disorders)
 RN 352617-49-3 CAPLUS
 CN Carbamic acid, [(1S)-1-[(3-cyanophenyl)methyl]-2-[[2'-[[[1,1-
 dimethylethyl]amino]sulfonyl][1,1'-biphenyl]-4-yl]amino]-2-oxoethyl]-,
 methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

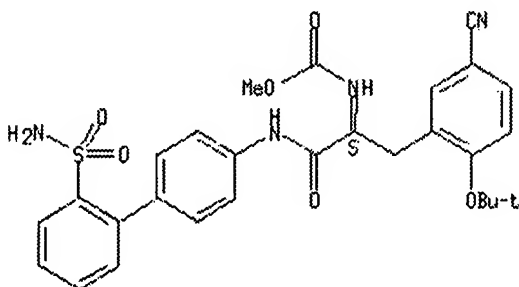
STN Columbus



RN 352617-59-5 CAPLUS

CN Carbamic acid, [(1S)-2-[[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]amino]-1-[[5-cyano-2-(1,1-dimethylethoxy)phenyl]methyl]-2-oxoethyl]-, methyl ester (9CI) (CA INDEX NAME)

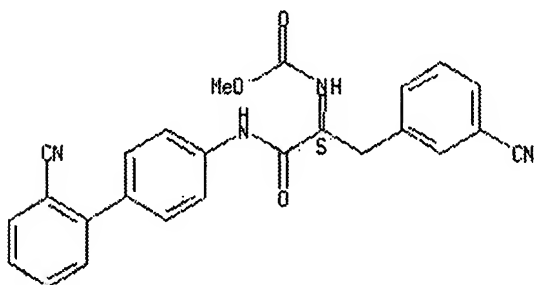
Absolute stereochemistry.



RN 352617-67-5 CAPLUS

CN Carbamic acid, [(1S)-2-[(2'-cyano[1,1'-biphenyl]-4-yl)amino]-1-[(3-cyanophenyl)methyl]-2-oxoethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 352619-93-3P 352619-97-7P 352620-07-6P
352620-37-2P 352620-63-4P 352620-69-0P
352621-23-9P 352621-27-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aryl-amidines and derivs., and prodrugs thereof as factor Xa inhibitors and anticoagulants for treatment of thrombosis disorders)

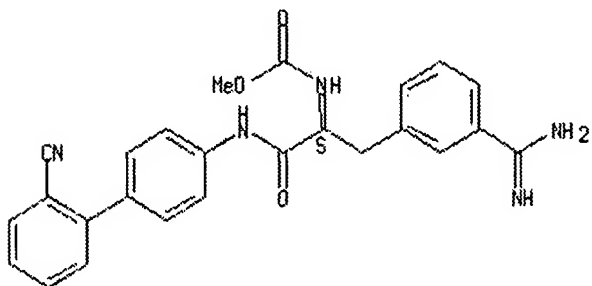
STN Columbus

RN 352619-93-3 CAPLUS
 CN Carbamic acid, [(1S)-1-[[3-(aminoiminomethyl)phenyl]methyl]-2-[(2'-cyano[1,1'-biphenyl]-4-yl)amino]-2-oxoethyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

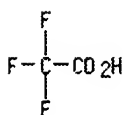
CRN 352619-92-2
 CMF C25 H23 N5 O3

Absolute stereochemistry.



CM 2

CRN 76-05-1
 CMF C2 H F3 O2



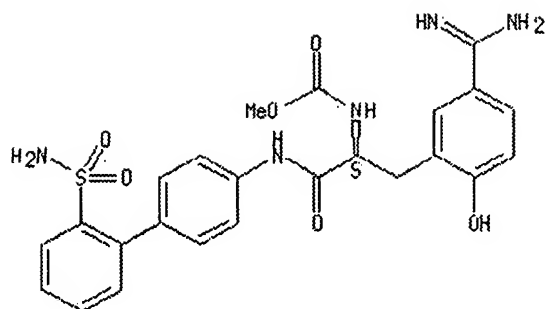
RN 352619-97-7 CAPLUS
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CRN 352619-96-6
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Absolute stereochemistry.

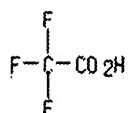
STN Columbus



CM 2

CRN 76-05-1

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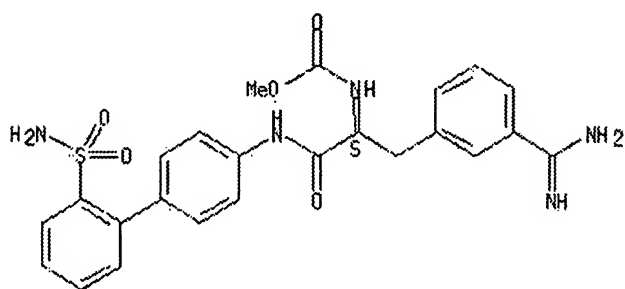
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CRN 352620-06-5

CMF C24 H25 N5 O5 S

Absolute stereochemistry.

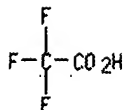


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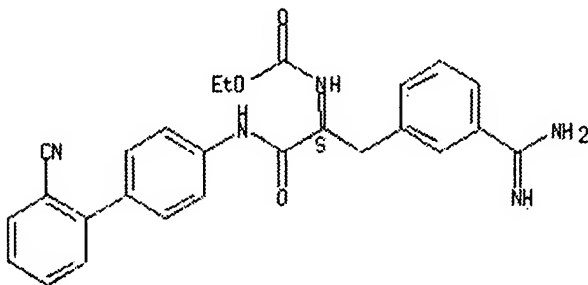
CN Carbamic acid, [(1S)-1-[[3-(aminoiminomethyl)phenyl]methyl]-2-[(2'-cyano[1,1'-biphenyl]-4-yl)amino]-2-oxoethyl]-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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CRN 352620-36-1

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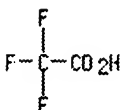
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 352620-63-4 CAPLUS

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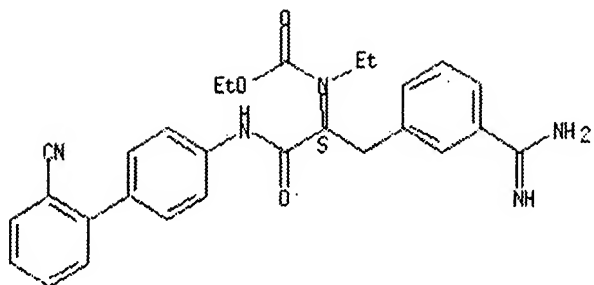
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CMF C28 H29 N5 O3

Absolute stereochemistry.

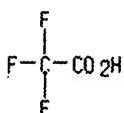
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CM 2

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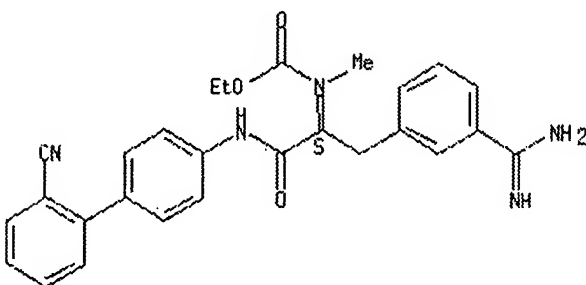
CN Carbamic acid, [(1S)-1-[[3-(aminoiminomethyl)phenyl]methyl]-2-[(2'-cyano[1,1'-biphenyl]-4-yl)amino]-2-oxoethyl]methyl-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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CRN 352620-68-9

CMF C27 H27 N5 O3

Absolute stereochemistry.

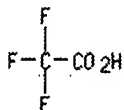


CM 2

CRN 76-05-1

CMF C2 H F3 O2

STN Columbus



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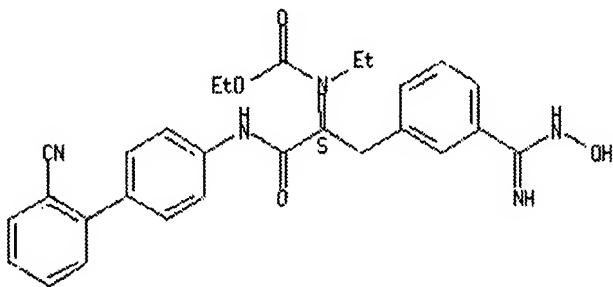
CN Carbamic acid, [(1S)-2-[(2'-cyano[1,1'-biphenyl]-4-yl)amino]-1-[[3-[(hydroxyamino)iminomethyl]phenyl]methyl]-2-oxoethyl]ethyl-, ethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

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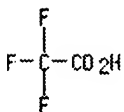
Absolute stereochemistry.



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CRN 76-05-1

CMF C2 H F3 O2



RN 352621-27-3 CAPLUS

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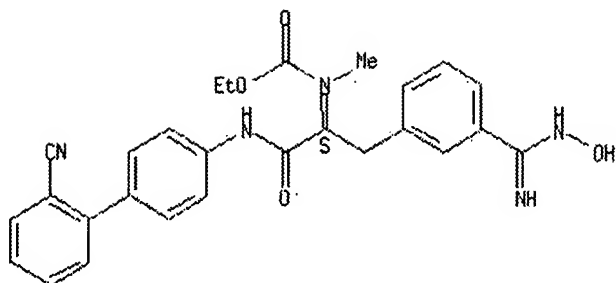
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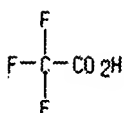
STN Columbus



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 18 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2001:453049 CAPLUS

DN 135:45999

TI Synthesis and use of serine protease inhibitors (substituted phenylglycine derivatives) as antiinflammatory agents

IN Lively, Sarah Elizabeth; Waszkowycz, Bohdan; Harrison, Martin James; Farthing, Christopher Neil; Johnson, Keith Michael

PA Protherics Molecular Design Limited, UK

SO PCT Int. Appl., 171 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 13

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WO 2001044226	A1	20010621	WO 2000-GB4764	20001213
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STN Columbus

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WO 2000-GB2291 W 20000613
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EP 1294691 A1 20030326 EP 2001-938399 20010612

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AU 2002022207 A5 20020624

US 2003018059 A1 20030123

US 2002-148174 20020603
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WO 2000-GB4764 W 20001213

PATENT FAMILY INFORMATION:

FAN 1999:184268

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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GB 1997-18392 A 19970829
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FAN 1999:184269
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WO 2000-GB4764 W 20001213
GB 2001-14185 A 20010612
WO 2001044226 A1 20010621 WO 2000-GB4764 20001213
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YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
GB 1999-29552 A 19991214
WO 2000-GB2291 W 20000613
AU 2002022207 A5 20020624 AU 2002-22207 20011212
WO 2000-GB4764 A 20001213
GB 2001-14185 A 20010612
WO 2001-GB5526 W 20011212
FAN 2002:964343
PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2002100847 A2 20021219 WO 2002-US16569 20020606
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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TJ, TM
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CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
WO 2001-GB2553 W 20010612
US 2001-339295PP 20011212
WO 2001096323 A1 20011220 WO 2001-GB2553 20010612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
WO 2000-GB2302 W 20000613
GB 2000-30304 A 20001213
OS MARPAT 135:45999
AB A tryptase inhibitor of formula I is claimed [wherein; R5 = amino, OH,
aminomethyl, hydroxymethyl or H; R6a = H or Me; X-X = CH:CH, CONR1a, NHCO,
NR1aCH2, CH2NR1a, CH2O, OCH2, CO2, OCO and CH2CH2, where R1a = H or
(phenyl)alkyl; L = CO or CONR1d(CH2)m, where m = 0-1 and R1d = H or
(phenyl)alkyl; Cy = (un)substituted (un)satd. mono or polycyclic homo or
heterocyclic group; Lp = (un)substituted alk(en)yl, carbocyclic,

STN Columbus

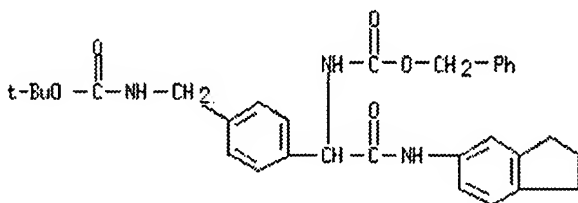
heterocyclic or a combination of 2 or more groups linked by a spiro linkage or a single or double bond or by CO, O, OCO, COO, S(O)0-2, etc.]. Over 100 synthetic examples are described. For example, 2,6-diaminobenzothiazole was coupled with N-tert-butoxycarbonyl-D-phenylglycine (EDC/HOAt/DMF) to make the 6-amide deriv., trifluoroacetate salt. The amide intermediate was deprotected (TFA), coupled to 3-((tert-butoxycarbonyl)aminomethyl)benzoic acid (EDC/HOAt/DMF) and deprotected (TFA) to give phenylglycine deriv. II, isolated as the bis-trifluoroacetate salt. Compds. of the invention are tryptase inhibitors and are useful as antiinflammatory agents (no data).

IT 313491-16-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and use of (hetero)arom. substituted phenylglycine derivs. as antiinflammatory agents)

RN 313491-16-6 CAPLUS

CN Carbamic acid, [2-[(2,3-dihydro-1H-inden-5-yl)amino]-1-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 19 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2001:278994 CAPLUS

DN 135:107312

TI Efficient synthesis of novel benzo-[e]-[1,4]-diazepine derivatives

AU Messeri, T.; Pentassuglia, G.; Di Fabio, R.

CS Medicines Research Center, GlaxoWellcome S.p.A., Verona, I-37135, Italy

SO Tetrahedron Letters (2001), 42(18), 3227-3230

CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier Science Ltd.

DT Journal

LA English

OS CASREACT 135:107312

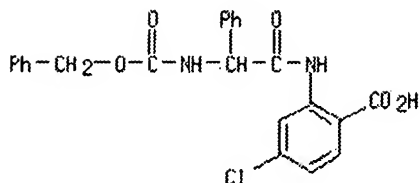
AB Following two efficient synthetic routes, a novel series of (2Z)-(8-chloro-1,2,3,4-tetrahydro-2-oxo-5H-1,4-benzodiazepin-5-ylidene)-N-phenylacetamide derivs. (bearing an unusual Z exo-methylencarbamoyl side chain at the C-5 position) were prepd. to identify new antagonists of the glycine binding site assocd. with NMDA receptor. Pharmacol. test data were not reported.

IT 350238-17-4P 350238-20-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of (2Z)-(8-chloro-1,2,3,4-tetrahydro-2-oxo-5H-1,4-benzodiazepin-5-ylidene)-N-phenylacetamide derivs.)

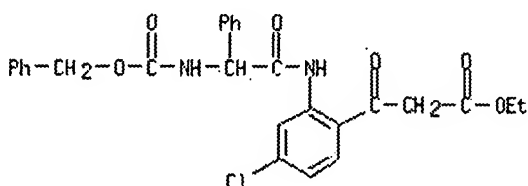
RN 350238-17-4 CAPLUS

CN Benzoic acid, 4-chloro-2-[[phenyl[(phenylmethoxy)carbonyl]amino]acetyl]amino]- (9CI) (CA INDEX NAME)



RN 350238-20-9 CAPLUS

CN Benzenepropanoic acid, 4-chloro- β -oxo-2-[[phenyl[(phenylmethoxy)carbonyl]amino]acetyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 20 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2001:271407 CAPLUS

DN 135:57729

TI Protease inhibitors, part 13: specific, weakly basic thrombin inhibitors incorporating sulfonyl dicyandiamide moieties in their structure

AU Clare, Brian W.; Scozzafava, Andrea; Supuran, Claudiu T.

CS Department of Chemistry, The University of Western Australia, Nedlands, 6009, Australia

SO Journal of Enzyme Inhibition (2001), 16(1), 1-13

CODEN: ENINEG; ISSN: 8755-5093

PB Harwood Academic Publishers

DT Journal

LA English

AB A series of compds. has been prepd. by reaction of dicyandiamide with alkyl/arylsulfonyl halides as well as arylsulfonyl isocyanates to locate a lead for obtaining weakly basic thrombin inhibitors with sulfonyl dicyandiamide moieties as the S1 anchoring group. The detected lead was sulfanilyl-dicyandiamide (KI of 3 μ M against thrombin, and 15 μ M against trypsin), which has been further derivatized at the 4-amino group by incorporating arylsulfonylureido as well as amino acyl/dipeptidyl groups protected at the amino terminal moiety with benzyloxycarbonyl or tosylureido moieties. The best compd. obtained (ts-D-Phe-Pro-sulfanilyl-dicyandiamide) showed inhibition consts. of 9 nM against thrombin and 1400 nM against trypsin. The pKa measurements showed that the new derivs. reported here do indeed possess a reduced basicity, with the pKa of the modified guanidine moieties in the range 7.9-8.3 pKa units. Mol. mechanics calcs. showed that the preferred tautomeric form of these compds. is of the type $\text{ArSO}_2\text{N}=\text{C}(\text{NH}_2)\text{NH}-\text{CN}$, probably allowing for the formation of favorable interaction between this new anchoring group and the active site amino acid residue Asp 189, crit. for substrate/inhibitor binding to this type of serine protease. Thus, the main finding of the present paper is that the sulfonyldicyandiamide group may constitute an interesting alternative for obtaining weakly basic, potent thrombin

STN Columbus

inhibitors, which bind with less affinity to trypsin.

IT 345916-21-4P

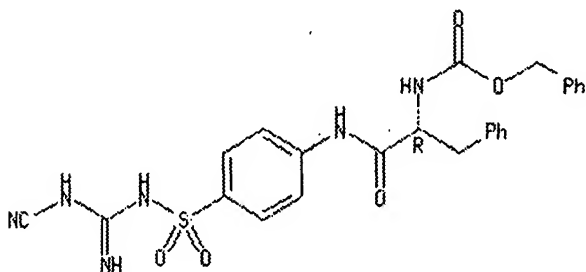
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of specific, weakly basic thrombin inhibitors incorporating sulfonyl dicyandiamide moieties in their structure)

RN 345916-21-4 CAPLUS

CN Carbamic acid, [(1R)-2-[[4-[[[(cyanoamino)iminomethyl]amino]sulfonyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 21 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2001:142719 CAPLUS

DN 134:326747

TI Solid-Phase Catalysis: A Biomimetic Approach toward Ligands on Dendritic Arms to Explore Recyclable Hydroformylation Reactions

AU Arya, Prabhat; Panda, Gautam; Rao, N. Venugopal; Alper, Howard; Bourque, S. Christine; Manzer, Leo E.

CS Steacie Institute for Molecular Sciences, National Research Council of Canada, Ottawa, ON, K1A 0R6, Can.

SO Journal of the American Chemical Society (2001), 123(12), 2889-2890
CODEN: JACSAT; ISSN: 0002-7863

PB American Chemical Society

DT Journal

LA English

OS CASREACT 134:326747

AB The authors have prepd. two dendritic immobilized ligands for the rhodium-catalyzed hydroformylation reaction which show improved recyclabilities. The approach was modular, allowing placement of ligands on dendrimer arms in a highly controlled manner. Building block (I) was used with solid-phase synthesis techniques to prep. two catalysts; the first [(II); R = Ac; (III)] had the metal-ligand group in a more-exposed surface orientation, while the second [(II); R = 3,5-(Ac-Phe-NH)2-C6H3-C(O)-Gly-; (IV)] added addnl. peptide layers to provide a biomimetic internal location for the metal ligand. Both III and IV showed high reactivities in the hydroformylation of styrene or 4-methoxystyrene, with conversions of >99% through five cycles, with high linear:branched product ratios (<14:1); in reactions with vinyl benzoate, the conversions ranged from 99% for III for the second cycle and 85% for the fifth, to 97% and 83% resp. for IV, with high linear:branched product ratios (<17:1).

IT 264617-46-1

RL: RCT (Reactant); RACT (Reactant or reagent)

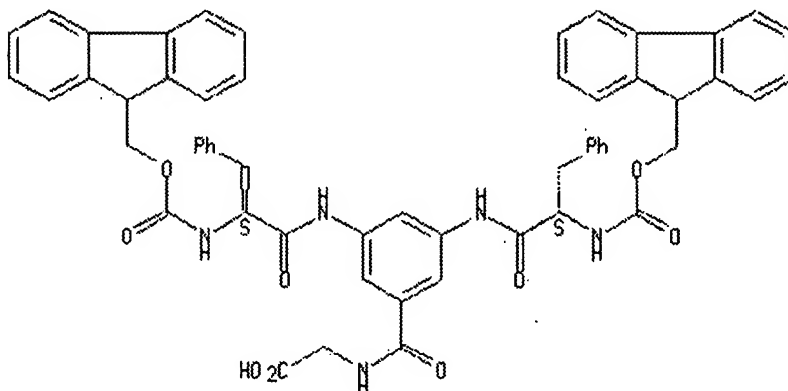
STN Columbus

(prepn. of dendritic biomimetic ligands for the rhodium-catalyzed hydroformylation reaction)

RN 264617-46-1 CAPLUS

CN Glycine, N-[3,5-bis[[[(2S)-2-[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-1-oxo-3-phenylpropyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 336109-50-3P 336109-51-4DP, resin-bound

336109-51-4P

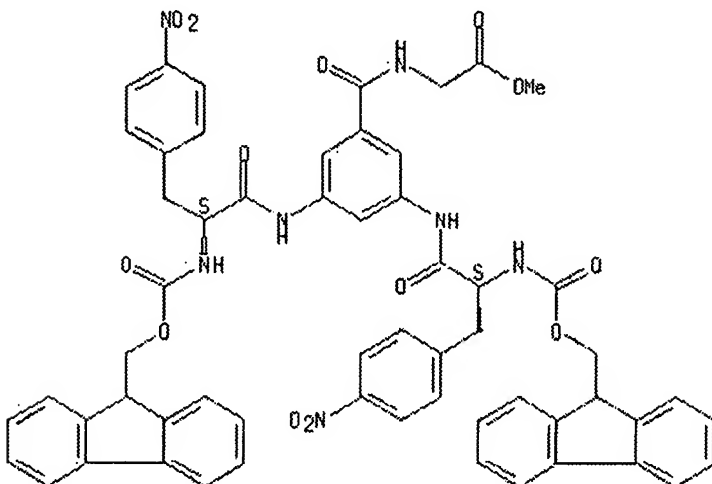
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of dendritic biomimetic ligands for the rhodium-catalyzed hydroformylation reaction)

RN 336109-50-3 CAPLUS

CN Glycine, N3,N5-bis[N-[(9H-fluoren-9-ylmethoxy)carbonyl]-4-nitro-L-phenylalanyl]-3,5-diaminobenzoyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

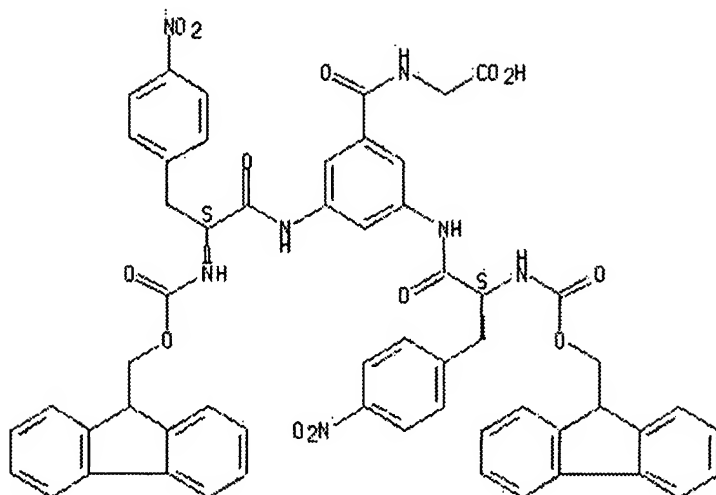


RN 336109-51-4 CAPLUS

CN Glycine, N3,N5-bis[N-[(9H-fluoren-9-ylmethoxy)carbonyl]-4-nitro-L-phenylalanyl]-3,5-diaminobenzoyl- (9CI) (CA INDEX NAME)

STN Columbus

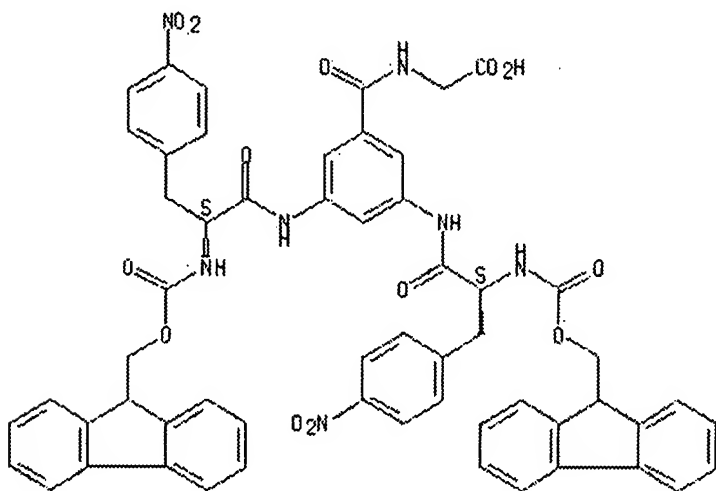
Absolute stereochemistry.



RN 336109-51-4 CAPLUS

CN Glycine, N3,N5-bis[N-[(9H-fluoren-9-ylmethoxy)carbonyl]-4-nitro-L-phenylalanyl]-3,5-diaminobenzoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 22 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2001:50635 CAPLUS

DN 134:115845

TI Preparation of α,β -annelated butyrolactones as modulators of metabotropic glutamate receptors.

IN Stolle, Andreas; Antonicek, Horst-Peter; Lensky, Stephan; Voerste, Arnd; Muller, Thomas; Baumgarten, Jorg; Von Dem Bruch, Karsten; Muller, Gerhard; Stropp, Udo; Horvath, Ervin; De Vry, Jean-Marie-Victor; Schreiber, Rudy

PA Bayer Aktiengesellschaft, Germany

STN Columbus

SO PCT Int. Appl., 215 pp.

CODEN: PIXXD2

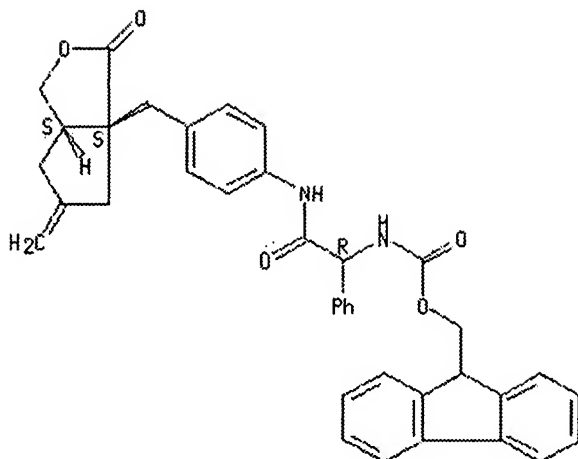
DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001004107	A1	20010118	WO 2000-EP6105	20000630
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OS	MARPAT 134:115845				
AB	Title compds. [I; A = CH ₂ , CO, C(OH)R ₄ , (CH ₂) _a CHR ₅ ; a = 0-4; R ₄ = H, alkyl; R ₅ = Ph; R ₁ = H, alkyl, cycloalkyl, (benzocondensed) (substituted) heterocyclyl; R ₂ , R ₃ = H, alkyl; DE = CH ₂ COCH ₂ , CH ₂ CH(OH)CH ₂ , CH ₂ C(OH)(CH ₂ OH)CH ₂ , CH ₂ C(:CR ₃₁ R ₃₂)CH ₂ , etc.; R ₃₁ , R ₃₂ = H, Ph, alkyl], were prepd. for treatment of cerebral ischemia, skull/brain trauma, pain, and CNS-induced cramps (no data). Thus, N-[(3a''S*,6a''S*)-4-(5-methylenehexahydrocyclopenta[c]furan-1-on-6ylmethyl)phenyl]bromoacetamide (prepn. given), Et ₃ N, and morpholine were refluxed 20 h in ProH to give 87% N-[(3a''S*,6a''S*)-4-(5-methylenehexahydrocyclopenta[c]furan-1-on-6ylmethyl)-phenyl]-N-morpholineacetamide.				
IT	321127-46-2P 321127-47-3P 321127-98-4P 321128-36-3P				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of α,β -annelated butyrolactones as modulators of metabotropic glutamate receptors)				
RN	321127-46-2	CAPLUS			
CN	Carbamic acid, [(1R)-2-oxo-1-phenyl-2-[[4-[[[(3aS,6aS)-tetrahydro-5-methylene-3-oxo-1H-cyclopenta[c]furan-3a(3H)-yl]methyl]phenyl]amino]ethyl]-, 9H-fluoren-9-ylmethyl ester, rel- (9CI) (CA INDEX NAME)				

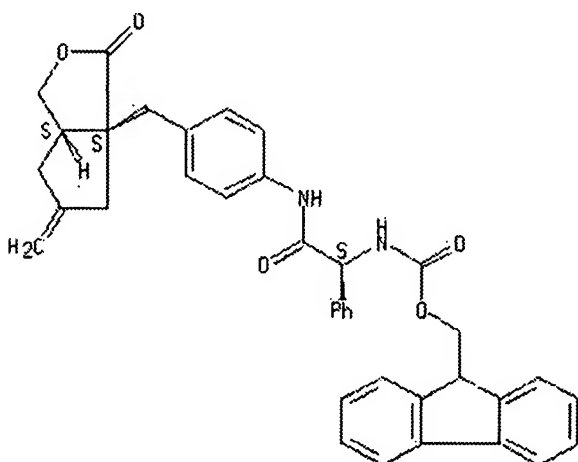
Relative stereochemistry.



RN 321127-47-3 CAPLUS

CN Carbamic acid, [(1R)-2-oxo-1-phenyl-2-[[4-[[[(3aR,6aR)-tetrahydro-5-methylene-3-oxo-1H-cyclopenta[c]furan-3a(3H)-yl]methyl]phenyl]amino]ethyl]-, 9H-fluoren-9-ylmethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

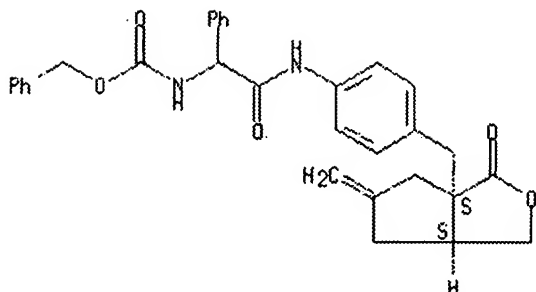


RN 321127-98-4 CAPLUS

CN Carbamic acid, [2-oxo-1-phenyl-2-[[4-[[[(3aR,6aR)-tetrahydro-5-methylene-3-oxo-1H-cyclopenta[c]furan-3a(3H)-yl]methyl]phenyl]amino]ethyl]-, phenylmethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

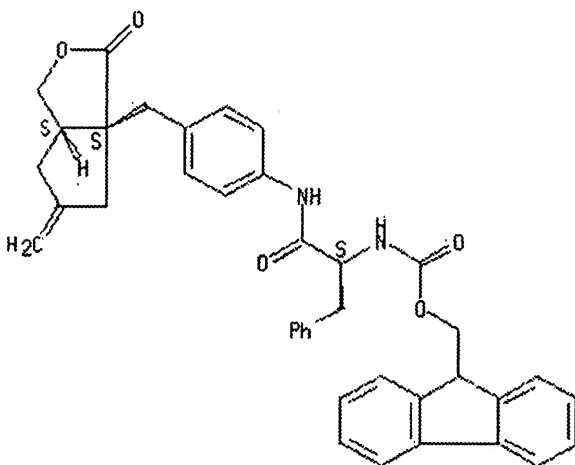
STN Columbus



RN 321128-36-3 CAPLUS

CN Carbamic acid, [(1R)-2-oxo-1-(phenylmethyl)-2-[[4-[[[(3aR,6aR)-tetrahydro-5-methylene-3-oxo-1H-cyclopenta[c]furan-3a(3H)-yl]methyl]phenyl]amino]ethyl]-, 9H-fluoren-9-ylmethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 23 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2000:900663 CAPLUS

DN 134:56961

TI Preparation of amino acid derivatives as serine protease inhibitors

IN Liebeschuetz, John Walter; Young, Stephen Clinton; Lively, Sarah Elizabeth; Harrison, Martin James; Waszkowycz, Bohdan; Morgan, Phillip John

PA Protherics Molecular Design Ltd., UK

SO PCT Int. Appl., 126 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000077027	A2	20001221	WO 2000-GB2291	20000613
	WO 2000077027	A3	20010525		

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STN Columbus

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GB 1999-13823 A 19990614
US 1999-142064PP 19990702
GB 1999-18741 A 19990809
GB 1999-29552 A 19991214
GB 1999-29553 A 19991214
WO 2001044226 A1 20010621 WO 2000-GB4764 20001213
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GB 1999-29552 A 19991214
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EP 1240154 A1 20020918 EP 2000-981478 20001213
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GB 1999-29552 A 19991214
WO 2000-GB2291 W 20000613
WO 2000-GB4764 W 20001213
WO 2001096305 A1 20011220 WO 2001-GB2566 20010612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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WO 2000-GB2291 W 20000613
WO 2000-GB4764 W 20001213
EP 1294691 A1 20030326 EP 2001-938399 20010612
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US 2002055522 A1 20020509 US 2001-988082 20011119
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WO 1998-GB2605 W 19980828
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US 1999-142064PP 19990702
US 2000-485678 A220000225
WO 2000-GB2291 A220000613

PATENT FAMILY INFORMATION:
FAN 1999:184268
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PI WO 9911657 A1 19990311 WO 1998-GB2600 19980828
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EP 1289953	A1	20030312	WO 2001-GB2541 W 20010612
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			GB 2000-30305 A 20001213
			WO 2001-GB2551 W 20010612
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FAN 2001:923766

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FAN 2002:354079
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WO 1998-GB2605 W 19980828
GB 1999-13823 A 19990614
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GB 1999-29553 A 19991214

FAN 2002:465859

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FAN 2002:964343

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WO 2000-GB2302 W 20000613

GB 2000-30304 A 20001213

OS MARPAT 134:56961

AB Compds. R²-X-X-Y(Cy)-L-Lp(D)_n [R² represents a 5- or 6-membered arom. carbon ring optionally interrupted by a N, O or S ring atom, substituted at the 3 and/or 4 position by aminoalkyl, and optionally substituted in position alpha to the X-X group by amino, hydroxy, halo, alkyl, carboxy, cyano, amido, aminoalkyl, alkoxy or alkylthio; X is a C, N, O or S atom or a CO, CR^{1a}, C(R^{1a})₂ or NR^{1a} group, where R^{1a} represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl (at least of X is C or a substituted C group); L is an org. linker group contg. 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y is a N atom or a CR^{1b} group (R^{1b} defined as for R^{1a}); Cy is an (un)substituted, (un)satd., mono- or polycyclic, homo- or heterocyclic group; Lp is a lipophilic org. group; D is a hydrogen bond donor group; n = 0-2] were prepd. for use as serine protease inhibitors. Thus, 3-(aminomethyl)benzoyl-D-phenylglycine 2-aminobenzothiazol-6-amide bis(trifluoroacetate) salt was prepd. from Boc-D-phenylglycine (Boc = tert-butoxycarbonyl) via amidation and acylation reactions. The synthesized compds. have been found to be inhibitors of tryptase by the method of Tapparelli et al. (1993).

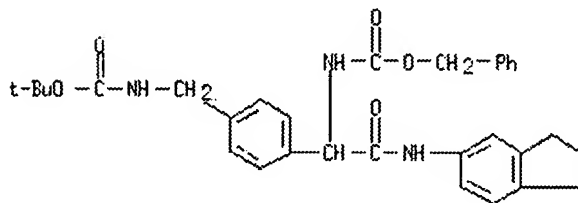
IT 313491-16-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of amino acid derivs. as serine protease inhibitors)

RN 313491-16-6 CAPLUS

CN Carbamic acid, [2-[(2,3-dihydro-1H-inden-5-yl)amino]-1-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 24 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2000:900613 CAPLUS

DN 134:56957

TI Preparation of amino acid derivatives as serine protease inhibitors

IN Liebeschuetz, John Walter; Lyons, Amanda Jane; Murray, Christopher William; Rimmer, Andrew David; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Morgan, Phillip John; Richards, Simon James; Wylie, William Alexander; Lively, Sarah Elizabeth; Harrison, Martin James; Waszkowycz, Bohdan; Masters, John Joseph; Wiley, Michael John

PA Eli Lilly and Company, USA; Protherics Molecular Design Limited

SO PCT Int. Appl., 350 pp.

CODEN: PIXXD2

DT Patent

LA English

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PATENT FAMILY INFORMATION:

FAN 1999:184268

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US 2000-485677 A120000225

FAN 1999:184269

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WO 9911658	A1	19990311	WO 1998-GB2605	19980828
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AU 9888757	A1	19990322	GB 1997-18392	A 19970829
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EP 1009758	A1	20000621	WO 1998-GB2605	W 19980828
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			GB 1998-3173	A 19980213
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US 2002055522	A1	20020509	US 2001-988082	20011119
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			US 2000-485678	A220000225
			WO 2000-GB2291	A220000613

FAN 2000:900614

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WO 2000076971	A2	20001221	WO 2000-GB2302	20000613
WO 2000076971	A3	20010802		
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			US 1999-142064PP	19990702
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			US 1999-142064PP	19990702
			GB 1999-18741	A 19990809
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			WO 2000-GB2302	A 20000613
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 JP 2001-503831 20000613
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EP 1289950 A1 20030312 EP 2001-938386 20010612
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FAN 2000:900663
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PI WO 2000077027 A2 20001221 WO 2000-GB2291 20000613
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 FAN 2001:923765
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 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
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 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 WO 2000-GB2302 W 20000613
 GB 2000-30305 A 20001213
 WO 2000076971 A2 20001221 WO 2000-GB2302 20000613
 WO 2000076971 A3 20010802
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,
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 GB 1999-18741 A 19990809
 GB 1999-29553 A 19991214
 EP 1289954 A1 20030312 EP 2001-940716 20010612
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 WO 2000-GB2302 A 20000613
 GB 2000-30305 A 20001213
 WO 2001-GB2551 W 20010612

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US 2003109706	A1	20030612	US 2002-30188	20020204
			WO 2000-GB2302 A	20000613
			GB 2000-30305 A	20001213
			WO 2001-GB2551 W	20010612

FAN 2001:923766

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO 2001096304 A1 20011220 WO 2001-GB2572 20010612

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

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WO 2000-GB2302 W 20000613
GB 2000-30306 A 20001213
WO 2000-GB2302 20000613

WO 2000076971 A2 20001221
WO 2000076971 A3 20010802

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

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EP 2001-938403 20010612

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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

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US 2002151724 A1 20021017

FAN 2001:923784

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO 2001096323 A1 20011220 WO 2001-GB2553 20010612

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

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GB 2000-30304 A 20001213
WO 2000-GB2302 20000613

WO 2000076971 A2 20001221
WO 2000076971 A3 20010802

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,

STN Columbus

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GB 1999-18741 A 19990809
GB 1999-29553 A 19991214

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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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US 2001-339295PP 20011212
NO 2002-5665 20021125
WO 2000-GB2302 A 20000613
GB 2000-30304 A 20001213
WO 2001-GB2553 W 20010612

FAN 2002:354079

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002055522	A1	20020509	US 2001-988082	20011119
			GB 1997-18392	A 19970829
			GB 1998-3173	A 19980213
			WO 1998-GB2605	W 19980828
			GB 1999-13823	A 19990614
			US 1999-142064PP	19990702
			US 2000-485678	A220000225
			WO 2000-GB2291	A220000613
WO 9911658	A1	19990311	WO 1998-GB2605	19980828
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			GB 1997-18392	A 19970829
			GB 1998-3173	A 19980213
WO 2000077027	A2	20001221	WO 2000-GB2291	20000613
WO 2000077027	A3	20010525		

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GB 1999-18741 A 19990809
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FAN 2002:465859

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002047762	A1	20020620	WO 2001-GB5526	20011212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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WO 2000-GB4764 W 20001213 GB 2001-14185 A 20010612				
WO 2001044226	A1	20010621	WO 2000-GB4764	20001213
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AU 2002022207	A5	20020624	AU 2002-22207	20011212
WO 2000-GB4764 A 20001213 GB 2001-14185 A 20010612 WO 2001-GB5526 W 20011212				

FAN 2002:964343

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002100847	A2	20021219	WO 2002-US16569	20020606
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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WO 2001-GB2553 W 20010612				

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US 2001-339295PP 20011212
 WO 2001096323 A1 20011220 WO 2001-GB2553 20010612

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

WO 2000-GB2302 W 20000613
 GB 2000-30304 A 20001213

OS MARPAT 134:56957

AB Compds. R2-X-X-Y(Cy)-L-Lp(D)n [R2 represents a 5- or 6-membered arom. carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5 or 6 membered carbocyclic or heterocyclic ring; X is a C, N, O or S atom or a CO, CR1a, C(R1a)2 or NR1a group, where R1a represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, alkylaminocarbonyl, alkoxycarbonylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; L is an org. linker group contg. 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y is a N atom or a CR1b group (R1b defined as for R1a); Cy is an (un)substituted, (un)satd., mono- or polycyclic, homo- or heterocyclic group; Lp is a lipophilic org. group; D is a hydrogen bond donor group; n = 0-2] were prepd. for use as serine protease inhibitors. Compds. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-(3-amino-2-naphthoyl-D-phenylglycyl)-4,4'-bispiperidine was prepd. and shown to double the prothrombin time at a concn. of 26 .mu.M.

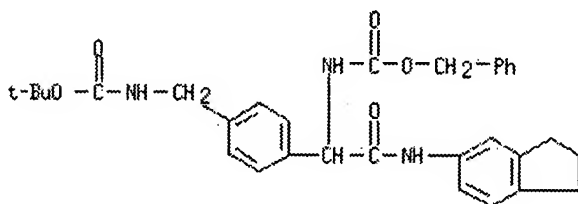
IT 313491-16-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of amino acid derivs. as serine protease inhibitors)

RN 313491-16-6 CAPLUS

CN Carbamic acid, [2-[(2,3-dihydro-1H-inden-5-yl)amino]-1-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 25 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2000:845882 CAPLUS

DN 134:116228

TI Synthesis of the novel amino acid 4-amino-3-(aminomethyl)benzoic acid (AmAbz) and its protected derivatives as building blocks for pseudopeptide synthesis

AU Pascal, Robert; Sola, Regine; Labeguere, Frederic; Jouin, Patrick

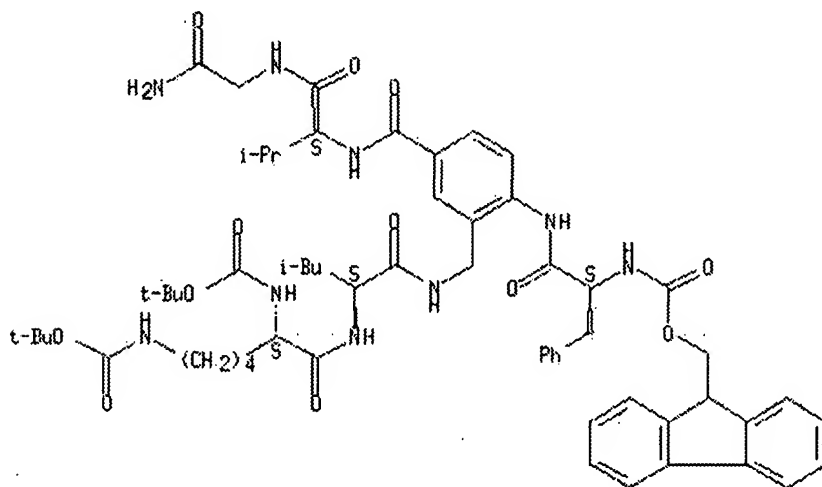
CS CNRS UPR 9023, Mecanismes Moleculaires des Communications Cellulaires,

Centre de Pharmacologie-Endocrinologie, Montpellier, 34094, Fr.
 SO European Journal of Organic Chemistry (2000), (22), 3755-3761
 CODEN: EJOCFK; ISSN: 1434-193X
 PB Wiley-VCH Verlag GmbH
 DT Journal
 LA English
 OS CASREACT 134:116228
 AB 4-Amino-3-(aminomethyl)benzoic acid (AmAbz) is a novel amino acid, suitable as a building block for the synthesis of peptidomimetics and as a scaffold for combinatorial chem. AmAbz was efficiently synthesized in three steps (63% overall yield) from 4-aminobenzoic acid using regioselective amidomethylation with hydroxymethylphthalimide. AmAbz contains three distinct functionalities which could be discriminated from one another. Firstly, Boc2O or Fmoc-OSu reacted selectively with the benzylamino group to give the monoprotected derivs., 4-amino-3-(tert-butoxycarbonylaminomethyl)benzoic acid [AmAbz(Boc)] or 4-amino-3-(9-fluorenylmethoxycarbonylaminomethyl)benzoic acid [AmAbz(Fmoc)]. The absence of acylation at the arylamino group was also noticed in coupling expts. using the BOP reagent and building block AmAbz(Fmoc). This made protection of the arylamino group unnecessary either for peptide bond formation at the carboxyl group, or for subsequent elongation of a peptide chain at the benzylamino group. Finally, the arylamino group could be acylated under base-free, carbodiimide-mediated coupling conditions. These properties are illustrated by the solid-phase synthesis of the AmAbz-contg. branched pseudopeptide Fmoc-Ala-Phe-AmAbz(H-Lys-Leu)-Val-Gly-NH₂. The synthesis of 4-(9-fluorenylmethoxycarbonylamino)-3-(tert-butoxycarbonylaminomethyl)benzoic acid, Fmoc-AmAbz(Boc)-OH, is also described.

IT 320727-09-1DP, resin-bound
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of (amino)(aminomethyl)benzoic acid as a novel amino acid and using its protected derivs. in peptide synthesis)

RN 320727-09-1 CAPLUS
 CN Glycinamide, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-phenylalanyl-4-amino-3-[[[N2,N6-bis[(1,1-dimethylethoxy)carbonyl]-L-lysyl-L-leucyl]amino]methyl]benzoyl-L-valyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

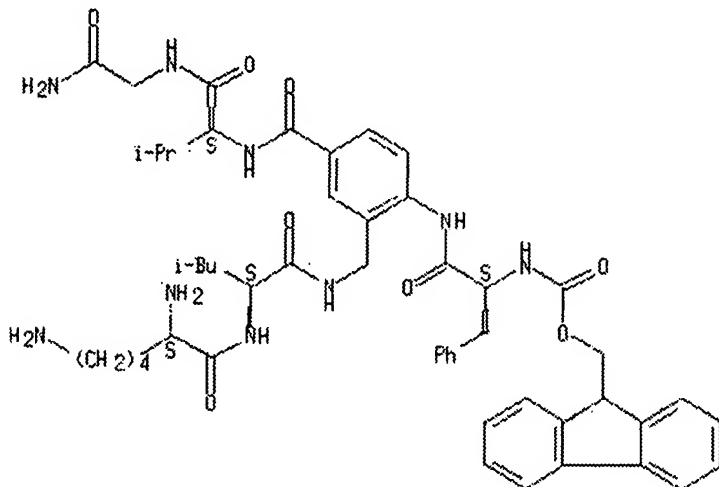


IT 320727-11-5P

STN Columbus

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of (amino)(aminomethyl)benzoic acid as a novel amino acid and
 using its protected derivs. in peptide synthesis)
 RN 320727-11-5 CAPLUS
 CN Glycinamide, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-phenylalanyl-4-amino-3-
 [[[(L-lysyl-L-leucyl)amino)methyl]benzoyl-L-valyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 26 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2000:368300 CAPLUS

DN 133:177463

TI Protease inhibitors. Part 2. Weakly basic thrombin inhibitors
 incorporating sulfonyl-aminoguanidine moieties as S1 anchoring groups:
 synthesis and structure-activity correlations

AU Clare, Brian W.; Scozzafava, Andrea; Briganti, Fabrizio; Iorga, Bogdan;
 Supuran, Claudiu T.

CS Division of Science, Murdoch University, Perth, 6150, Australia

SO Journal of Enzyme Inhibition (2000), 15(3), 235-264

CODEN: ENINEG; ISSN: 8755-5093

PB Harwood Academic Publishers

DT Journal

LA English

AB Two series of derivs. have been prepd. and assayed as inhibitors of two
 physiol. relevant serine proteases, human thrombin and human trypsin. The
 first series includes alkyl-/aralkyl-/aryl- and hetarylsulfonyl-
 aminoguanidines. It was thus obsd. that sulfanilyl-amino-guanidine
 possesses moderate but intrinsically selective thrombin inhibitory
 properties, with K1 values around 90 and 1400 nM against thrombin and
 trypsin resp. Further elaboration of this mol. afforded compds. that
 inhibited thrombin with K1 values in the range 10-50 nM, whereas affinity
 for trypsin remained relatively low. Such compds. were obtained either by
 attaching benzyloxycarbonyl- or 4-toluenesulfonylureido-protected amino
 acids (such as D-Phe, L-Pro) or dipeptides (such as Phe-Pro, Gly-His,
 β-Ala-His or Pro-Gly) to the N-4 atom of the lead mol.,
 sulfanilyl-amino-guanidine, or by attaching substituted-pyridinium-
 propylcarboxamido moieties to this lead. Thus, this study brings novel

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insights regarding a novel non-basic S1 anchoring moiety (i.e., SO₂NHNHC(=NH)NH₂), and new types of peptidomimetic scaffolds obtained by incorporating tosylureido-amino acids/pyridinium-substituted-GABA moieties in the hydrophobic binding site(s). Structure-activity correlations of the new serine protease inhibitors are also discussed based on a QSAR model described previously for a large series of structurally-related derivs.

IT 276245-86-4P

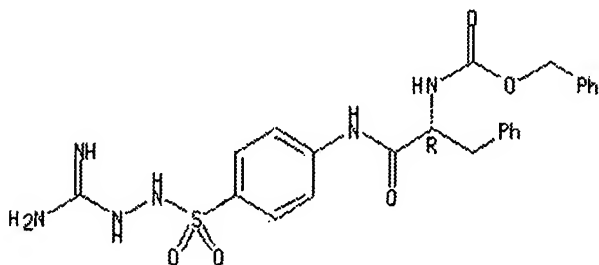
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and structure-activity correlations of weakly basic thrombin inhibitors incorporating sulfonyl-aminoguanidine moieties as S1 anchoring groups)

RN 276245-86-4 CAPLUS

CN Benzenesulfonic acid, 4-[[[(2R)-1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]-, 2-(aminoiminomethyl)hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 27 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2000:248968 CAPLUS

DN 133:43792

TI Design and evaluation of benzophenone-containing conformationally constrained ligands as tools for photoaffinity scanning of the integrin $\alpha v \beta 3$ -ligand bimolecular interaction

AU Bitan, Gal; Scheibler, Lukas; Teng, H.; Rosenblatt, Michael; Chorev, Michael

CS Division of Bone and Mineral Metabolism, Charles A. Dana and Thorndike Laboratories, Department of Medicine, Beth Israel Deaconess Medical Center and Harvard Medical School, Boston, MA, 02215, USA

SO Journal of Peptide Research (2000), 55(3), 181-194
CODEN: JPERFA; ISSN: 1397-002X

PB Munksgaard International Publishers Ltd.

DT Journal

LA English

AB To generate tools for photoaffinity scanning of the RGD-binding site of human integrin $\alpha v \beta 3$, new conformationally constrained ligands were designed. The ligands were based on five different cyclic peptidic or peptidomimetic scaffolds with high affinity for $\alpha v \beta 3$. A single photoreactive group, a benzophenone moiety, was introduced at different positions relative to the RGD triad. In addn., 125I or a biotin group was introduced as a reporting tag. Twenty-four cyclic ligands were prepd. and their binding affinity for $\alpha v \beta 3$ was detd. In most cases, the modifications resulted in a 5- to 500-fold decrease in affinity

STN Columbus

relative to the unmodified scaffold. Analogs representing 3 of the 5 families were screened for their crosslinking efficiency. Ligands with sub-micromolar affinities cross-linked efficiently and specifically to the integrin receptor, whereas ligands with weaker affinities gave specific crosslinking, but with lower efficiency. Almost all of the screened ligands cross-linked predominantly to the $\beta 3$ subunit.

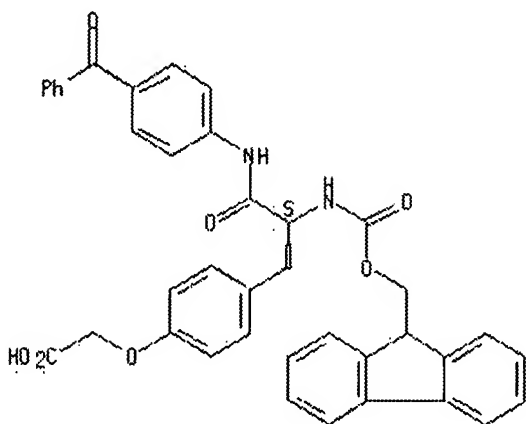
IT 274676-09-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and integrin- $\alpha v \beta 3$ affinity of benzophenone-contg. conformationally constrained peptides and peptidomimetics)

RN 274676-09-4 CAPLUS

CN Acetic acid, [4-[(2S)-3-[(4-benzoylphenyl)amino]-2-[[[9H-fluoren-9-ylmethoxy]carbonyl]amino]-3-oxopropyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 28 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2000:246797 CAPLUS

DN 133:37718

TI Protease Inhibitors: Synthesis and QSAR Study of Novel Classes of Nonbasic Thrombin Inhibitors Incorporating Sulfonylguanidine and O-Methylsulfonylisourea Moieties at P1

AU Supuran, Claudiu T.; Scozzafava, Andrea; Briganti, Fabrizio; Clare, Brian W.

CS Laboratorio di Chimica Inorganica e Bioinorganica, Universita degli Studi, Florence, I-50121, Italy

SO Journal of Medicinal Chemistry (2000), 43(9), 1793-1806
CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

AB Using benzamidine as a lead mol., two series of alkyl/aralkyl/arylsulfonylguanidines/sulfonyl-O-methylisoureas have been prepd. and assayed as inhibitors of two serine proteases, thrombin and trypsin. The study showed that sulfaguanidine and its corresponding O-methylisourea deriv. possess moderate but intrinsically selective thrombin inhibitory properties, with KI's around 100 nM against thrombin and 1350-1500 nM against trypsin. Further elaboration of these two mols. afforded compds. that inhibited thrombin with KI's in the range of 12-50

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nM, whereas affinity for trypsin remained relatively low. Such compds. were obtained by attaching benzyloxycarbonyl- or 4-toluenesulfonylureido-protected amino acids (such as L- and D-Phe or L-Pro) or dipeptides (such as Phe-Pro, Gly-His, β -Ala-His, or Pro-Gly) to the two leads mentioned above, sulfaguanidine and 4-aminobenzenesulfonyl-O-methylisourea. Thus, the present study proposes two novel approaches for the prepn. of high-affinity, specific thrombin inhibitors: two novel S1 anchoring moieties in the already large family of arginine/amidine-based inhibitors and novel peptidomimetic scaffolds obtained by incorporating tosylureido amino acids in the hydrophobic binding site(s). The first one is important for obtaining bioavailable thrombin inhibitors, devoid of the high basicity of the commonly used arginine/amidine-based inhibitors, whereas the second one may lead to improved water soly. of such compds. due to facilitated metal (sodium) salts formation (at the relatively acidic SO_2NHCO protons) as well as increased stability at hydrolysis (in vivo). A QSAR study also explained the activity in terms of global properties of the mols., electronic properties of the sulfonylguanidine/sulfonylisourea moiety, and novel descriptors, the frontier orbital phase angles (FOPA), that account for the directions of the nodes in the π orbitals in the arom. portion of those of the drugs in which the sulfonyl group was bound to a benzene ring. For thrombin inhibition, the size of the mol. was the dominant influence, while for trypsin inhibition the FOPA was the principal determinant of activity. The dependence of activity on the FOPA variables is perhaps the clearest example of a quantum effect in pharmacol. and suggests a promising new tool for drug design.

IT 276245-27-3P 276245-66-0P 276245-86-4P

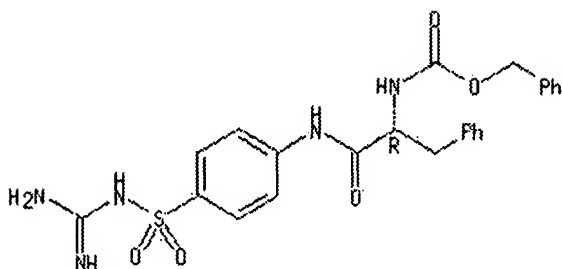
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and QSAR study of thrombin inhibitors incorporating sulfonylguanidine and O-methylsulfonylisourea moieties)

RN 276245-27-3 CAPLUS

CN Carbamic acid, [(1R)-2-[[4-[[[aminoiminomethyl]amino]sulfonyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

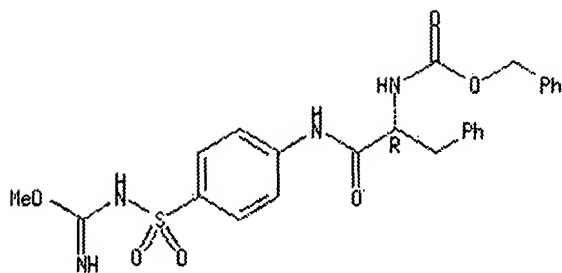


RN 276245-66-0 CAPLUS

CN Carbamic acid, [(1R)-2-[[4-[[[iminomethoxymethyl]amino]sulfonyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

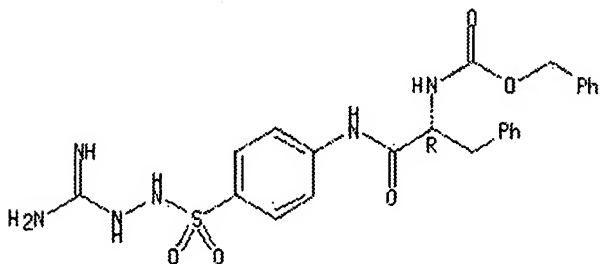
STN Columbus



RN 276245-86-4 CAPLUS

CN Benzenesulfonic acid, 4-[[[(2R)-1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]-, 2-(aminoiminomethyl)hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 72 THERE ARE 72 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 29 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2000:137837 CAPLUS

DN 132:293309

TI A Divergent, Solid-Phase Approach to Dendritic Ligands on Beads.
Heterogeneous Catalysis for Hydroformylation Reactions

AU Arya, Prabhat; Rao, N. Venugopal; Singkhonrat, Jirada; Alper, Howard;
Bourque, S. Christine; Manzer, Leo E.

CS Chemical Biology Program Steacie Institute for Molecular Sciences,
National Research Council of Canada, Ottawa, ON, K1A 0R6, Can.

SO Journal of Organic Chemistry (2000), 65(6), 1881-1885
CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

OS CASREACT 132:293309

AB Three generations of dendritic phosphines were prep'd. from
3,5-diaminobenzoylglycine and 9-fluorenylmethoxycarbonyl-L-phenylalanine.
The dendrimers were then attached to MBHA resin and treated with CH₂O and
Ph₂PH, and converted to their Rh complexes. The polymer-supported
complexes are excellent catalysts for the hydroformylation of alkenes
which could be recycled.

IT 264617-45-0P 264617-46-1DP, resin-bound
264617-46-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

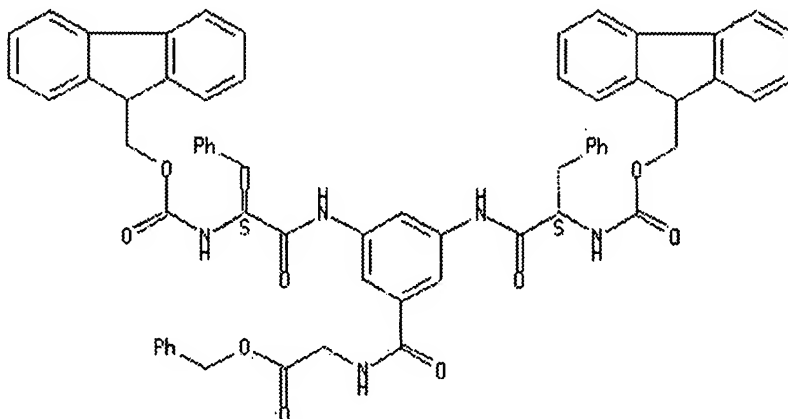
(prepn. of polymer-supported phosphinomethylphenylalanylaminobenzoylgly
cine dendrimers as ligands for hydroformylation catalysts)

STN Columbus

RN 264617-45-0 CAPLUS

CN Glycine, N-[3,5-bis[[[(2S)-2-[[[9H-fluoren-9-ylmethoxy)carbonyl]amino]-1-oxo-3-phenylpropyl]amino]benzoyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

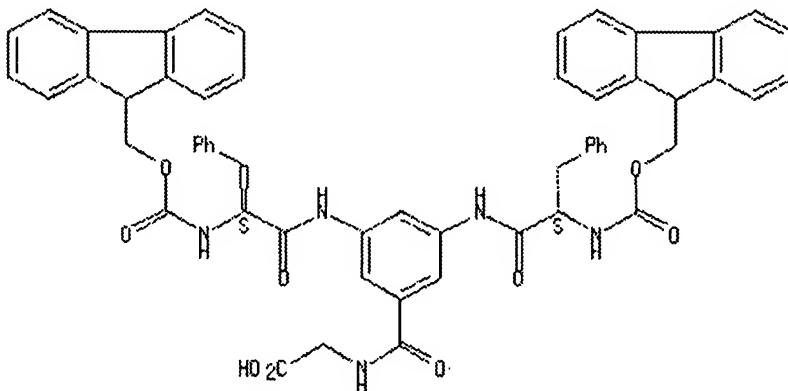
Absolute stereochemistry.



RN 264617-46-1 CAPLUS

CN Glycine, N-[3,5-bis[[[(2S)-2-[[[9H-fluoren-9-ylmethoxy)carbonyl]amino]-1-oxo-3-phenylpropyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

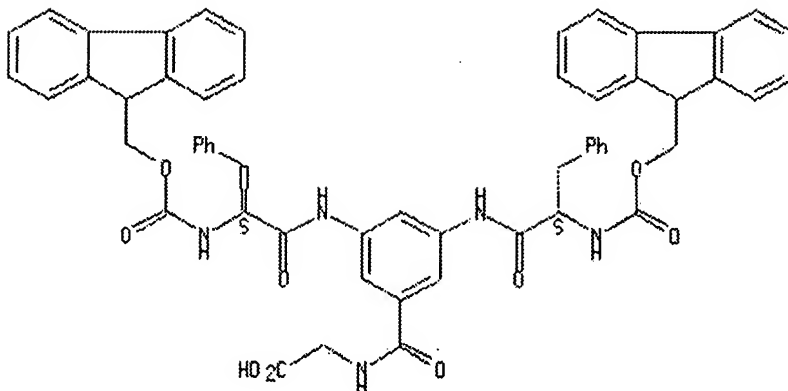


RN 264617-46-1 CAPLUS

CN Glycine, N-[3,5-bis[[[(2S)-2-[[[9H-fluoren-9-ylmethoxy)carbonyl]amino]-1-oxo-3-phenylpropyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

STN Columbus



RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 30 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2000:84633 CAPLUS

DN 132:148494

TI Novel fluorescence dyes and their applications for whole cell fluorescence screening assays for caspases, peptidases, proteases and other enzymes and the use thereof

IN Zhang, Han-zhong; Cai, Sui Xiong; Drewe, John A.; Yang, Wu

PA Cytovia, Inc., USA

SO PCT Int. Appl., 174 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000004914	A1	20000203	WO 1999-US16423	19990721
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9951160	A1	20000214	US 1998-93642P P	19980721
			AU 1999-51160	19990721
			US 1998-93642P P	19980721
			WO 1999-US16423W	19990721
EP 1100520	A1	20010523	EP 1999-935751	19990721
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
			US 1998-93642P P	19980721
			WO 1999-US16423W	19990721
US 6248904	B1	20010619	US 1999-357952	19990721
			US 1998-93642P P	19980721

OS MARPAT 132:148494

AB The present invention relates to novel fluorescent dyes, novel fluorogenic and fluorescent reporter mols., and new enzymes assay processes that can be used to detect the activity of caspases and other enzymes involved in apoptosis in whole cells, cell lines and tissue samples derived from any living organism or organ. The reporter mols. and assay processes can be

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used in drug screening procedures to identify compds. which act as inhibitors or inducers of the caspase cascade in whole cells or tissues. The reagents and assays described herein are also useful for detg. the chemosensitivity of human cancer cells to treatment with chemotherapeutic drugs. The present invention also relates to novel fluorogenic and fluorescent reporter mols. and new enzyme assay processes that can be used to detect the activity of type 2 methionine aminopeptidase, HIV protease, adenovirus protease, HSV-1 protease, HCMV protease and HCV protease. Thus, for example, recombinant Caspase-3 cleaves the substrates N-(Z-Asp-Glu-Val-Asp)-N'-pentafluorobenzoyl-Rhodamine 110 and N-(Ac-Asp-Glu-Val-Asp)-N'-(2,3,4,5-tetrafluorobenzoyl)-Rhodamine 110. Syntheses are provided for the prepn. of the substrates comprising reacting Rhodamine with a substituted benzoyl chloride to give N-substituted benzoyl-Rhodamine, followed by condensing the N-substituted benzoyl-Rhodamine with protected amino acid/peptide derivs. and removal of the protecting groups.

IT 256528-60-6P

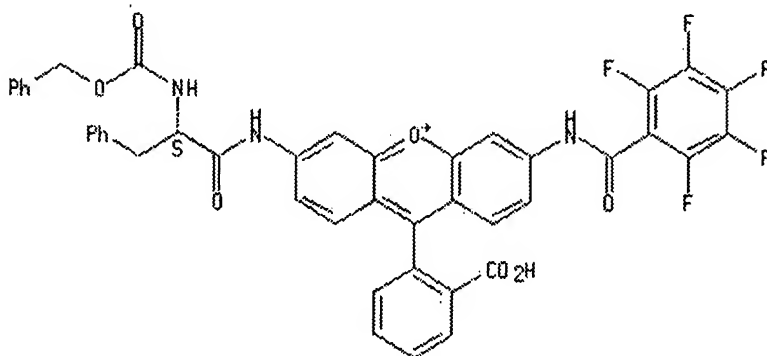
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(fluorescence dyes and their applications for whole cell fluorescence screening assays for caspases, peptidases, proteases and other enzymes)

RN 256528-60-6 CAPLUS

CN Xanthylium, 9-(2-carboxyphenyl)-3-[[[(2S)-1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]-6-[(pentafluorobenzoyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 31 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 2000:68948 CAPLUS

DN 132:251284

TI Total Synthesis of the Fumiquinazoline Alkaloids: Solution-Phase Studies

AU Wang, Haishan; Ganesan, A.

CS Institute of Molecular and Cell Biology, National University of Singapore, Singapore, 117609, Singapore

SO Journal of Organic Chemistry (2000), 65(4), 1022-1030

CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

OS CASREACT 132:251284

AB Biomimetic total syntheses of gyantrypine (I), fumiquinazoline F,

STN Columbus

fumiquinazoline G, and fiscalin B were achieved in four steps from tryptophan Me ester. In the key step, the anthranilamide residue in a linear tripeptide is dehydrated to a benzoxazine, e.g. II, by reaction with triphenylphosphine, iodine, and a tertiary amine. The benzoxazines subsequently undergo rearrangement to the natural products via an amidine intermediate. This dehydrative oxazine to quinazoline route is applicable to a broad range of N-acylanthranilamides, including sterically hindered cases.

IT 262590-36-3P

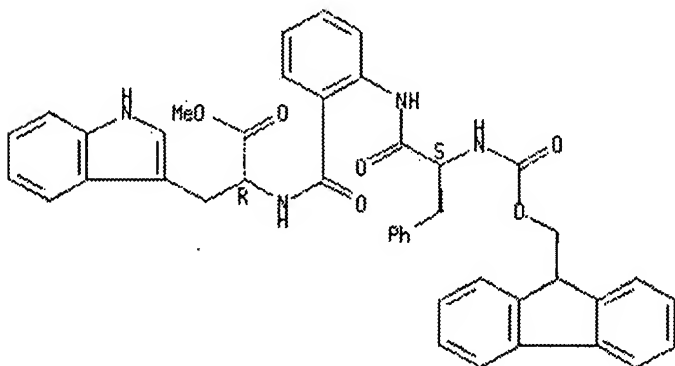
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(total synthesis of fumiquinazoline alkaloids, soln.-phase studies)

RN 262590-36-3 CAPLUS

CN D-Tryptophan, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-phenylalanyl-2-aminobenzoyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 32 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1999:784047 CAPLUS

DN 132:31755

TI Construction and use of catalogued nucleic acid libraries from mixed samples using pos. and neg. selection and normalization methods

IN Short, Jay M.

PA Diversa Corporation, USA

SO PCT Int. Appl., 90 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	-----	-----	-----	-----
PI	WO 9962847	A2	19991209	WO 1999-US12496	19990603
	WO 9962847	A3	20000323		
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,				

STN Columbus

ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

			US 1998-89789	A119980603
CA 2330827	AA	19991209	CA 1999-2330827	19990603
			US 1998-89789	A 19980603
			WO 1999-US12496W	19990603
AU 9943335	A1	19991220	AU 1999-43335	19990603
			US 1998-89789	A 19980603
			WO 1999-US12496W	19990603
EP 1084277	A2	20010321	EP 1999-955262	19990603
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
			US 1998-89789	A 19980603
			WO 1999-US12496W	19990603
JP 2002516679	T2	20020611	JP 2000-552062	19990603
			US 1998-89789	A 19980603
			WO 1999-US12496W	19990603

AB Claimed is a process for constructing a catalogued nucleic acid library from a mixed sample, in which the proportional representation of the constituents is adjusted to advantage through the use of pos. and neg. selection and library normalization, resulting in a need for screening significantly fewer library constituents in order to identify a potentially desired constituent. Moreover, library constituents that previously would have been essentially "lost" are now recoverable. Preferred embodiments of this invention include the cataloguing, normalization, and enrichment of library constituents. By way of example, but not limitation, this technol. is serviceable for constructing a library that contains an adequate representation of desirable constituents that (1) are initially found in low-copy nos. within a sample source or (2) originate from an organism that is problematic to culture. Applicable uses of this invention include any library-screening endeavor previously hindered by logistical impediments. By expanding previous logistical frontiers this invention allows for a novel generation of previously unattainable mols. - particularly mols. that are "unclonable" from conventional, unadjusted libraries - to now be detected, cloned, manipulated, expressed, studied, and used. By disclosing the construction and screening of high yielding nucleic acid libraries from mixed and uncultivated organisms, the instant technol. eclipses former boundaries in the area of biol. discovery and enables the full breadth of biol. diversity to be accessed in the search for previously undiscovered genes and gene products. The benefits of the present invention are seen to extend to areas of diagnosis, medicine, agriculture, manufg., and academia. The methods are demonstrated as applied to populations of soil bacteria, to a symbiont which cannot be sepd. from its host, and to picoplankton library construction.

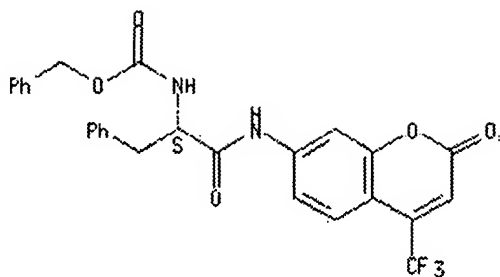
IT 244145-04-8

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(as enzyme substrate in library screening; construction and use of catalogued nucleic acid libraries from mixed samples using pos. and neg. selection and normalization methods)

RN 244145-04-8 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-[[2-oxo-4-(trifluoromethyl)-2H-1-benzopyran-7-yl]amino]-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 33 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1999:767900 CAPLUS

DN 132:180850

TI Photomodulation of conformational states. Synthesis of cyclic peptides with backbone-azobenzene moieties

AU Behrendt, Raymond; Schenk, Michaela; Musiol, Hans-Jurgen; Moroder, Luis

CS Max-Planck-Institute of Biochemistry, Martinsried, D-82152, Germany

SO Journal of Peptide Science (1999), 5(11), 519-529

CODEN: JPSIEI; ISSN: 1075-2617

PB John Wiley Sons Ltd.

DT Journal

LA English

AB The search for photoresponsive conformational transitions accompanied by changes in physicochem. and biol. properties led us to the design of small cyclic peptides contg. azobenzene moieties in the backbone. For this purpose, (4-aminomethyl)phenylazobenzoic acid (H-AMPB-OH) and (4-amino)phenylazobenzoic acid (H-APB-OH) were synthesized and used to cyclize a bis-cysteinyloctapeptide giving monocyclic derivs. in which addnl. conformational restriction could be introduced by conversion to bicyclic structures with a disulfide bridge. While synthesis with H-AMPB-OH proceeded smoothly on a chlorotriptyl-resin with Fmoc/tBu chem., the poor nucleophilicity of the arylamino group of H-APB-OH required special chem. for satisfactory incorporation into the peptide chain. Addnl. difficulties were encountered in the reductive cleavage of the S-tert-butylthio group from the cysteine residues since concomitant redn. of the azobenzene moiety took place at competing rates. This difficulty was eventually bypassed by using the S-trityl protection. Side-chain cyclization of the APB-peptide proved to be difficult, suggesting that restricted conformational freedom was already present in the monocyclic form, a fact that was fully confirmed by NMR structural anal. Conversely, the methylene spacer in the AMPB moiety introduced sufficient flexibility for facile and quant. side-chain cyclization to the bicyclic form. Both of the monocyclic peptides and both of the bicyclic peptides are photoresponsive mols. which undergo cis/trans isomerization reversibly.

IT 259199-98-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(synthesis of cyclic peptides with backbone-azobenzene moieties for studies of photomodulation of conformational states)

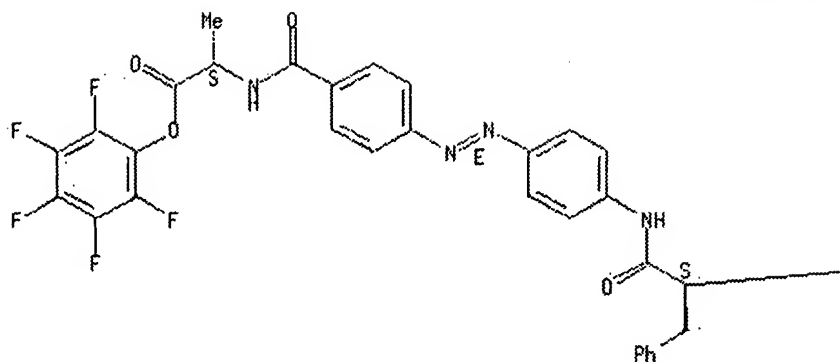
RN 259199-98-9 CAPLUS

CN L-Alanine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-phenylalanyl-4-[(1E)-(4-aminophenyl)azobenzoyl]-, pentafluorophenyl ester (9CI) (CA INDEX NAME)

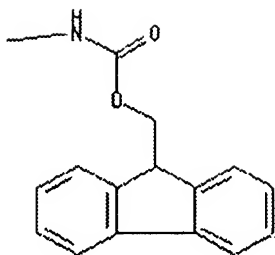
Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



IT 259199-84-3P 259199-85-4P 259199-88-7P

259199-91-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of cyclic peptides with backbone-azobenzene moieties for studies of photomodulation of conformational states)

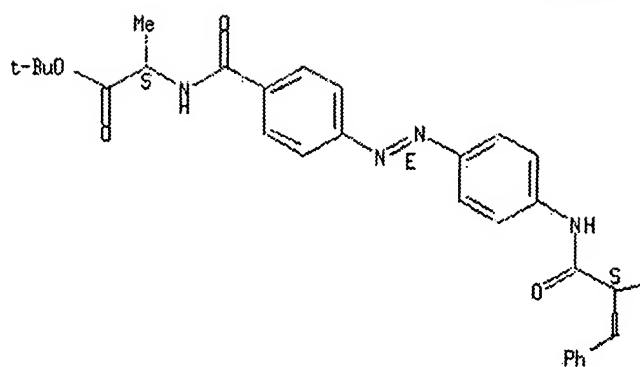
RN 259199-84-3 CAPLUS

CN L-Alanine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-phenylalanyl-4-[(1E)-(4-aminophenyl)azo]benzoyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

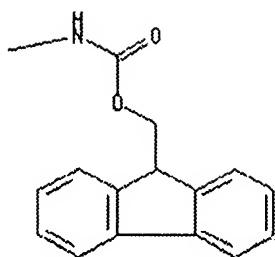
Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

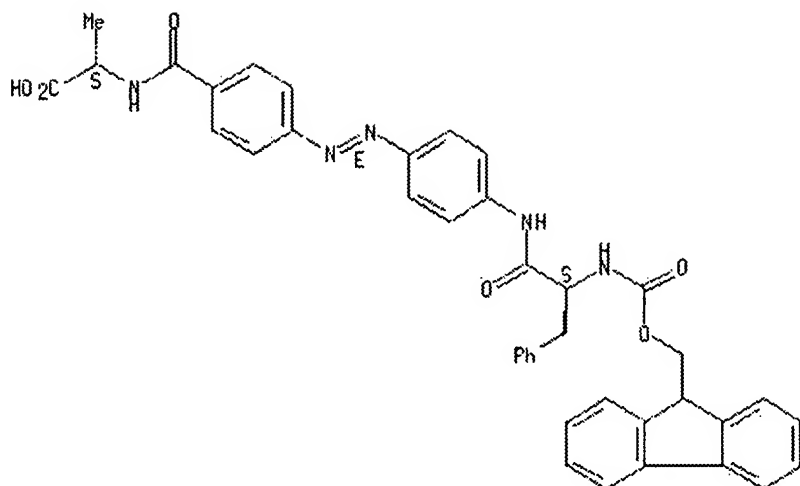


RN 259199-85-4 CAPLUS

CN L-Alanine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-phenylalanyl-4-[(1E)-(4-aminophenyl)azo]benzoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

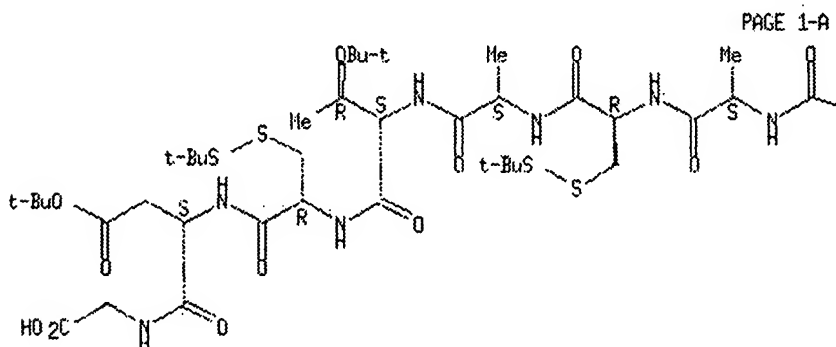
STN Columbus



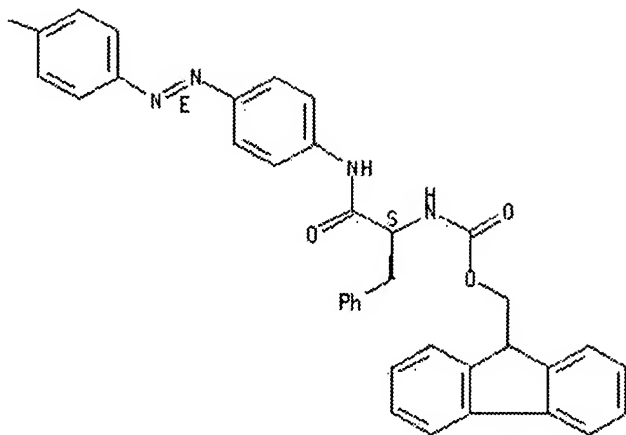
RN 259199-88-7 CAPLUS

CN Glycine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-phenylalanyl-4-[(1E)-(4-aminophenyl)azo]benzoyl-L-alanyl-3-[(1,1-dimethylethyl)dithio]-L-alanyl-L-alanyl-O-(1,1-dimethylethyl)-L-threonyl-3-[(1,1-dimethylethyl)dithio]-L-alanyl-L- α -aspartyl-, 8-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



PAGE 1-A

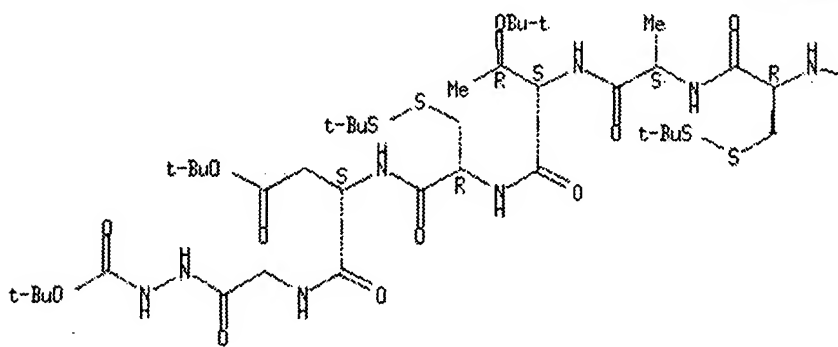


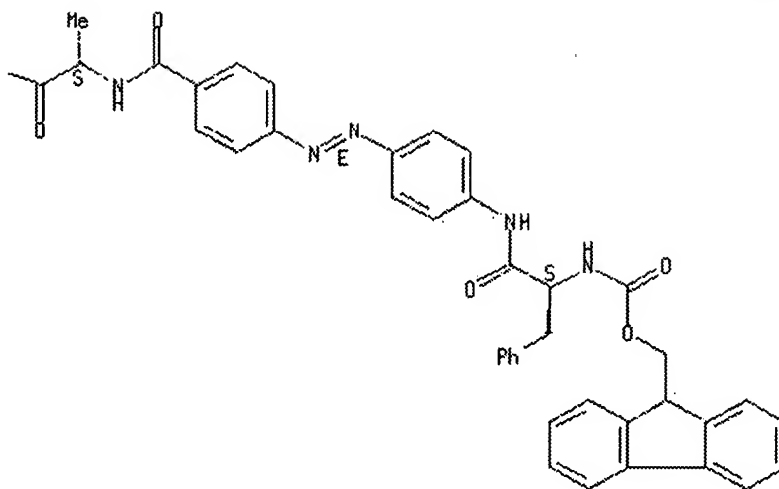
RN 259199-91-2 CAPLUS

CN Glycine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-phenylalanyl-4-[(1E)-(4-aminophenyl)azo]benzoyl-L-alanyl-3-[(1,1-dimethylethyl)dithio]-L-alanyl-L-alanyl-O-(1,1-dimethylethyl)-L-threonyl-3-[(1,1-dimethylethyl)dithio]-L-alanyl-L-α-aspartyl-, 8-(1,1-dimethylethyl) ester, 9-[2-[(1,1-dimethylethoxy)carbonyl]hydrazide] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.





RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 34 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1999:712305 CAPLUS

DN 132:293735

TI Reactions of di-tert-butyl dicarbonate with benzodiazines and synthetic applications of the products

AU Ouchi, Hidekazu; Saito, Yukako; Koriyama, Noriko; Yamamoto, Yutaka

CS Tohoku Coll. Pharm., Sendai, 981-8558, Japan

SO Annual Report of the Tohoku College of Pharmacy (1998), 45, 111-116

CODEN: TYKNAQ; ISSN: 0495-7342

PB Tohoku Yakka Daigaku

DT Journal

LA Japanese

AB Reactions of di-tert-Bu dicarbonate with benzodiazines such as phthalazine, quinazoline, and quinoxaline were investigated. Phthalazine and quinazoline, among them, reacted to give 1-tert-butoxy-2-tert-butoxycarbonyl-1,2-dihydrophthalazine and 3-tert-butoxycarbonyl-4-tert-butoxy-3,4-dihydroquinazoline in good yields, resp. These products were found to work as condensing agents between N-protected amino acids and ethanol or aniline for esterification and amidation, giving the corresponding esters or anilides, and also as tert-butoxycarbonylation agents of amino acid hydrochlorides and phenols.

IT 15366-12-8P, Z-Phe-NHPh

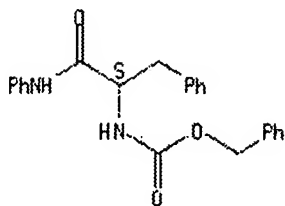
RL: SPN (Synthetic preparation); PREP (Preparation)

(reactions of di-tert-Bu dicarbonate with benzodiazines and synthetic applications of the products as condensing and tert-butoxycarbonylation agents)

RN 15366-12-8 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-(phenylamino)-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 35 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1999:665837 CAPLUS

DN 132:78814

TI Impressive gelation in organic solvents by synthetic, low molecular mass, self-organizing urethane amides of L-phenylalanine

AU Bhattacharya, Santanu; Acharya, S. N. Ghanashyam

CS Department of Organic Chemistry, Indian Institute of Science, Bangalore, 560 012, India

SO Chemistry of Materials (1999), 11(11), 3121-3132

CODEN: CMATEX; ISSN: 0897-4756

PB American Chemical Society

DT Journal

LA English

AB Phenylalanine (Phe) based mono- and bipolar amides were synthesized, and an in-depth study of their structure-property relationship with respect to gelations was presented. Examples of monoamides were Cbz-Phe-NRR1 [R = H, R1 = n-C16H33, (CH2)9C≡CH, n-Bu; R = Me, R1 = n-C18H37] and Boc-Phe-NHR (R = n-C16H33). The corresponding bipolar amides CbzNHCH(CH2Ph)CONH-X-NHCOCH(CH2Ph)NHCbz [I; X = (CH2)12, 4,4'-diphenylmethylenel] were synthesized with flexible and rigid spacers such as 1,12-diaminododecane and 4,4'-diaminodiphenylmethane, resp. Another bipolar amide I [X = (CH2)9C≡C-C≡C(CH2)9] with a polymerizable diacetylene group was synthesized. To ascertain how urethane linkages affect gelation, Boc and Cbz in the some of the Phe amides were replaced by acetyl, and benzoyl groups. The Phe amides were examd. for their aggregation and gelation properties in a no. of org. solvents and their mixts. Optical microscopy and electron microscopy were used to study the gel formation. FT-IR, calorimetric, and powder x-ray diffraction studies were also used for those systems with excellent gelation behavior. Mol. modeling and energy-minimization studies were used to explain the possible reasons for gelation. All data demonstrated that the Cbz group, urethane and secondary amide linkages, chiral purities of the headgroup and the length of the alkyl chain of the hydrophobic segment are crit. determinants of effective gelation.

IT 253780-51-7P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(synthesis and study of gelation properties of urethane based
phenylalanine amides)

RN 253780-51-7 CAPLUS

CN Carbamic acid, [methylenebis[4,1-phenyleneimino[(1S)-2-oxo-1-(phenylmethyl)-2,1-ethanediyl]]]bis-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

STN Columbus

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PATENT FAMILY INFORMATION:

FAN 1997:195785

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STN Columbus

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STN Columbus

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STN Columbus

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STN Columbus

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STN Columbus

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STN Columbus

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US 2002086279	A1	20020704	US 2001-875412	20010606
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PI US 2002037505	A1	20020328	US 2000-571499	20000515
US 6455254	B2	20020924		
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US 6057103	A	20000502	US 1997-918406	19970826

STN Columbus

			US 1995-503606 B219950718
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US 6555315	B1	20030429	US 2000-561597 20000427
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AU 756201	B2	20030109	AU 2000-48933 20000731
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			AU 1997-11489 A319961206
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			BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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			WO 2001-US15692W 20010515
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			US 2000-571499 A220000515
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			US 1997-988224 A119971210
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			US 1995-503606 A219950718
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			US 1996-657409 A319960603
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PATENT NO.	KIND	DATE	APPLICATION NO: DATE
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PI WO 2002029032	A2	20020411	WO 2001-US31004 20011001
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			PT, RO, RU
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			US 2001-279702PP 20010328
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AU 2000048933	A5	20001005	
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US 2002086279	A1	20020704	US 2001-875412 20010606
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			LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,

STN Columbus

RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
 UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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FAN 2002:864345
 PATENT NO. KIND DATE APPLICATION NO. DATE

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AU 756201	B2	20030109		AU 2000-48933	20000731
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FAN 2002:921845					
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STN Columbus

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			US 1997-962504 A	19971031
			WO 1998-US22596W	19981023
US 6171820	B1	20010109	US 1999-246178	19990204
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			US 1996-651568 A219960522	
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AB	<p>Recombinant enzyme libraries and kits where a plurality of enzymes are each characterized by different phys. and/or chem. characteristics and classified by common characteristics are disclosed. The characteristics are detd. by screening of recombinant enzymes expressed by a DNA library produced from various microorganisms. Also disclosed is a process for identifying clones of a recombinant library which expresses a protein with a desired activity by screening a library of expression clones randomly produced from DNA of at least one microorganism, said screening being effected on expression products of said clones to thereby identify clones which express a protein with a desired activity. Also disclosed is a process of screening clones having DNA from an uncultivated microorganism for a specified protein activity by screening for a specified protein activity in a library of clones prepd. by (i) recovering DNA from a DNA population derived from at least one uncultivated microorganism; and (ii) transforming a host with recovered DNA to produce a library of clones which is screened for the specified protein activity. Procedures used to generate a gene library from a sample of the exterior surface of a whale bone found at 1240 m depth in the Santa Catalina Basin are presented. A tiered procedure for screening the expression library for hydrolase activity and to further characterize the type of hydrolase activity is also presented.</p>			
IT	244145-04-8			
	<p>RL: ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)</p>			

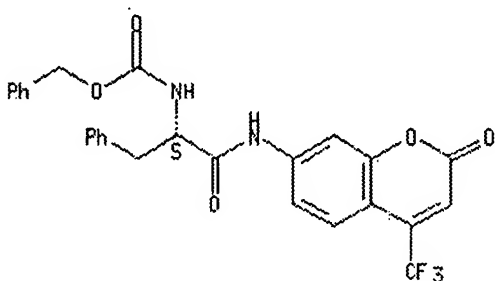
STN Columbus

(substrate for chiral classification of enzyme activities; protein activity screening of clones having DNA from uncultivated microorganisms) .

RN 244145-04-8 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-[[2-oxo-4-(trifluoromethyl)-2H-1-benzopyran-7-yl]amino]-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 38 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1999:396542 CAPLUS

DN 131:200031

TI Synthesis and optical properties of cyclic bis-cysteinyl peptides and their linear precursors with a built-in light switch

AU Schenk, Michaela; Rudolph-Bohner, Sabine; Wachtveitl, Josef; Nagele, Thomas; Oesterhelt, Dieter; Moroder, Luis

CS Max-Planck-Institut fur Biochemie, Martinsried, 82152, Germany

SO Peptides: Frontiers of Peptide Science, Proceedings of the American Peptide Symposium, 15th, Nashville, June 14-19, 1997 (1999), Meeting Date 1997, 313-314. Editor(s): Tam, James P.; Kaumaya, Pravin T. P. Publisher: Kluwer, Dordrecht, Neth.

CODEN: 67UCAR

DT Conference

LA English

AB A symposium report on the synthesis and optical properties of peptides which are bridged by the light switch 4-aminophenylazobenzoic acid.

IT 241819-64-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and optical properties of cyclic bis-cysteinyl peptides and their linear precursors with built-in light switch)

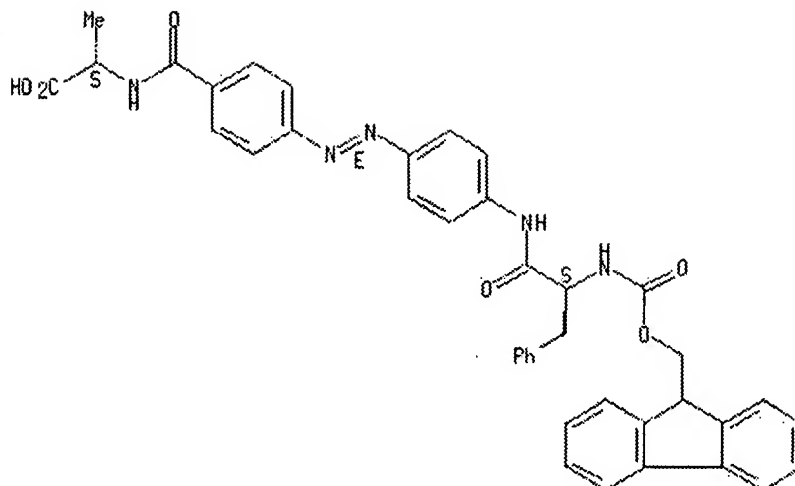
RN 241819-64-7 CAPLUS

CN D-Alanine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-D-phenylalanyl-4-[(1E)-(4-aminophenyl)azo]benzoyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry as shown.

STN Columbus



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 39 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1999:245357 CAPLUS

DN 131:73611

TI Antiviral activity of benzoxazinone derivatives having amino acid moiety

AU Chiba, Takuo; Endo, Akira; Sugawara, Sinogu

CS Japan

SO Akita Kogyo Koto Senmon Gakko Kenkyu Kiyo (1999), 34, 37-39

CODEN: AKKKEK; ISSN: 0285-5364

PB Akita Kogyo Koto Senmon Gakko

DT Journal

LA English

AB Condensation of anthranilic acid and N-protected amino acids by active ester method gave N-amino-acetylated anthranilic acids which were cyclized under acidic condition to afford the corresponding 2-substituted 3,1-benzoxazin-4-one derivs. When N-protecting group of amino acids was acetoacetyl group, the target benzoxazinone was not obtained. In the case of N-benzyloxycarbonyl (Z) amino acids such as Z-Gly, Z-Ala, and Z-Phe, the benzoxazinone were obtained in 88%, 76%, and 76% yields, resp. When each compds. was tested against RSV, HIV, FluV-A, and HSV, none of the compds. had antiviral activity.

IT 229160-70-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

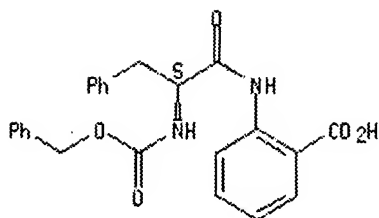
(prepn. and antiviral activity of benzoxazinone derivs.)

RN 229160-70-7 CAPLUS

CN Benzoic acid, 2-[[[(2S)-1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

STN Columbus



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 40 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1999:193839 CAPLUS

DN 130:252377

TI Preparation of di-N-substituted piperazines or 1,4 disubstituted piperidines as muscarinic antagonists

IN Lowe, Derek; Chang, Wei; Kozlowski, Joseph; Berger, Joel G.; Mcquade, Robert; Barnett, Allen; Sherlock, Margaret; Tom, Wing; Dugar, Sundeep; Chen, Lian-Yong; Clader, John W.; Chackalamannil, Samuel; Yuguang, Wang; McCombie, Stuart W.; Tagat, Jayaram R.; Vice, Susan F.; Vaccaro, Wayne; Green, Michael J.; Browne, Margaret E.; Asberom, Theodros

PA Schering Corporation, USA

SO U.S., 59 pp., Cont.-in-part of U.S. Ser. No. 457,712, abandoned.
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 4

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	CA 2212895	AA	19960829	CA 1996-2212895	19960216
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				US 1995-457712 A	19950602
	TW 464646	B	20011121	TW 1996-85101945	19960216
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STN Columbus

US 6498168 B2 20021224

US 1995-392697 B219950223
 US 1995-457712 B219950602
 US 1996-602403 A319960216
 US 1998-195742 A319981119
 US 2000-482168 A320000112

PATENT FAMILY INFORMATION:

FAN 1996:623177

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9626196	A2	19960829	WO 1996-US1532	19960216
WO 9626196	A3	19961003		
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN, AZ, BY, KG, KZ, MD, RU RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2212895	AA	19960829	US 1995-392697 A	19950223
			US 1995-457712 A	19950602
			CA 1996-2212895	19960216
			US 1995-392697 A	19950223
			US 1995-457712 A	19950602
AU 9649717	A1	19960911	AU 1996-49717	19960216
AU 701452	B2	19990128		
			US 1995-392697 A	19950223
			US 1995-457712 A	19950602
			WO 1996-US1532 W	19960216
EP 811002	A2	19971210	EP 1996-906286	19960216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV				
			US 1995-392697 A	19950223
			US 1995-457712 A	19950602
			WO 1996-US1532 W	19960216
JP 11501014	T2	19990126	JP 1996-525703	19960216
			US 1995-392697 A	19950223
			US 1995-457712 A	19950602
			WO 1996-US1532 W	19960216
TW 464646	B	20011121	TW 1996-85101945	19960216
			US 1995-392697 A	19950223
			US 1995-457712 A	19950602
ZA 9601293	A	19960819	ZA 1996-1293	19960219
			US 1995-392697 A	19950223
FI 9703446	A	19971022	FI 1997-3446	19970822
			US 1995-392697 A	19950223
			US 1995-457712 A	19950602
			WO 1996-US1532 W	19960216
FAN 1998:112193				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9805292	A2	19980212	WO 1997-US13383	19970806
WO 9805292	A3	19980402		
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5889006	A	19990330	US 1996-700628 A	19960808
			US 1996-700628	19960808

STN Columbus

			US 1995-392697 B219950223
			US 1995-457712 B219950602
			US 1996-602403 A219960216
AU 9738999	A1	19980225	AU 1997-38999 19970806
AU 724001	B2	20000907	
			US 1996-700628 A 19960808
			WO 1997-US13383W 19970806
EP 938483	A2	19990901	EP 1997-936296 19970806
EP 938483	B1	20030226	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO			
			US 1996-700628 A 19960808
			WO 1997-US13383W 19970806
BR 9711119	A	19991123	BR 1997-11119 19970806
			US 1996-700628 A 19960808
			WO 1997-US13383W 19970806
JP 2000501117	T2	20000202	JP 1998-508038 19970806
			US 1996-700628 A 19960808
			WO 1997-US13383W 19970806
NZ 333801	A	20000428	NZ 1997-333801 19970806
			US 1996-700628 A 19960808
			WO 1997-US13383W 19970806
AT 233260	E	20030315	AT 1997-936296 19970806
			US 1996-700628 A 19960808
			WO 1997-US13383W 19970806
NO 9900551	A	19990407	NO 1999-551 19990205
			US 1996-700628 A 19960808
			WO 1997-US13383W 19970806
FAN 1999:212795			
PATENT NO.	KIND	DATE	APPLICATION NO. DATE
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PI US 5889006	A	19990330	US 1996-700628 19960808
			US 1995-392697 B219950223
			US 1995-457712 B219950602
			US 1996-602403 A219960216
US 5883096	A	19990316	US 1996-602403 19960216
			US 1995-392697 B219950223
			US 1995-457712 B219950602
ZA 9601293	A	19960819	ZA 1996-1293 19960219
			US 1995-392697 A 19950223
ZA 9707011	A	19980206	ZA 1997-7011 19970806
			US 1996-700628 A 19960808
WO 9805292	A2	19980212	WO 1997-US13383 19970806
WO 9805292	A3	19980402	
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
			US 1996-700628 A 19960808
AU 9738999	A1	19980225	AU 1997-38999 19970806
AU 724001	B2	20000907	
			US 1996-700628 A 19960808
			WO 1997-US13383W 19970806
EP 938483	A2	19990901	EP 1997-936296 19970806
EP 938483	B1	20030226	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO			
			US 1996-700628 A 19960808
			WO 1997-US13383W 19970806

STN Columbus

CN 1232462	A	19991020	CN 1997-198479	19970806
CN 1084743	B	20020515		
			US 1996-700628 A	19960808
BR 9711119	A	19991123	BR 1997-11119	19970806
			US 1996-700628 A	19960808
			WO 1997-US13383W	19970806
JP 2000501117	T2	20000202	JP 1998-508038	19970806
			US 1996-700628 A	19960808
			WO 1997-US13383W	19970806
NZ 333801	A	20000428	NZ 1997-333801	19970806
			US 1996-700628 A	19960808
			WO 1997-US13383W	19970806
AT 233260	E	20030315	AT 1997-936296	19970806
			US 1996-700628 A	19960808
			WO 1997-US13383W	19970806
NO 9900551	A	19990407	NO 1999-551	19990205
			US 1996-700628 A	19960808
			WO 1997-US13383W	19970806
KR 2000029947	A	20000525	KR 1999-701175	19990208
			US 1996-700628 A	19960808
US 6043255	A	20000328	US 1999-266079	19990310
			US 1995-392697 B2	19950223
			US 1995-457712 B2	19950602
			US 1996-602403 A2	19960216
			US 1996-700628 A3	19960808

OS MARPAT 130:252377

AB Di-N-substituted piperazines or 1,4-di-substituted piperidines I [one of Y and Z is N and the other is N, CH, or C-alkyl; X = O, SOO-2, amino, substituted amino, CO, CH2, mono or disubstituted methylene, CS, CONR20, NR20SO2, NR20CO, SO2NR20, CH:CH, C≡C, NHC(O)NH; R = optionally substituted Ph, aryl, cycloalkyl; R1, R21 = H, CN or optionally substituted alkyl; R2 = optionally substituted cycloalkyl or piperidyl; R3, R4, R5, R20, R27, R28 are as defined in the specification], muscarinic antagonists, were prepd. E.g., II was prepd.

IT 221458-64-6P

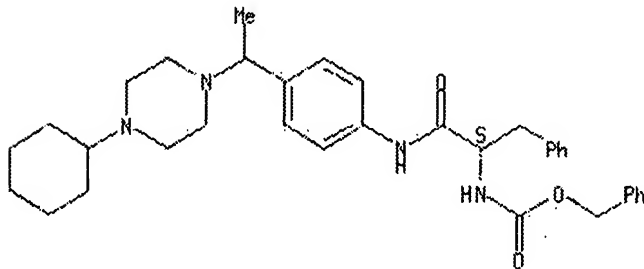
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of di-N-substituted piperazines or 1,4 disubstituted piperidines as muscarinic antagonists)

RN 221458-64-6 CAPLUS

CN Carbamic acid, [(1S)-2-[[4-[1-(4-cyclohexyl-1-piperazinyl)ethyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

STN Columbus

L9 ANSWER 41 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1998:471470 CAPLUS

DN 129:108907

TI Preparation of N-[3-(2-aralkylamino-1-hydroxyethyl)phenyl]methanesulfonamides and analogs as β 3 adrenoceptor agonists

IN Washburn, William N.; Girotra, Ravindar N.; Sher, Philip M.; Mikkilineni, Amarendra B.; Poss, Kathleen M.; Mathur, Arvind; Bisacchi, Gregory S.; Gavai, Ashvinikumar V.

PA Bristol-Myers Squibb Co., USA

SO U.S., 79 pp., Cont.-in-part of U. S. Ser. No. 171,285, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5776983	A	19980707	US 1994-346543	19941202
				US 1993-171285 B2	19931221
	TW 424082	B	20010301	TW 1994-83111890	19941219
				US 1993-171285 A	19931221
	HU 72302	A2	19960429	HU 1994-3694	19941220
	HU 220063	B	20011028		
				US 1993-171285 A	19931221
	CA 2138675	AA	19950622	CA 1994-2138675	19941221
				US 1993-171285 A	19931221
	FI 9406003	A	19950622	FI 1994-6003	19941221
				US 1993-171285 A	19931221
	NO 9404969	A	19950622	NO 1994-4969	19941221
				US 1993-171285 A	19931221
	AU 9481635	A1	19950629	AU 1994-81635	19941221
	AU 688417	B2	19980312		
				US 1993-171285 A	19931221
	JP 07206806	A2	19950808	JP 1994-336251	19941221
				US 1993-171285 A	19931221
	CN 1109050	A	19950927	CN 1994-113297	19941221
				US 1993-171285 A	19931221
	ZA 9410213	A	19960621	ZA 1994-10213	19941221
				US 1993-171285 A	19931221
	AT 235463	E	20030415	AT 1994-120281	19941221
				US 1993-171285 A	19931221

PATENT FAMILY INFORMATION:

FAN 1995:938107

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 659737	A2	19950628	EP 1994-120281	19941221
	EP 659737	A3	19970305		
	EP 659737	B1	20030326		
				US 1993-171285 A	19931221
	TW 424082	B	20010301	TW 1994-83111890	19941219
				US 1993-171285 A	19931221
	HU 72302	A2	19960429	HU 1994-3694	19941220
	HU 220063	B	20011028		
				US 1993-171285 A	19931221
	CA 2138675	AA	19950622	CA 1994-2138675	19941221
				US 1993-171285 A	19931221
	FI 9406003	A	19950622	FI 1994-6003	19941221
				US 1993-171285 A	19931221
	NO 9404969	A	19950622	NO 1994-4969	19941221
				US 1993-171285 A	19931221

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE

STN Columbus

AU 9481635 A1 19950629 AU 1994-81635 19941221
 AU 688417 B2 19980312
 JP 07206806 A2 19950808 US 1993-171285 A 19931221
 CN 1109050 A 19950927 JP 1994-336251 19941221
 ZA 9410213 A 19960621 US 1993-171285 A 19931221
 AT 235463 E 20030415 CN 1994-113297 19941221
 US 1993-171285 A 19931221
 US 1993-171285 A 19931221
 AT 1994-120281 19941221
 US 1993-171285 A 19931221

OS MARPAT 129:108907

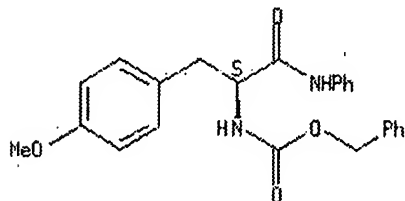
AB R1SO2NHZ1CH(OH)CHR6NHCR3R4Z2R2 [R1 = alkyl or aryl(alkyl); R2 = (un)substituted Ph; R3 = H, alkyl, heterocyclyl, etc.; R4 = H, alkyl, etc.; R6 = H or alkyl; Z1 = (un)substituted 1,3-phenylene; Z2 = bond, (acyl)methylene, (CH2)2-3] were prepd. as β 3 adrenoceptor agonists (no data). Thus, 3,4-(MeO)2C6H3CH(NH2)CH2Ph was N-alkylated by 4,3-(PhCH2O)(MeSO2NH)C6H3COCH2Br (prepn. each given) to give, after hydrogenation, title compd. I.

IT 170688-80-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of N-[3-(2-aralkylamino-1-hydroxyethyl)phenyl]methanesulfonamides and analogs as β 3 adrenoceptor agonists)

RN 170688-80-9 CAPLUS

CN Carbamic acid, [(1S)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-(phenylamino)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 42 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1998:325643 CAPLUS

DN 129:81938

TI Synthesis of dipeptide-type human immunodeficiency virus (HIV) protease inhibitors with a binding unit to GP120

AU Asagarasu, Akira; Takayanagi, Nao; Achiwa, Kazuo

CS Sch. Pharmaceutical Sciences, Univ. Shizuoka, Shizuoka, 422-8526, Japan

SO Chemical Pharmaceutical Bulletin (1998), 46(5), 867-870

CODEN: CPBTAL; ISSN: 0009-2363

PB Pharmaceutical Society of Japan

DT Journal

LA English

AB Some dipeptide-type human immunodeficiency virus (HIV) protease inhibitors derived from KNI-102, with a N-carbomethoxycarbonylprolyl-phenylalanine benzyl ester (CPF) moiety as a binding site to gp120, were synthesized. 2-(N-carbomethoxycarbonyl-L-prolyl-D-phenylalanine amide)phenoxyacetyl-[(2S,3S)-3-amino-2-hydroxy-4-phenylbutyryl]-L-proline tert-butylamide showed 7-100 times higher HIV protease-inhibitory activity (IC50 = 0.90

STN Columbus

µg/mL, 1.1 µM) than the std. compds. N-carbobenzoxy- (3) or N-phenoxyacetyl-[(2S,3S)-3-amino-2-hydroxy-4-phenylbutyryl]-L-proline tert-Bu amide (IC₅₀ = 3.7 µg/mL, 7.7 µM and 75 µg/mL, 155 µM, resp.). Generally, the compds. substituted at the o-position of the phenoxyacetyl group showed several times higher inhibitory activity than 3.

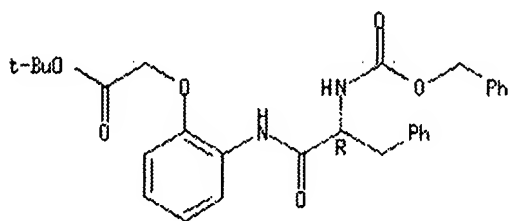
IT 207445-07-6P 207445-08-7P 207445-09-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of dipeptide-type human immunodeficiency virus (HIV) protease inhibitors with a binding unit to GP120)

RN 207445-07-6 CAPLUS

CN Acetic acid, [2-[[[(2R)-1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

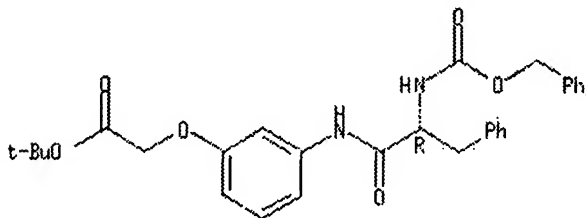
Absolute stereochemistry.



RN 207445-08-7 CAPLUS

CN Acetic acid, [3-[[[(2R)-1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

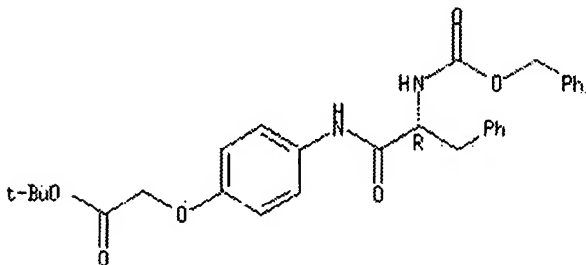
Absolute stereochemistry.



RN 207445-09-8 CAPLUS

CN Acetic acid, [4-[[[(2R)-1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



STN Columbus

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 43 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1998:279538 CAPLUS

DN 129:4856

TI Syntheses of HIV-protease inhibitors having a peptide moiety which binds to gp120

AU Asagarasu, Akira; Uchiyama, Taketo; Achiwa, Kazuo

CS Sch. Pharm. Sci., Univ. Shizuoka, Shizuoka, 422, Japan

SO Chemical Pharmaceutical Bulletin (1998), 46(4), 697-703

CODEN: CPBTAL; ISSN: 0009-2363

PB Pharmaceutical Society of Japan

DT Journal

LA English

AB Some HIV-protease inhibitor derivs. having an N-carbomethoxycarbonyl-prolyl-phenylalanine benzyl ester (CPF) moiety as a binding site to gp120 were designed and synthesized. Almost all the compds. bearing CPF on the phenoxyacetyl group showed protease-inhibitory activity. [[2-(N-methoxalyl-L-prolyl-D-phenylalaninamido)phenoxy]acetyl]-L-asparagyl-[(2S,3S)-3-amino-2-hydroxy-4-phenylbutyryl]-N-tert-butyl-L-proline amide and its m-isomer (25b), which have the CPF moiety at the ortho- and meta-positions of the phenoxyacetyl group, resp., had anti-HIV activity, although the others showed only protease-inhibitory activity. These results suggest that 25b binds to gp120 inhibits HIV protease.

IT 207445-07-6P 207445-08-7P 207445-09-8P

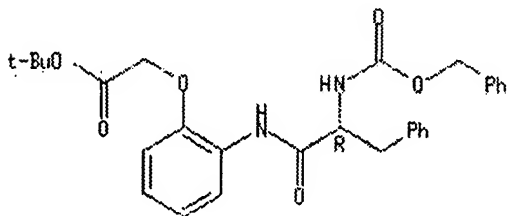
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(syntheses of HIV-protease inhibitors having a peptide moiety which binds to gp120)

RN 207445-07-6 CAPLUS

CN Acetic acid, [2-[[[(2R)-1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

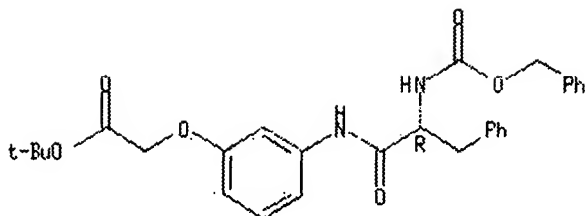


RN 207445-08-7 CAPLUS

CN Acetic acid, [3-[[[(2R)-1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

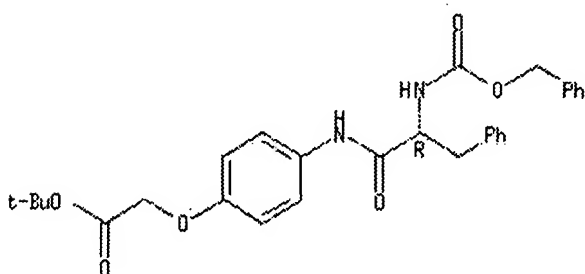
STN Columbus



RN 207445-09-8 CAPLUS

CN Acetic acid, [4-[[[(2R)-1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 44 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1998:268469 CAPLUS

DN 129:16384

TI Preparation of novel pyrrolidine derivatives as remedies for infectious diseases

IN Ohta, Toshiharu; Nakayama, Kiyoshi; Ohtsuka, Masami; Inagaki, Hiroaki; Nishi, Toshiyuki; Ishida, Yohhei

PA Daiichi Pharmaceutical Co., Ltd., Japan; Ohta, Toshiharu; Nakayama, Kiyoshi; Ohtsuka, Masami; Inagaki, Hiroaki

SO PCT Int. Appl., 164 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 9817625	A1	19980430	WO 1997-JP3812	19971022
	W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, ID, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
				JP 1996-279172	19961022
				JP 1996-287203	19961030
	AU 9747221	A1	19980515	AU 1997-47221	19971022
				JP 1996-279172	19961022
				JP 1996-287203	19961030
				WO 1997-JP3812	19971022

STN Columbus

OS MARPAT 129:16384

AB Novel compds. (I; R1-R3 = substituents in the cyclic structure, such as a pyrrolidine or a benzene ring; A = hydrocarbon or heterocyclo ring) are prepd. I act on pathogenic microorganisms which have acquired tolerance to the existing antimicrobials and elevate the sensitivity to the antimicrobials, thus making them nontolerant. When used together with the antimicrobials, I can efficaciously establish the prevention and treatment of microbial infectious diseases. Thus, compd. (II; X = tert-BuCO, Y = N3) (prepn. given) was hydrogenated over Pd/C to give 95% the title compd. II.2HCl (X = H, Y = NH2), which was tested and showed inhibitory activity against PAM1001.

IT 207305-09-7P 207305-10-0P 207305-13-3P
207305-14-4P 207305-15-5P 207305-25-7P
207305-26-8P 207305-27-9P 207305-61-1P
207305-62-2P

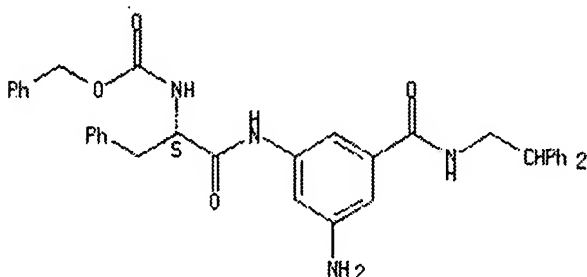
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of novel pyrrolidine derivs. as remedies for infectious diseases)

RN 207305-09-7 CAPLUS

CN Carbamic acid, [(1S)-2-[[3-amino-5-[[[(2,2-diphenylethyl)amino]carbonyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

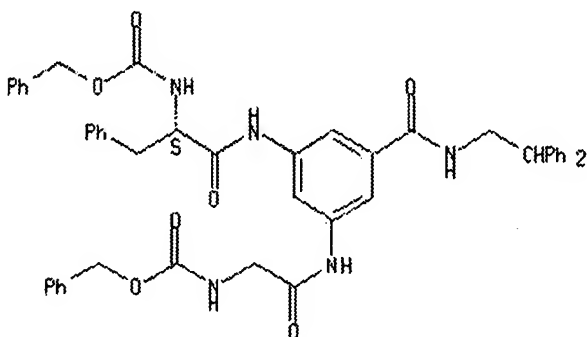
Absolute stereochemistry.



RN 207305-10-0 CAPLUS

CN Carbamic acid, [2-[[3-[[[(2,2-diphenylethyl)amino]carbonyl]-5-[[[(2S)-1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]phenyl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

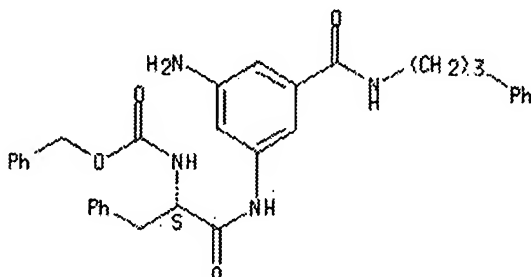


RN 207305-13-3 CAPLUS

STN Columbus

CN Carbamic acid, [(1S)-2-[[3-amino-5-[[[(3-phenylpropyl)amino]carbonyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

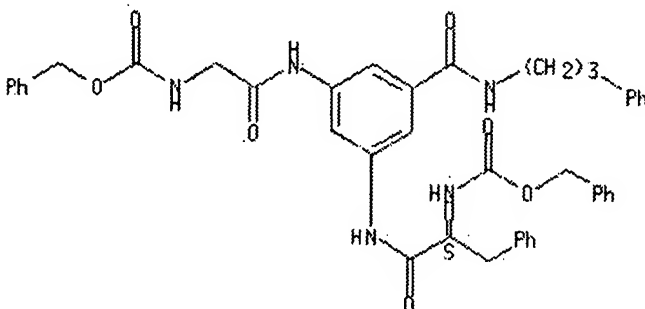
Absolute stereochemistry.



RN 207305-14-4 CAPLUS

CN Carbamic acid, [2-oxo-2-[[5-[[[(2S)-1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]-3-[[[(3-phenylpropyl)amino]carbonyl]phenyl]amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

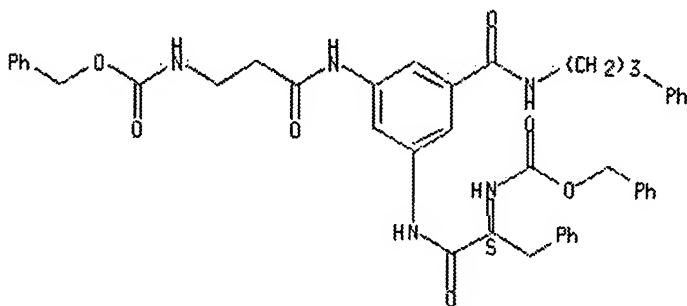
Absolute stereochemistry.



RN 207305-15-5 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-[[3-[[1-oxo-3-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]-5-[[[(3-phenylpropyl)amino]carbonyl]phenyl]amino]-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

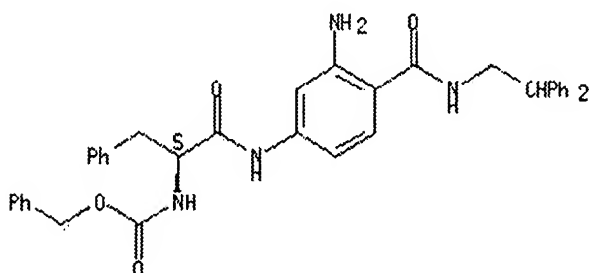


STN Columbus

RN 207305-25-7 CAPLUS

CN Carbamic acid, [(1S)-2-[[3-amino-4-[[{(2,2-diphenylethyl)amino]carbonyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

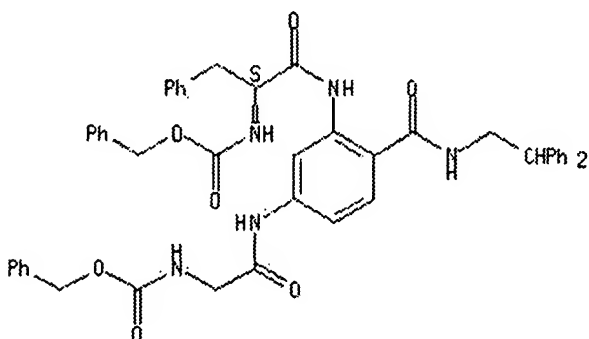
Absolute stereochemistry.



RN 207305-26-8 CAPLUS

CN Carbamic acid, [2-[[4-[[{(2,2-diphenylethyl)amino]carbonyl]-3-[[{(2S)-1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]phenyl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

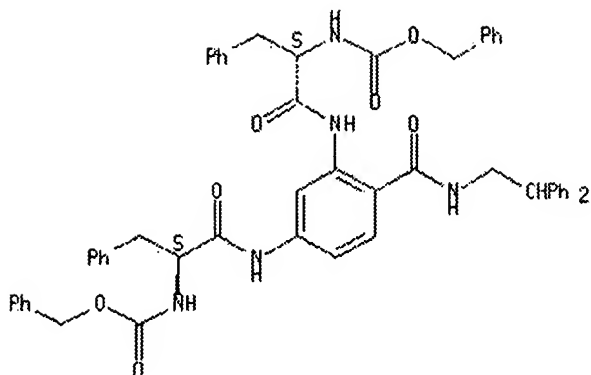


RN 207305-27-9 CAPLUS

CN Carbamic acid, [[4-[[{(2,2-diphenylethyl)amino]carbonyl]-1,3-phenylene]bis[imino[(1S)-2-oxo-1-(phenylmethyl)-2,1-ethanediyl]]]bis-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

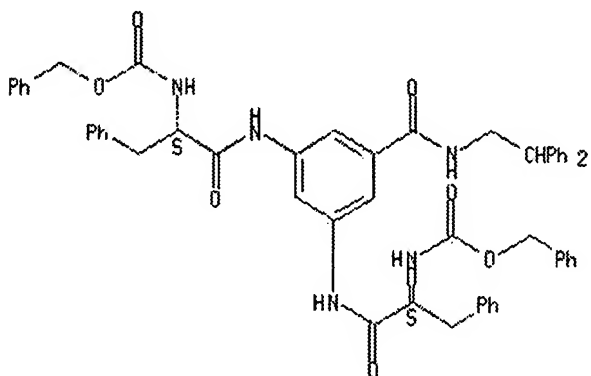
STN Columbus



RN 207305-61-1 CAPLUS

CN Carbamic acid, [[5-[[[(2,2-diphenylethyl)amino]carbonyl]-1,3-phenylene]bis[imino[(1S)-2-oxo-1-(phenylmethyl)-2,1-ethanediyl]]]bis-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

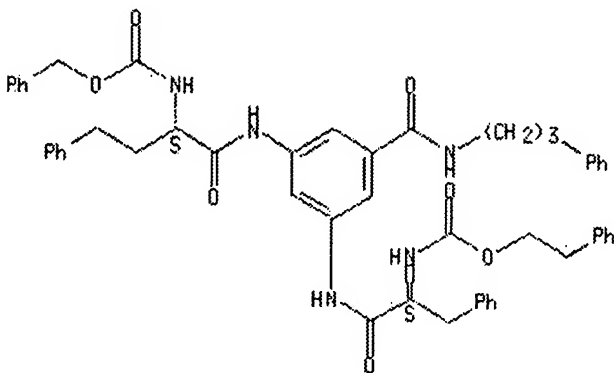
Absolute stereochemistry.



RN 207305-62-2 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-[[3-[[[(2S)-1-oxo-4-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]butyl]amino]-5-[[[(3-phenylpropyl)amino]carbonyl]phenyl]amino]-1-(phenylmethyl)ethyl]-, 2-phenylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



STN Columbus

RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 45 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1997:684389 CAPLUS

DN 127:358876

TI Preparation of heterocyclylphenoxyalkanoates and analogs as cell aggregation inhibitors

IN Pieper, Helmut; Linz, Gunter; Austel, Volkhart; Himmelsbach, Frank; Guth, Brian; Weisenberger, Johannes

PA Dr. Karl Thomae G.m.b.H., Germany

SO PCT Int. Appl., 131 pp.

CODEN: PIXXD2

DT Patent

LA German

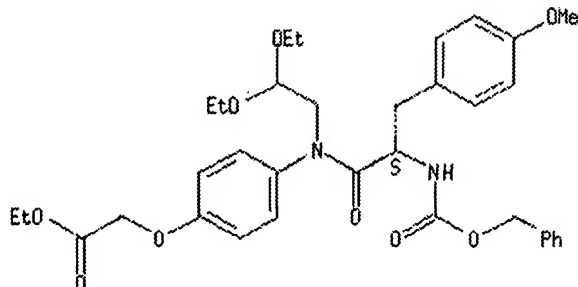
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9737975	A1	19971016	WO 1997-EP1698	19970404
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
DE 19614204	A1	19971016	DE 1996-19614204A	19960410
US 5994356	A	19991130	US 1997-832259	19970403
AU 9726368	A1	19971029	AU 1997-26368	19970404
EP 892783	A1	19990127	EP 1997-918113	19970404
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000508307	T2	20000704	JP 1997-535832	19970404
ZA 9703002	A	19981009	ZA 1997-3002	19970409
DE 1996-19614204A 19960410				
WO 1997-EP1698 W 19970404				
JP 1997-535832 19970404				
DE 1996-19614204A 19960410				
WO 1997-EP1698 W 19970404				
ZA 1997-3002 19970409				
DE 1996-19614204A 19960410				
OS MARPAT 127:358876				
AB R1Z1Z2Z3Z4Z5R [I; R = OH, alkoxy, OPh, etc.; R1 = H, (phenyl)alkyl, etc.; Z1 = (oxo)piperazine-1,4-diyl, (oxo)piperidine-1,4-diyl; Z2 = CH2CH2, COCH2, NHCO, CO2, etc.; Z3 = (un)substituted (oxo)piperazine-1,4-diyl, -(oxo)piperidine-1,4- or 4,1-diyl, -,cyclohexylene, etc.; Z4 = piperidinediyl, phenylene, cyclohexylene, etc.; Z5 = OCH2CO, NHCH2CO, CH2CO, etc.] were prepd. Thus, Me 4-piperazinophenoxyacetate was N-alkylated by 2-(1-tert-butoxycarbonyl-4-piperidinyl)ethyl methanesulfonate and the product converted in 2 steps to give title compd. II.2HCl. Data for biol. activity of I were given.				
IT 198627-66-6P				
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)				
(prepn. of heterocyclylphenoxyalkanoates and analogs as cell aggregation inhibitors)				
RN 198627-66-6 CAPLUS				
CN Acetic acid, [4-[(2,2-diethoxyethyl)[3-(4-methoxyphenyl)-1-oxo-2-				

STN Columbus

[[[(phenylmethoxy)carbonyl]amino]propyl]amino]phenoxy]-, ethyl ester, (S)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 46 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1997:661404 CAPLUS

Correction of: 1997:538802

DN 127:248387

Correction of: 127:205310

TI Nonpeptide bradykinin antagonist analogs based on a model of a Sterling-Winthrop nonpeptide bradykinin antagonist overlapped with cyclic hexapeptide bradykinin antagonist peptide

AU Dankwardt, Sharon M.; Ferla, Steven; Krstenansky, John L.; Bhakta, Sunil; Ostrellich, Helene; Jarnagin, Kurt

CS Roche Biosci., calo Alto, CA, 94304, USA

SO Bioorganic Medicinal Chemistry Letters (1997), 7(14), 1921-1926

CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier

DT Journal

LA English

AB A proposed overlap between cyclic hexapeptide bradykinin antagonist and nonpeptide bradykinin antagonists D- and L-3-(2-naphthyl)alanines I [R1 = Bu3P+, Bu3N+, H2N, HO, H2NC(:NH)NH, EtNHC(:NEt)NH, H-L-Arg-NH, H-D-Arg-NH, aca-D-Arg-NH; aca = 1-adamantylcarbonyl; R2 = H, CO2H; R3 = H, CH2CH2CO2H; X = cyclohexylimino, O] is discussed. Synthetic procedures for both enantiomers of I are given. Structural variations on both the peptides and nonpeptides support the proposed overlap based on an increase or decrease in the biol. activities of the antagonists.

IT 195717-13-6P 195717-72-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

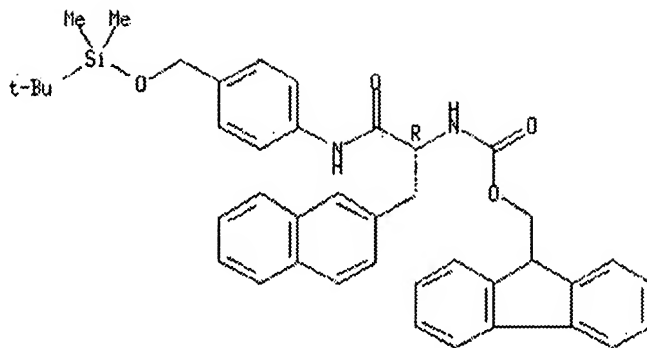
(nonpeptide bradykinin antagonist analog activity based on overlap with cyclic hexapeptide bradykinin antagonist peptide)

RN 195717-13-6 CAPLUS

CN Carbamic acid, [2-[[4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]phenyl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

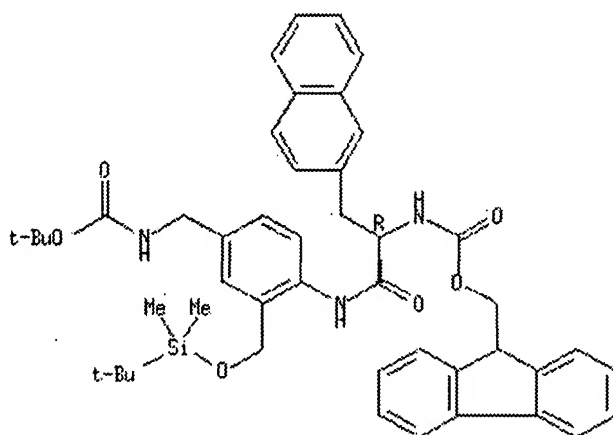
STN Columbus



RN 195717-72-7 CAPLUS

CN Carbamic acid, [2-[[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]phenyl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester, (R)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 47 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1997:543457 CAPLUS

DN 127:149142

TI Preparation of 4-(aminothiazolyl)acetanilides and analogs as antiherpes agents

PA Boehringer Ingelheim Pharmaceuticals, Inc., USA; Boehringer Ingelheim (Canada) Ltd.

SO PCT Int. Appl., 336 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9724343	A1	19970710	WO 1996-US19131	19961204
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ,				

STN Columbus

BY, KG, KZ, MD, RU, TJ, TM					
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,					
IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,					
MR, NE, SN, TD, TG					
				US 1995-9433P	P 19951229
				US 1996-23209P	P 19960802
AU 9716828	A1	19970728		AU 1997-16828	19961204
				US 1995-9433P	P 19951229
				US 1996-23209P	P 19960802
				WO 1996-US19131W	19961204
EP 871619	A1	19981021		EP 1996-945567	19961204
EP 871619	B1	20021106			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,					
IE, SI, LT, LV, FI, RO					
				US 1995-9433P	P 19951229
				US 1996-23209P	P 19960802
				WO 1996-US19131W	19961204
CN 1207094	A	19990203		CN 1996-199443	19961204
				US 1995-9433P	P 19951229
BR 9612435	A	19990713		BR 1996-12435	19961204
				US 1995-9433P	P 19951229
				US 1996-23209P	P 19960802
				WO 1996-US19131W	19961204
JP 2000502702	T2	20000307		JP 1997-524325	19961204
				US 1995-9433P	P 19951229
				US 1996-23209P	P 19960802
				WO 1996-US19131W	19961204
NZ 331104	A	20000327		NZ 1996-331104	19961204
				US 1995-9433P	P 19951229
				US 1996-23209P	P 19960802
				WO 1996-US19131W	19961204
AT 227279	E	20021115		AT 1996-945567	19961204
				US 1995-9433P	P 19951229
				US 1996-23209P	P 19960802
				WO 1996-US19131W	19961204
ES 2186811	T3	20030516		ES 1996-945567	19961204
				US 1995-9433P	P 19951229
				US 1996-23209P	P 19960802
CA 2192433	AA	19970630		CA 1996-2192433	19961209
				US 1995-9433P	P 19951229
				US 1996-23209P	P 19960802
ZA 9610850	A	19970630		ZA 1996-10850	19961223
				US 1995-9433P	P 19951229
NO 9802950	A	19980625		NO 1998-2950	19980625
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				US 1996-23209P	P 19960802
				WO 1996-US19131W	19961204
US 6458959	B1	20021001		US 2000-685686	20001010
				US 1995-9433P	P 19951229
				US 1996-23209P	P 19960802
				US 1996-759201	A319961204
				US 1999-456857	A319991208
PATENT FAMILY INFORMATION:					
FAN 2001:668346					
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 6288091	B1	20010911	US 1999-364446	19990730
				US 1995-9433P	P 19951229
				US 1996-23209P	P 19960802
				US 1996-759201	A 19961204
CN 1207094	A	19990203		CN 1996-199443	19961204
				US 1995-9433P	P 19951229

STN Columbus

US 6057451	A	20000502	US 1996-759201	19961204
			US 1995-9433P	P 19951229
			US 1996-23209P	P 19960802
ZA 9610850	A	19970630	ZA 1996-10850	19961223
			US 1995-9433P	P 19951229
US 6348477	B1	20020219	US 1999-456857	19991208
			US 1995-9433P	P 19951229
			US 1996-23209P	P 19960802
			US 1996-759201	A319961204
US 6458959	B1	20021001	US 2000-685686	20001010
			US 1995-9433P	P 19951229
			US 1996-23209P	P 19960802
			US 1996-759201	A319961204
			US 1999-456857	A319991208

OS MARPAT 127:149142

AB 4-RC6H4R1 [I; R = (un)substituted 4-thiazolyl; R1 = NR2COZ1CHR3NR4R5, NR2aCOZ2NR3aR4a, etc.; R2,R2a = H or alkyl; R3 = H, alkyl, (un)substituted phenyl(alkyl); R3a = H, (cyano)alkyl, CH2CH2OH, phenyl(alkyl), etc.; R4 = H, alkyl, phenylalkyl, heterocyclyl, etc.; R4a = alkyl, phenyl(alkyl), etc.; R3R4 = atoms to form a ring; NR3aR4a = heterocyclyl; R5 = alkyl, phenyl(alkyl), heterocyclyl, etc.; Z1 = bond or CH2; Z2 = bond or CO] were prepd. for treating herpes infections by inhibiting the herpes helicase-primase enzyme complex. Thus, Me3CO2CNHCH2CO2H was N-alkylated by PhCH2Br and the product amidated by 4-(H2N)C6H4COME to give, after cyclocondensation with H2NCSNH2 and deprotection, I (R = 2-amino-4-thiazolyl, R1 = NHCOCH2NHCH2Ph). Data for biol. activity of I were given.

IT 193348-59-3P

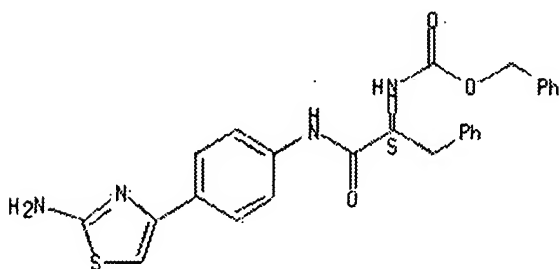
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 4-(aminothiazolyl)acetanilides and analogs as antiherpes agents)

RN 193348-59-3 CAPLUS

CN Carbamic acid, [(1S)-2-[[4-(2-amino-4-thiazolyl)phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 48 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1997:535830 CAPLUS

DN 127:205878

TI The solid-phase synthesis of side-chain-phosphorylated peptide-4-nitroanilides

AU Bernhardt, Anne; Drewello, Mario; Schutkowski, Mike

CS Max-Planck-Gesellschaft zur Forderung der Wissenschaft e.V., Forschungsstelle "Enzymologie der Proteinfaltung", Halle, Germany

STN Columbus

SO Journal of Peptide Research (1997), 50(2), 143-152
CODEN: JPERFA; ISSN: 1397-002X

PB Munksgaard

DT Journal

LA English

AB Peptide-4-nitroanilides can be quickly synthesized using an Fmoc-based approach on 2-chlorotritylchloride resin. Preformed building blocks Fmoc-Xaa-NH-Np (Xaa = Cit, Cys, Gln, His, Lys, Orn, Ser, Thr, Tyr, Trp; Np = 4-nitroanilide) can be attached via side chain to the 2-chlorotritylchloride linker of the resin. N-terminal elongation yields the resp. peptide-4-nitroanilides after detachment from the solid support. We synthesized a set of tetrapeptide-4-nitroanilides with the general structure Suc-Ala-Phe-Pro-Xaa-NH-Np (Xaa = Asp, Cit, Cys, Glu, Gln, His, Lys, Orn, Ser, Thr, Tyr, Trp; Suc = succinyl; Cit = citrulline). Even peptidyl-arginine-4-nitroanilides are available by a slightly modified procedure. First, the appropriate ornithine-contg. peptide was synthesized. After detachment of the peptide from the resin the side-chain primary amino group was transformed to the guanidino function of arginine using 1-guanyl-3,5-dimethylpyrazole. A further application of this method is the convenient synthesis of phosphorylated peptide-4-nitroanilides. Five phosphopeptides with the general structure Ac-Ala-Xaa(PO₃H₂)-Pro-Yaa-NH-Np (Xaa = Ser, Thr, Tyr; Yaa = Tyr, Lys) and their nonphosphorylated analogs were prepd. Global phosphorylation was carried out on the resin-bound peptides using dibenzyl-N,N-diisopropylphosphoramidate/tetrazole followed by oxidn. with tert-Bu hydroperoxide.

IT 160192-29-0P 194670-51-4P

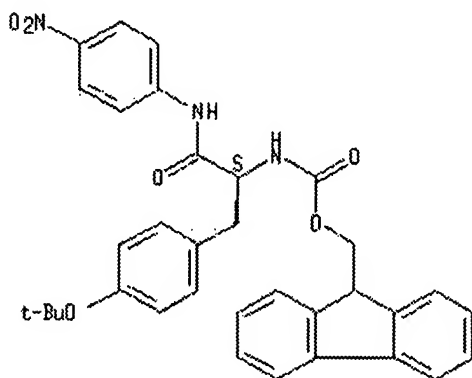
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of peptidylnitroanilides by solid-phase synthesis)

RN 160192-29-0 CAPLUS

CN Carbamic acid, [1-[[4-(1,1-dimethylethoxy)phenyl]methyl]-2-[(4-nitrophenyl)amino]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester, (S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

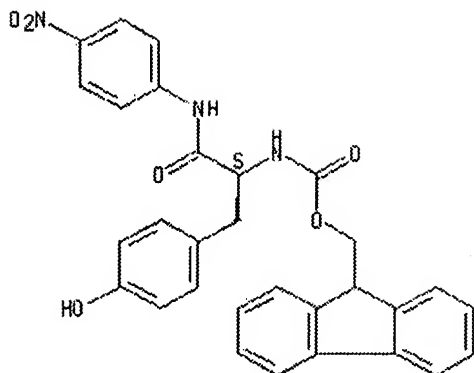


RN 194670-51-4 CAPLUS

CN Carbamic acid, [1-[(4-hydroxyphenyl)methyl]-2-[(4-nitrophenyl)amino]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

STN Columbus



L9 ANSWER 49 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1997:473705 CAPLUS

DN 127:81785

TI Phenylalanine derivatives, optically active substances, and their salts or coordination compounds for use as fungicides

IN Yamamoto, Naoya; Umimoto, Koji; Nishiguchi, Tsutomu; Baba, Koji; Tabuchi, Tatsuo; Yoshida, Masanori

PA Nihon Nohyaku Co., Ltd., Japan; Yamamoto, Naoya; Umimoto, Koji; Nishiguchi, Tsutomu; Baba, Koji; Tabuchi, Tatsuo; Yoshida, Masanori

SO PCT Int. Appl., 106 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9719908	A1	19970605	WO 1996-JP3484	19961128
	W: AU, BR, CA, CN, CZ, HU, KR, MX, PL, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	ZA 9609881	A	19970618	JP 1995-334056 A	19951129
				JP 1995-337985 A	19951202
	AU 9677105	A1	19970619	ZA 1996-9881	19961125
				JP 1995-334056 A	19951129
				AU 1996-77105	19961128
				JP 1995-334056 A	19951129
				JP 1995-337985 A	19951202
				WO 1996-JP3484 W	19961128
	JP 09208541	A2	19970812	JP 1996-332957	19961128
				JP 1995-334056 A	19951129
				JP 1995-337985 A	19951202

OS MARPAT 127:81785

AB Phenylalanine derivs. FC6H4CH2CH(NR1R2)COR [R = OH, alkoxy, (un)substituted amino, etc.; R1 = H, alkyl; R2 = H, alkyl, alkoxy, alkyl- or arylcarbonyl, etc. or R1R2N is a ring] or their salts, optically active substances, or coordination compds. were prepd. for use as fungicides. Thus, N-(p-toluenesulfonyl)-4-fluoroalanine, prepd. by hydrogenolysis of the benzyl ester, showed 80-94% control of apple scab at 200 ppm.

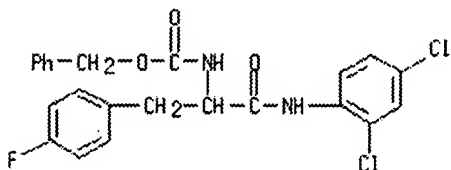
IT 191928-18-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of phenylalanine derivs. as fungicides)

RN 191928-18-4 CAPLUS

STN Columbus

CN Carbamic acid, [2-[(2,4-dichlorophenyl)amino]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 50 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1997:231458 CAPLUS

DN 126:301779

TI Method of treating human immunodeficiency virus infection using a cyclic protease inhibitor in combination with a reverse transcriptase inhibitor

IN Otto, Michael J.

PA Dupont Merck Pharmaceutical Co., USA

SO U.S., 37 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5616578	A	19970401	US 1993-110603	19930826
				US 1993-110603	19930826

OS MARPAT 126:301779

AB A method of treating human immunodeficiency virus (HIV) infection in a mammal comprises administering a synergistically and therapeutically effective amt. of a combination of: (1) ≥1 cyclic HIV protease inhibitor and (2) ≥1 HIV reverse transcriptase inhibitor. More than 200 cyclic compd. protease inhibitors are disclosed. The reverse transcriptase inhibitor may be AZT, ddI, ddC, d4T, or 3TC.

IT 167824-38-6

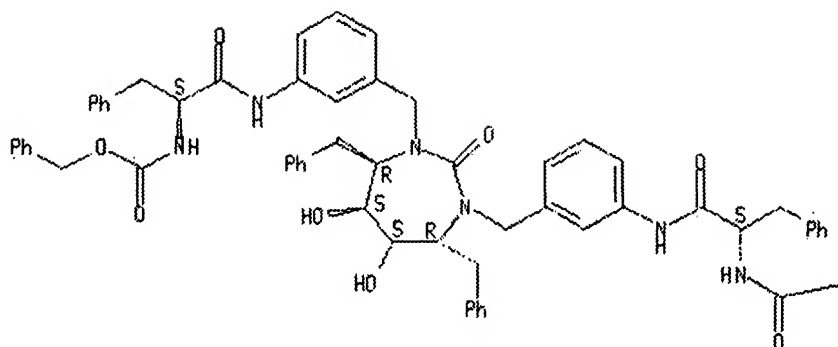
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(cyclic protease inhibitor synergistic combination with reverse transcriptase inhibitor for treatment of HIV infection)

RN 167824-38-6 CAPLUS

CN Carbamic acid, [[tetrahydro-5,6-dihydroxy-2-oxo-4,7-bis(phenylmethyl)-1H-1,3-diazepine-1,3(2H)-diyl]bis[methylene-3,1-phenyleneimino[2-oxo-1-(phenylmethyl)-2,1-ethanediyl]]]bis-, bis(phenylmethyl) ester, [4R-(4α,5α,6β,7β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 51 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1997:208119 CAPLUS

DN 126:293367

TI Substituted cyclic carbonyls and derivatives thereof useful as retroviral protease inhibitors

IN Lam, Patrick Y.; Jadhav, Prabhakar K.; Eyermann, Charles J.; Hodge, Carl N.; De Lucca, George V.; Rodgers, James D.

PA The Du Pont Merck Pharmaceutical Company, USA

SO U.S., 198 pp., Cont.-in-part of U.S. Ser. No. 47,330, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 5

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5610294	A	19970311	US 1994-197630	19940216
			US 1991-776491	B219911011
			US 1992-883944	B219920515
			US 1992-953272	B219920930
			US 1993-23439	B219930226
			US 1993-47330	B219930415
EP 765873	A1	19970402	EP 1996-118182	19921013
EP 765873	B1	20020417		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE

US 1991-776491 A 19911011

US 1992-883944 A 19920515

STN Columbus

			US 1992-953272 A 19920929
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EP 1153921	A3	20011121	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, IE			
			US 1991-776491 A 19911011
			US 1992-883944 A 19920515
			US 1992-953272 A 19920929
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LV 10096	B	19950420	LV 1993-341 19930514
			US 1991-776491 A 19911011
CA 2156594	AA	19940901	CA 1994-2156594 19940223
			US 1993-23439 A 19930226
			US 1993-47330 A 19930415
			US 1994-197630 A 19940216
WO 9419329	A1	19940901	WO 1994-US1609 19940223
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			US 1993-47330 A 19930415
			US 1994-197630 A 19940216
AU 9465493	A1	19940914	AU 1994-65493 19940223
			US 1993-23439 A 19930226
			US 1993-47330 A 19930415
			US 1994-197630 A 19940216
			WO 1994-US1609 W 19940223
EP 686151	A1	19951213	EP 1994-913262 19940223
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			US 1993-47330 A 19930415
			US 1994-197630 A 19940216
			WO 1994-US1609 W 19940223
JP 08509700	T2	19961015	JP 1994-519072 19940223
			US 1993-23439 A 19930226
			US 1993-47330 A 19930415
			US 1994-197630 A 19940216
			WO 1994-US1609 W 19940223
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			US 1993-47330 A 19930415
			US 1994-197630 A 19940216
			EP 1994-913262 A319940223
AT 194333	E	20000715	AT 1994-913262 19940223
			US 1993-23439 A 19930226
			US 1993-47330 A 19930415
			US 1994-197630 A 19940216
			WO 1994-US1609 W 19940223
ES 2149267	T3	20001101	ES 1994-913262 19940223
			US 1993-23439 A 19930226
			US 1993-47330 A 19930415
			US 1994-197630 A 19940216
ZA 9401325	A	19950825	ZA 1994-1325 19940225
			US 1993-23439 A 19930226
US 5506355	A	19960409	US 1994-269281 19940630
			US 1993-23439 B219930226
			US 1993-47330 B219930415
			US 1994-197630 A219940216
US 5559252	A	19960924	US 1994-268609 19940630
			US 1994-197630 A219940216
AU 9532895	A1	19960523	AU 1995-32895 19950926

STN Columbus

AU 703962	B2	19990401	US 1993-23439 A 19930226
			US 1993-47330 A 19930415
			US 1994-197630 A 19940216
US 5880295	A	19990309	US 1996-666032 19960619
			US 1994-197630 A219940216
			US 1994-268609 A319940630
US 5811422	A	19980922	US 1996-770546 19961122
			US 1991-776491 B219911011
			US 1992-883944 B219920515
			US 1992-953272 B219920930
			US 1993-23439 B219930226
			US 1993-47330 B219930415
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US 6503898	B1	20030107	US 1998-113905 19980710
			US 1991-776491 B219911011
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			US 1993-47330 B219930415
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US 37781	E	20020702	US 1999-265808 19990310
			US 1991-776491 B219911011
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			US 1994-197630 A519940216

PATENT FAMILY INFORMATION:

FAN 1994:134540

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO 9307128	A1	19930415	WO 1992-US8749	19921013
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PL, RO, RU, SD				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE, BF,				
BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
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			US 1992-883944 A 19920515	
			US 1992-953272 A 19920929	
AU 9228715	A1	19930503	AU 1992-28715	19921013
			US 1991-776491 A 19911011	
			US 1992-883944 A 19920515	
			US 1992-953272 A 19920929	
			WO 1992-US8749 A 19921013	
EP 607334	A1	19940727	EP 1992-922262	19921013
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			US 1992-953272 A 19920929	
			WO 1992-US8749 W 19921013	
HU 67285	A2	19950328	HU 1994-1020	19921013
			US 1991-776491 A 19911011	
			US 1992-883944 A 19920515	
			US 1992-953272 A 19920929	
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			US 1991-776491 A 19911011	
			US 1992-883944 A 19920515	
			US 1992-953272 A 19920929	
			WO 1992-US8749 W 19921013	

STN Columbus

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			EP 1992-922262 A3	19921013
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			US 1991-776491 A	19911011
			US 1992-883944 A	19920515
			US 1992-953272 A	19920929
ES 2104946	T3	19971016	ES 1992-922262	19921013
			US 1991-776491 A	19911011
			US 1992-883944 A	19920515
			US 1992-953272 A	19920929
CZ 284872	B6	19990317	CZ 1994-814	19921013
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			US 1992-883944 A	19920515
			US 1992-953272 A	19920929
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			WO 1992-US8749 W	19921013
SK 280882	B6	20000814	SK 1994-407	19921013
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			US 1992-953272 A	19920929
			WO 1992-US8749 W	19921013
JP 3208140	B2	20010910	JP 1993-507244	19921013
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			US 1992-953272 A	19920929
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			US 1992-953272 A	19920929
			WO 1992-US8749 A	19921013
AU 9461808	A1	19940707	AU 1994-61808	19940502
AU 694417	B2	19980723		
			US 1991-776491 A	19911011
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			US 1992-953272 A	19920929

STN Columbus

FAN	1995:794872				
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				US 1993-23439	A 19930226
				US 1993-47330	A 19930415
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	US 5610294	A	19970311	US 1994-197630	19940216
				US 1991-776491	B219911011
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				US 1992-953272	B219920930
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				WO 1994-US1609	W 19940223
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FAN	1996:275102				
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	US 5610294	A	19970311	US 1994-197630	19940216
				US 1991-776491	B219911011
				US 1992-883944	B219920515
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FAN	1996:637442				
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PI	US 5559252	A	19960924	US 1994-268609	19940630
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				US 1991-776491	B219911011
				US 1992-883944	B219920515

STN Columbus

US 1992-953272 B219920930
 US 1993-23439 B219930226
 US 1993-47330 B219930415
 US 1996-666032 19960619
 US 1994-197630 A219940216
 US 1994-268609 A319940630

US 5880295 A 19990309

OS MARPAT 126:293367

AB The invention relates to substituted cyclic carbonyl compds. and derivs., and particularly to cyclic urea derivs. such as I [R1, R2 = H, alkyl, allyl, cyclopropylmethyl, (un)substituted benzyl, etc.]. The compds. are retroviral protease inhibitors, useful in pharmaceutical compns. and methods for treating viral infection. They include prodrugs which have improved aq. soly. and oral bioavailability. For instance, the protected diamine-diol II [Cbz = CO2CH2Ph, SEM = CH2OCH2CH2SiMe3] was N-deprotected by hydrogenolysis (99%), then cyclized with carbonyldiimidazole in CH2Cl2 (93%) to give a cyclic urea intermediate. N,N'-Dialkylation of this using NaH in DMF and alkyl bromides, followed by acid hydrolysis using HCl in MeOH-dioxane gave a variety of I, e.g., compd. III [R = H] (IV). Protection of IV as the acetonide (90%) and esterification with excess N,N-dimethylglycine using EDCI (73%) gave the prodrug III.2HCl [R = COCH2NMe2] (V). In the HIV-1 protease transgenic mouse model, as measured by delay of cataract onset, IV gave a delay of 5 days past control at 100 mg/kg i.p. bid, and 45 days at 400 mg/kg i.p. bid. However, solid IV had only low oral bioavailability, and still only 5% at 40 mg/kg when administered in glycol excipient. In contrast, the prodrug V gave 12% mean bioavailability of IV at only 8 mg/kg orally without excipient.

IT 167824-38-6P

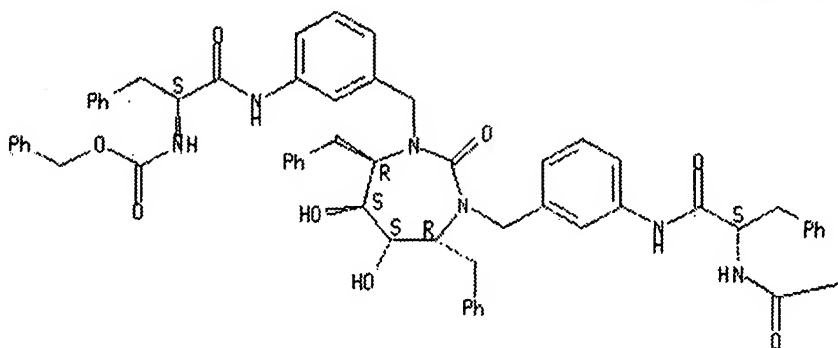
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of cyclic carbonyl compds. and derivs. as retroviral protease inhibitors)

RN 167824-38-6 CAPLUS

CN Carbamic acid, [[tetrahydro-5,6-dihydroxy-2-oxo-4,7-bis(phenylmethyl)-1H-1,3-diazepine-1,3(2H)-diyl]bis[methylene-3,1-phenyleneimino[2-oxo-1-(phenylmethyl)-2,1-ethanediyl]]]bis-, bis(phenylmethyl) ester, [4R-(4 α ,5 α ,6 β ,7 β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





L9 ANSWER 52 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1997:139017 CAPLUS

DN 126:235022

TI New fluorogenic substrates and an instrument for determination of chymotrypsin

AU Talaikyte, Z.; Janciene, R.; Simkus, R.; Palaima, A.

CS Inst. Biochem., Vilnius, 2600, Lithuania

SO Chemija (1996), (3), 60-67

CODEN: CHMJES; ISSN: 0235-7216

PB Academia

DT Journal

LA English

AB New fluorogenic substrates for chymotrypsin, Suc-Phe-ANSA and Suc-Ala-Pro-Phe-ANSA contg. a highly fluorescent ANSA group were synthesized and their spectral characteristics as well as kinetic consts. of the chymotrypsin-catalyzed hydrolysis reaction were detd. The new substrates were established to be several times more effective than chromogenic substrates Suc-Phe-pNA and Suc-Ala-Ala-Pro-Phe-pNa used in medical practice. A simple fluorometer for the detn. of ANSA in a hydrolysis reaction was designed.

IT 188444-29-3P 188444-30-6P

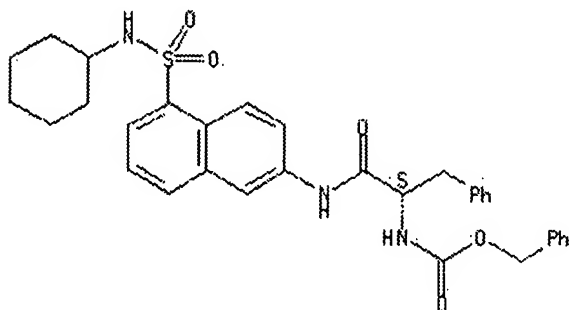
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(new fluorogenic substrates and instrument for detn. of chymotrypsin)

RN 188444-29-3 CAPLUS

CN Carbamic acid, [2-[[5-[(cyclohexylamino)sulfonyl]-2-naphthalenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

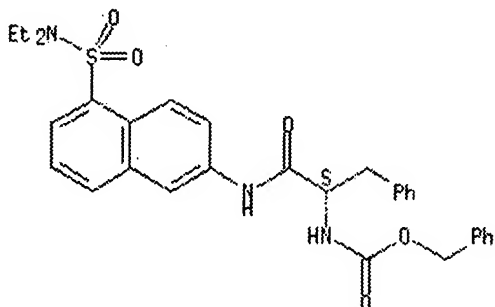


RN 188444-30-6 CAPLUS

STN Columbus

CN Carbamic acid, [2-[[5-[(diethylamino)sulfonyl]-2-naphthalenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 53 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1996:694635 CAPLUS

DN 126:19189

TI p-Nitroanilides of Fmoc-amino acids and -peptides

AU Ravina, I.; Zicane, D.; Rijkure, I.; Tetere, Z.; Gudriniece, E.

CS Riga Tech. Univ., Riga, Latvia

SO Latvijas Kimijas Zurnals (1995), (3-4), 137

CODEN: LKZUE8; ISSN: 0868-8249

PB Zinatne

DT Journal

LA Russian

AB The title compds. were prepd. in 69-82% yield by reaction of amino acid p-nitroanilides and peptide p-nitroanilides with fluoren-9-ylmethyl pentafluorophenyl carbonate.

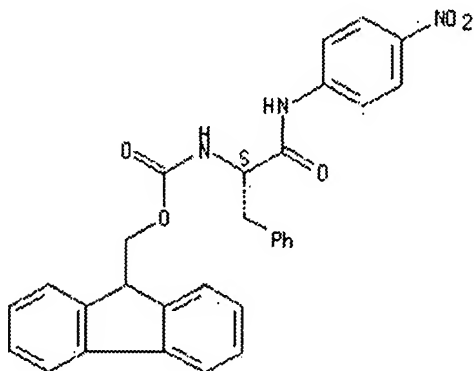
IT 160192-24-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 160192-24-5 CAPLUS

CN Carbamic acid, [2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, 9H-fluoren-9-ylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



STN Columbus

L9 ANSWER 54 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1996:656115 CAPLUS

DN 125:329453

TI Anthranoyl-anthranilic acid. A template for the development of a new class of cholecystokinin receptor ligands

AU Varnavas, A.; Lassiani, L.; Luxich, E.; Zaccghigna, M.

CS Dep. Pharmaceutical Sciences, Univ. Trieste, Trieste, I-34127, Italy

SO Pharmazie (1996), 51(10), 697-700

CODEN: PHARAT; ISSN: 0031-7144

PB Govi-Verlag Pharmazeutischer Verlag

DT Journal

LA English

AB A series of anthranoyl-anthranilic acid derivs. was prepd. and evaluated by CCK radioligand binding assays. The choice of the substituents was mainly addressed to tryptophan- or indole-contg. residues. Some phenylalanine derivs. were also included in the preliminary screening. Substitution at the N-terminal site of the anthranilate dimer led to compds. with micromolar affinities for the CCK-A receptor subtype.

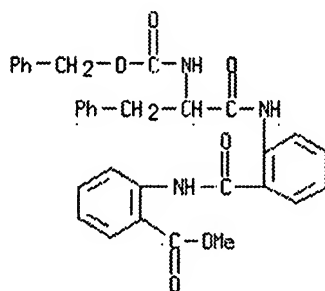
IT 183206-25-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of anthranoylanthranilic acid derivs. as cholecystokinin receptor ligands)

RN 183206-25-9 CAPLUS

CN Benzoic acid, 2-[[2-[[1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]benzoyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 55 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1996:623177 CAPLUS

DN 125:275910

TI Preparation of benzylpiperidines and -piperazines as muscarinic antagonists

IN Lowe, Derek; Chang, Wei; Kozlowski, Joseph; Berger, Joel G.; Mcquade, Robert; Barnett, Allen; Scherlock, Margaret; Tom, Wing; Dugar, Sundeep; et al.

PA Schering Corporation, USA

SO PCT Int. Appl., 152 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9626196	A2	19960829	WO 1996-US1532	19960216
	WO 9626196	A3	19961003		

STN Columbus

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RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

CA 2212895 AA 19960829 US 1995-392697 A 19950223
US 1995-457712 A 19950602
CA 1996-2212895 19960216
US 1995-392697 A 19950223
US 1995-457712 A 19950602

AU 9649717 A1 19960911 AU 1996-49717 19960216
AU 701452 B2 19990128

EP 811002 A2 19971210 US 1995-392697 A 19950223
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WO 1996-US1532 W 19960216
EP 1996-906286 19960216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV

JP 11501014 T2 19990126 US 1995-392697 A 19950223
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TW 464646 B 20011121 TW 1996-85101945 19960216
US 1995-392697 A 19950223
US 1995-457712 A 19950602

ZA 9601293 A 19960819 ZA 1996-1293 19960219
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US 1995-457712 A 19950602
WO 1996-US1532 W 19960216

PATENT FAMILY INFORMATION:
FAN 1998:112193

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9805292	A2	19980212	WO 1997-US13383	19970806
WO 9805292	A3	19980402		
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5889006	A	19990330	US 1996-700628 A	19960808
			US 1996-700628	19960808
			US 1995-392697 B2	19950223
			US 1995-457712 B2	19950602
			US 1996-602403 A2	19960216
AU 9738999	A1	19980225	AU 1997-38999	19970806
AU 724001	B2	20000907		
			US 1996-700628 A	19960808
			WO 1997-US13383W	19970806
EP 938483	A2	19990901	EP 1997-936296	19970806
EP 938483	B1	20030226		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO				

STN Columbus

				US 1996-700628 A 19960808
				WO 1997-US13383W 19970806
BR 9711119	A	19991123		BR 1997-11119 19970806
				US 1996-700628 A 19960808
				WO 1997-US13383W 19970806
JP 2000501117	T2	20000202		JP 1998-508038 19970806
				US 1996-700628 A 19960808
				WO 1997-US13383W 19970806
NZ 333801	A	20000428		NZ 1997-333801 19970806
				US 1996-700628 A 19960808
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AT 233260	E	20030315		AT 1997-936296 19970806
				US 1996-700628 A 19960808
				WO 1997-US13383W 19970806
NO 9900551	A	19990407		NO 1999-551 19990205
				US 1996-700628 A 19960808
				WO 1997-US13383W 19970806
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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI US 5883096	A	19990316	US 1996-602403	19960216
			US 1995-392697 B219950223	
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CA 2212895	AA	19960829	CA 1996-2212895	19960216
			US 1995-392697 A 19950223	
			US 1995-457712 A 19950602	
TW 464646	B	20011121	TW 1996-85101945	19960216
			US 1995-392697 A 19950223	
			US 1995-457712 A 19950602	
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			US 1995-392697 A 19950223	
US 5889006	A	19990330	US 1996-700628	19960808
			US 1995-392697 B219950223	
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US 6037352	A	20000314	US 1998-195742	19981119
			US 1995-392697 B219950223	
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US 6288068	B1	20010911	US 2000-482168	20000112
			US 1995-392697 B219950223	
			US 1995-457712 B219950602	
			US 1996-602403 A319960216	
			US 1998-195742 A319981119	
US 2002103205	A1	20020801	US 2001-902849	20010711
US 6498168	B2	20021224		
			US 1995-392697 B219950223	
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FAN 1999:212795				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI US 5889006	A	19990330	US 1996-700628	19960808
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STN Columbus

US 5883096	A	19990316	US 1996-602403 A219960216 US 1996-602403 19960216 US 1995-392697 B219950223 US 1995-457712 B219950602
ZA 9601293	A	19960819	ZA 1996-1293 19960219 US 1995-392697 A 19950223
ZA 9707011	A	19980206	ZA 1997-7011 19970806 US 1996-700628 A 19960808
WO 9805292	A2	19980212	WO 1997-US13383 19970806
WO 9805292	A3	19980402	
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9738999	A1	19980225	US 1996-700628 A 19960808 AU 1997-38999 19970806
AU 724001	B2	20000907	
EP 938483	A2	19990901	US 1996-700628 A 19960808 WO 1997-US13383W 19970806
EP 938483	B1	20030226	EP 1997-936296 19970806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO			
CN 1232462	A	19991020	US 1996-700628 A 19960808 WO 1997-US13383W 19970806
CN 1084743	B	20020515	CN 1997-198479 19970806
BR 9711119	A	19991123	US 1996-700628 A 19960808 BR 1997-11119 19970806 US 1996-700628 A 19960808
JP 2000501117	T2	20000202	WO 1997-US13383W 19970806 JP 1998-508038 19970806 US 1996-700628 A 19960808
NZ 333801	A	20000428	WO 1997-US13383W 19970806 NZ 1997-333801 19970806 US 1996-700628 A 19960808
AT 233260	E	20030315	WO 1997-US13383W 19970806 AT 1997-936296 19970806 US 1996-700628 A 19960808
NO 9900551	A	19990407	WO 1997-US13383W 19970806 NO 1999-551 19990205 US 1996-700628 A 19960808
KR 2000029947	A	20000525	WO 1997-US13383W 19970806 KR 1999-701175 19990208 US 1996-700628 A 19960808
US 6043255	A	20000328	US 1999-266079 19990310 US 1995-392697 B219950223 US 1995-457712 B219950602 US 1996-602403 A219960216 US 1996-700628 A319960808
OS	MARPAT 125:275910		
AB	RZ1Z2CR1R3R4 [R = H, alkyl, acyl, CH2Ph, heterocyclyl, etc.; R1,R3 = alk(en)yl, cyano, alkoxycarbonyl, Ph, heterocyclyl, etc.; R4 = heterocyclyl group Q; R2 = H, (cyclo)alk(en)yl, alkanoyl, heterocyclyl, etc.; 1 of Z, Z3 = N and the other = N or (alkyl)methine; Z1 = O, SO0-2, (alkyl)imino, CO, CH2, etc.; Z2 = (un)substituted 1,4-phenylene] were prepd. Thus, 4-FC6H4COME was sulfonated by PhSO2Na and the reduced product treated with SOCl2 to give PhSO2C6H4(CHClMe)-4 which was aminated by N-cyclohexylpiperazine to give title compd. I (R1 = Me, R5 = H, n = 2).		

STN Columbus

Sulfoxide isomer I (R1 = cyano, R5 = OMe, n = 1) (II) increased acetylcholine release in striatum of conscious rat from 30% (tacrine 3mg/kg i.p.) to 130% over baseline at 1mg/kg i.p. with tacrine 3mg/kg i.p.

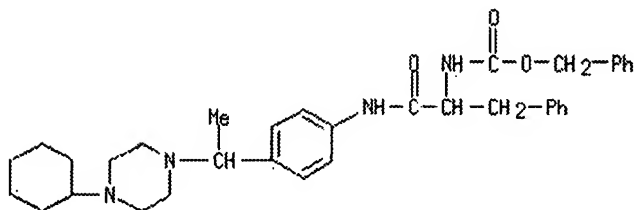
IT 182144-68-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzylpiperidines and -piperazines as muscarinic antagonists)

RN 182144-68-9 CAPLUS

CN Carbamic acid, [2-[[4-[1-(4-cyclohexyl-1-piperazinyl)ethyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 56 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1996:494173 CAPLUS

DN 125:143330

TI Peptide compounds for prevention and/or treatment of nitric oxide (NO)-mediated diseases

IN Itoh, Yoshikuni; Iwamoto, Toshiro; Yatabe, Takumi; Hamashima, Hitoshi; Inoue, Takayuki; Hashimoto, Seiji; Oku, Teruo

PA Fujisawa Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 739 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9616981	A2	19960606	WO 1995-JP2428	19951129
WO 9616981	A3	19960906		
W: AU, CA, CN, FI, HU, JP, KR, MX, NO, NZ, RU, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
			GB 1994-24408	A 19941202
			GB 1995-4891	A 19950310
			GB 1995-10042	A 19950518
AU 9539937	A1	19960619	AU 1995-39937	19951129
			GB 1994-24408	A 19941202
			GB 1995-4891	A 19950310
			GB 1995-10042	A 19950518
			WO 1995-JP2428	W 19951129
EP 796270	A2	19970924	EP 1995-938602	19951129
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
			GB 1994-24408	A 19941202
			GB 1995-4891	A 19950310
			GB 1995-10042	A 19950518
			WO 1995-JP2428	W 19951129
ZA 9510201	A	19960625	ZA 1995-10201	19951130

STN Columbus

US 5932737 A 19990803 GB 1994-24408 A 19941202
 US 1997-849076 19970530 GB 1994-24408 A 19941202
 GB 1995-4891 A 19950310 GB 1995-10042 A 19950518
 WO 1995-JP2428 W 19951129

OS MARPAT 125:143330

AB Peptides WA1NR8CH(A2T)CONR9CH(A3R3)R4 [W = alkyl, (un)substituted aryl or fluorenyl, etc.; A1 = alkylene, NHCO, CO, CS, SO2; A2 = alkylene; T = H, aryl, heterocyclyl, OH, etc.; R8 = H, alkyl; R8 may link with A2T to form CH2C6H4CH2-o (Q); A3 = bond, alkylene; R3 = H, aryl, OH, etc.; R9 = H, alkyl or may link with A3R3 to form Q; R4 = CO2H, protected carboxy, carboxamido, etc. or CH(A3R3)R4 = N-alkyl-2-oxoquinoline moiety] or their pharmaceutically acceptable salts were prepd. for use as medicaments. Thus, dipeptide I was prepd. by acylation of aspartylphenylalaninamide deriv. with 2-benzofurancarboxylic acid. I and six other peptides showed 100% inhibition of NO prodn. in tests of murine macrophage cells.

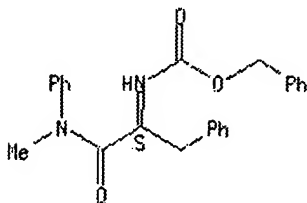
IT 179873-99-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of peptides for prevention and/or treatment of nitric oxide-mediated diseases)

RN 179873-99-5 CAPLUS

CN Carbamic acid, [2-(methylphenylamino)-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 57 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1996:411844 CAPLUS

DN 125:222394

TI Glycolipid enzyme models. X. Catalysis of glycolipids with amino acid residue

AU Ohkatsu, Yasukazu; Ozawa, Miho; Numata, Yoshiko; Nakamura, Nobuhiro

CS Fac. Eng., Kogakuin Univ., Tokyo, 163, Japan

SO Nihon Yukagakkaishi (1996), 45(6), 545-553
 CODEN: NIYUFC; ISSN: 1341-8327

PB Nihon Yukagaku Gakkai

DT Journal

LA English

AB Glycolipids classified into two categories were synthesized and applied, as hydrolase models, to the hydrolyses of p-nitroanilides of amino acids. Each type of glycolipid, e.g., Man(Lau)2-His-OMe [Man(Lau)2 = 4-(didodecylcarbamoyl)-2-thiazolidinyl[(D-manno-pentahydroxypentyl)carbonyl]], could recognize types of amino acids. The combination system, however, distinguished the D,L-configuration of amino acids better than other glycolipids. In particular and like an enzyme, it distinguished D,L-alanines. The recognition mechanism is discussed.

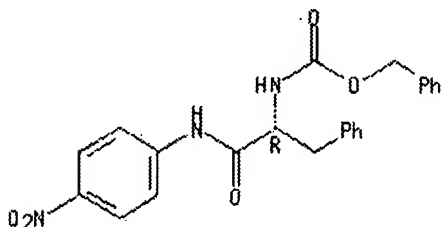
IT 14235-15-5 19647-71-3

RL: RCT (Reactant); RACT (Reactant or reagent)
 (glycolipid catalysts for hydrolysis of amino acid nitroanilides)

STN Columbus

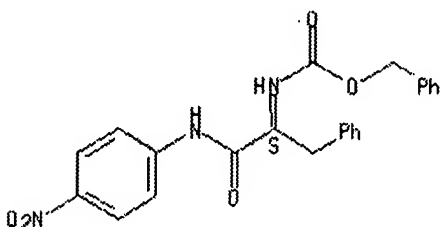
RN 14235-15-5 CAPLUS
 CN Carbamic acid, [(1R)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 19647-71-3 CAPLUS
 CN Carbamic acid, [(1S)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 58 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1996:241536 CAPLUS
 DN 124:290265
 TI Preparation of amino acid moiety-containing benzoxazines as elastase inhibitors
 IN Oshida, Junichi; Kawabata, Hiroshi; Kato, Yoshinori; Kokubo, Masayuki; Ueshima, Yasuhide; Sato, Osami; Fujii, Katsuhiko
 PA Teijin Ltd., Japan
 SO Jpn. Kokai Tokkyo Koho, 34 pp. Division of Jpn. Kokai Tokkyo Koho Appl. NO. 91 504,791.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 07316056	A2	19951205	JP 1994-272320	19941107
				JP 1991-504791	19910215

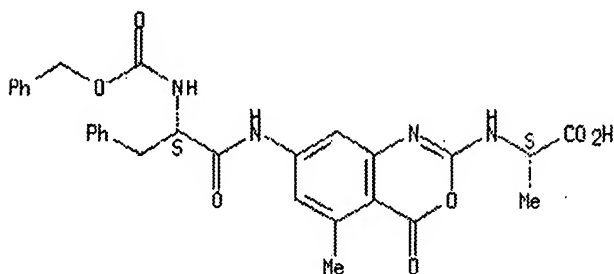
OS MARPAT 124:290265

AB The title compds. I [R1 = H, alkyl; X = Y1A1, Y2(A2)mA3; when X is Y1A1 : R2, R3 = H, (carboxy)alkyl, or NR2R3 = ring; when X is Y2(A2)mA3 : R2 = alkyl, R3 = H; Y1 = amino-protecting group; Y2 = H, sulfonyl; A1, A2 = amino acid residue, etc.; A3 = lysine residue, etc.; m = 0 or 1] are prepd. 7-(N-benzyloxycarbonyl-L-phenylalanyl)amino-5-methyl-2-(1-carboxyethyl)amino-4H-3,1-benzoxazin-4-one (prepn. given) in vitro showed IC50 values of 5.1 x 10⁻⁸ M and 1.5 x 10⁻⁶ M against elastase and

STN Columbus

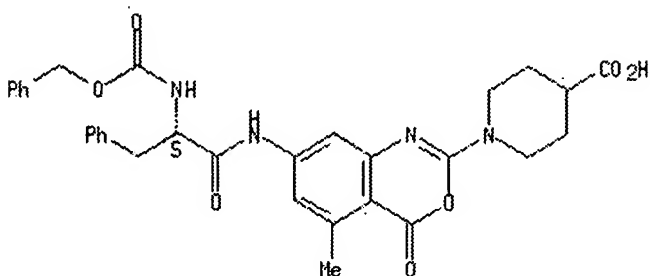
chymotrypsin, resp.
 IT 138006-68-5P 138006-70-9P 138006-71-0P
 138006-75-4P 138006-76-5P 175594-71-5P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of amino acid moiety-contg. benzoxazines as elastase inhibitors)
 RN 138006-68-5 CAPLUS
 CN L-Alanine, N-[5-methyl-4-oxo-7-[[[1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 138006-70-9 CAPLUS
 CN 4-Piperidinecarboxylic acid, 1-[5-methyl-4-oxo-7-[[[1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-, (S)- (9CI) (CA INDEX NAME)

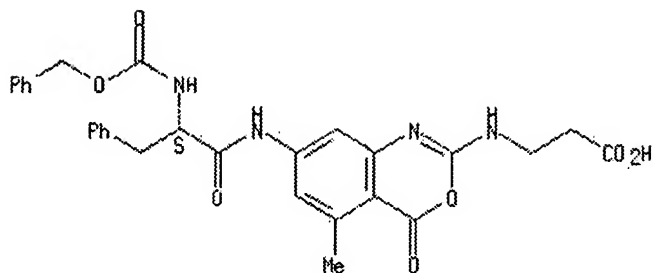
Absolute stereochemistry.



RN 138006-71-0 CAPLUS
 CN β-Alanine, N-[5-methyl-4-oxo-7-[[[1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

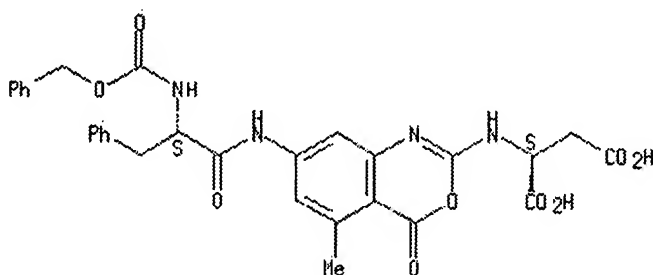
STN Columbus



RN 138006-75-4 CAPLUS

CN L-Aspartic acid, N-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-
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(S)- (9CI) (CA INDEX NAME)

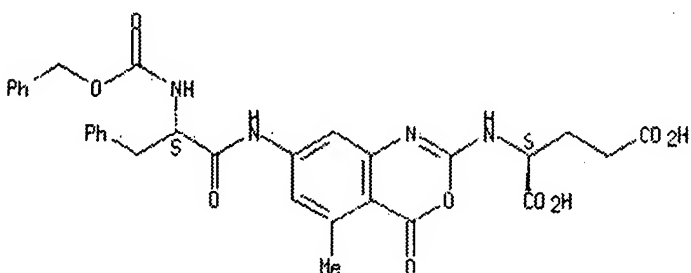
Absolute stereochemistry.



RN 138006-76-5 CAPLUS

CN L-Glutamic acid, N-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-
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(S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

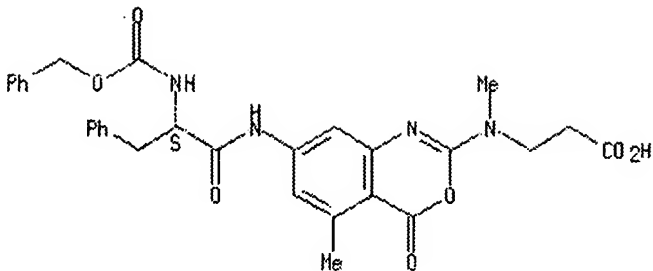


RN 175594-71-5 CAPLUS

CN beta-Alanine, N-methyl-N-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-
[[(phenylmethoxy) carbonyl] amino] propyl] amino]-4H-3,1-benzoxazin-2-yl]-,
(S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

STN Columbus



IT 138006-91-4P 138007-03-1P 138007-05-3P

138007-09-7P 175594-81-7P 175594-84-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

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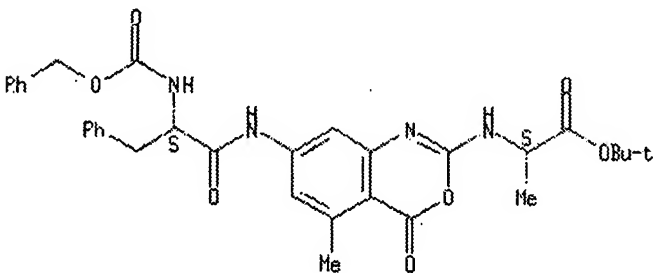


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RN 138006-91-4 CAPLUS

CN L-Alanine, N-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-
[[(phenylmethoxy) carbonyl] amino] propyl] amino]-4H-3,1-benzoxazin-2-yl]-,
1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

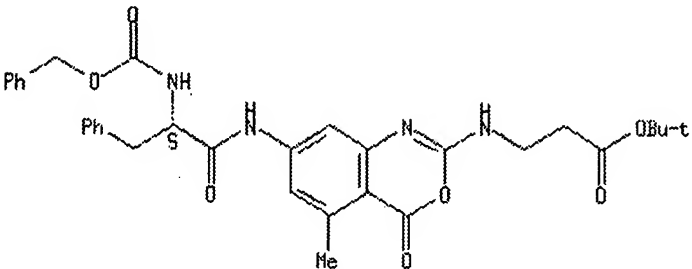
Absolute stereochemistry.



RN 138007-03-1 CAPLUS

CN β-Alanine, N-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-
[[(phenylmethoxy) carbonyl] amino] propyl] amino]-4H-3,1-benzoxazin-2-yl]-,
1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

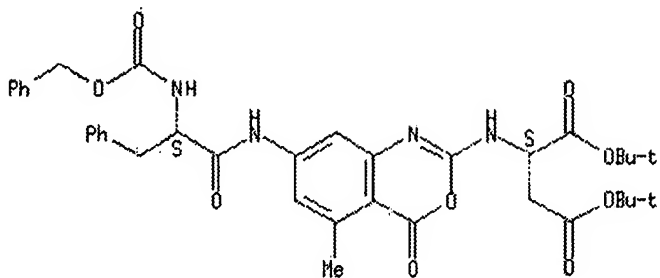


RN 138007-05-3 CAPLUS

CN L-Aspartic acid, N-[5-methyl-4-oxo-7-[[[1-oxo-3-phenyl-2-
[[[phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-,
bis(1,1-dimethylethyl) ester, (S)- (9CI) (CA INDEX NAME)

STN Columbus

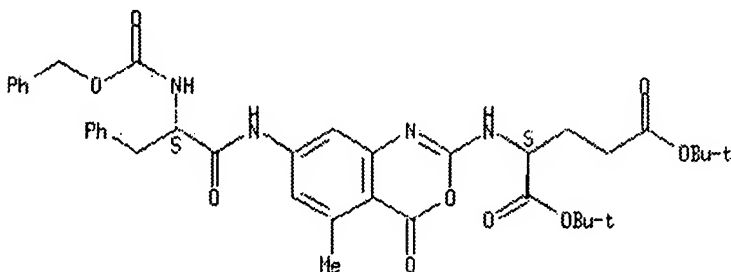
Absolute stereochemistry.



RN 138007-09-7 CAPLUS

CN L-Glutamic acid, N-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-, bis(1,1-dimethylethyl) ester, (S)- (9CI) (CA INDEX NAME)

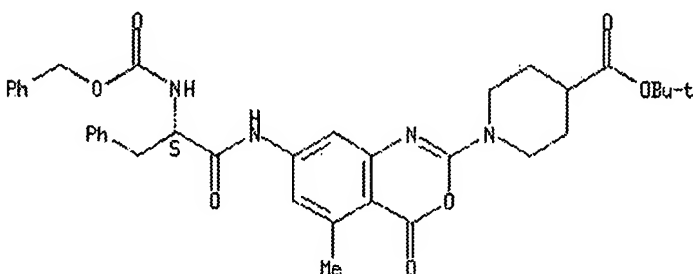
Absolute stereochemistry.



RN 175594-81-7 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

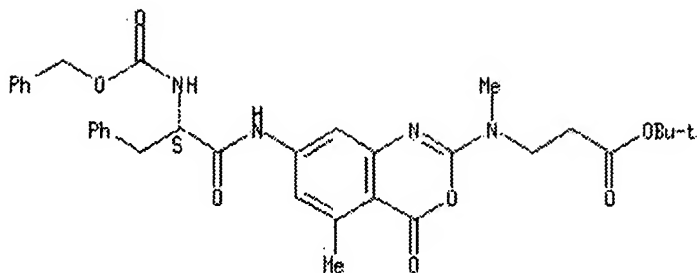


RN 175594-84-0 CAPLUS

CN beta-Alanine, N-methyl-N-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

STN Columbus



L9 ANSWER 59 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1996:229082 CAPLUS

DN 125:11460

TI Amino acid derived acylaminoindole derivatives as 5-HT1 agonists

IN Macor, John E.

PA Pfizer Inc., USA

SO U.S., 9 pp., Cont.-in-part of U.S. Ser. No. 866,382, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5498626	A	19960312	US 1994-295792	19940914
				US 1992-866382 B2	19920410
				WO 1993-US1807 W	19930304
	WO 9321180	A1	19931028	WO 1993-US1807	19930304
	W:	AU, BR, CA, CZ, DE, JP, KR, NO, NZ, PL, RU, SK, UA, US			
	RW:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			
				US 1992-866382 A2	19920410

PATENT FAMILY INFORMATION:

FAN 1994:483048

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9321180	A1	19931028	WO 1993-US1807	19930304
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	RW:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			
				US 1992-866382 A2	19920410
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				WO 1993-US1807 A	19930304
	EP 635015	A1	19950125	EP 1993-907096	19930304
	EP 635015	B1	19970129		
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				US 1992-866382 A	19920410
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	JP 07501831	T2	19950223	JP 1993-518302	19930304
	JP 2544704	B2	19961016		
				US 1992-866382 A	19920410
				WO 1993-US1807 W	19930304
	SK 278182	B6	19960306	SK 1994-1207	19930304
				US 1992-866382 A	19920410
				WO 1993-US1807 W	19930304
	AT 148465	E	19970215	AT 1993-907096	19930304
				US 1992-866382 A	19920410
	ES 2097496	T3	19970401	ES 1993-907096	19930304
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	CZ 282653	B6	19970813	CZ 1994-2477	19930304

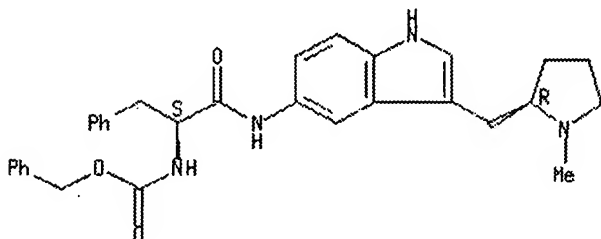
STN Columbus

PL 172232	B1	19970829	US 1992-866382 A 19920410
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RU 2110516	C1	19980510	RU 1994-45902 19930304
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TW 394769	B	20000621	TW 1993-82101732 19930309
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ZA 9302536	A	19941008	ZA 1993-2536 19930408
			US 1992-866382 A 19920410
HU 64060	A2	19931129	HU 1993-1048 19930409
			US 1992-866382 A 19920410
CN 1080288	A	19940105	CN 1993-104439 19930409
CN 1038506	B	19980527	
			US 1992-866382 A 19920410
ES 2070772	B1	19960216	ES 1993-1772 19930809
ES 2070772	A1	19950601	
			US 1992-866382 19920410
US 5498626	A	19960312	US 1994-295792 19940914
			US 1992-866382 B219920410
			WO 1993-US1807 W 19930304
NO 9403803	A	19941007	NO 1994-3803 19941007
			US 1992-866382 A 19920410
			WO 1993-US1807 W 19930304
FI 2001000214	A	20010205	FI 2001-214 20010205
			US 1992-866382 A 19920410
OS	MARPAT 125:11460		
AB	<p>This invention provides compds. of formula I where n is 0, 1, or 2; m is 0 or 1; Y and W are each an amino acid residue; R1 is hydrogen, C1-C6 alkyl, C3-C6 alkenyl, C3-C6 alkynyl, aryl, C1-C3 alkylaryl, or C1-C3 alkylheteroaryl, and (CH2)pR3; R2 is CF3, C1-C6 alkyl, aryl, C1-C3 alkylaryl, and OR5; R3 is cyano, trifluoromethyl, or OR4; R4 is hydrogen, C1-C6 alkyl, C1-C3 alkylaryl, or aryl; R5 is C1-C6 alkyl, C1-C3 alkylaryl, or aryl; R6 is hydrogen, OR7, or NHCOR7; R7 is hydrogen, C1 to C6 alkyl, aryl or C1 to C3 alkyl-aryl; p is 1, 2, or 3; and the above aryl groups and the aryl moieties of the above alkyl-aryl groups are independently selected from Ph and substituted Ph, wherein said substituted Ph may be substituted with one to three groups selected from C1 to C4 alkyl, halogen, hydroxy, cyano, carboxamide, nitro, and C1 to C4 alkoxy, and the pharmaceutically acceptable salts thereof. These compds. are useful in treating migraine and other disorders. These compd. are useful psychotherapeutics and are potent serotonin (5-HT1) agonists (no data) and may be used in the treatment of depression, anxiety, eating disorders, obesity, drug abuse, cluster headache, migraine, pain, and chronic paroxysmal hemicrania and headache assocd. with vascular disorders, and other disorders arising from deficient serotonergic neurotransmission. The compds. can also be used as centrally acting antihypertensives and vasodilators. Thus, e.g., coupling of N-benzyloxycarbonylglycine with 5-amino-3-(N-methylpyrrolidin-2R-ylmethyl)-1H-indole (prepn. given) afforded 5-(N-benzyloxycarbonylglycyl)amino-3-(N-methylpyrrolidin-2R-ylmethyl)-1H-indole (74%).</p>		
IT	154038-86-5P		
	<p>RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)</p> <p>(amino acid derived acylaminoindole derivs. as 5-HT1 agonists)</p>		

STN Columbus

RN 154038-86-5 CAPLUS
 CN Carbamic acid, [2-[[3-[(1-methyl-2-pyrrolidinyl)methyl]-1H-indol-5-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, [R-(R*,S*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 60 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1996:148290 CAPLUS

DN 124:290235

TI Bradykinin Receptor Antagonists Containing N-Substituted Amino Acids: in Vitro and in Vivo B2 and B1 Receptor Antagonist Activity

AU Goodfellow, Val S.; Marathe, Manoj V.; Kuhlman, Karen G.; Fitzpatrick, Timothy D.; Cuadrado, David; Hanson, Wendy; Zuzack, John S.; Ross, Sherman E.; Wieczorek, Maciej; et al.

CS Department of New Leads Discovery, Cortech Inc., Denver, CO, 80221, USA

SO Journal of Medicinal Chemistry (1996), 39(7), 1472-84

CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

AB A systematic probing of the structural requirements of the bradykinin (BK) type 2 (B2) receptor for antagonist activity by incorporating N-alkyl amino acid residues at positions 7 and 8 of a potent antagonist sequence is reported. Lead decapeptide H-D-Arg0-Arg1-Pro2-Hyp3-Gly4-Thi5-Ser6-D-Tic7-Chg8-Arg9-OH (I; Thi = L-2-thienylalanine, Tic = 1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid, Chg = N-cyclohexylglycine) (CP-0597) is a potent (pA2 = 9.3, rat uterus; pKi = 9.62, binding, human receptor clone) B2 receptor antagonist devoid of in vitro B1 antagonist activity (rabbit aorta). CP-0597 exhibits high potency (ED50 = 29.2 pmol/kg/min, i.v., rabbit) and duration of action when tested in models for in vivo B2 antagonist activity. Although devoid of activity in a classic B1 isolated tissue assay, B1 antagonist activity for CP-0597 was demonstrated in vivo, in a LPS-treated, inducible BK1 receptor rabbit blood pressure model (ED50 = 1.7 nmol/kg/min). The D-Arg0 residue can be formally replaced by an achiral arginine surrogate, without significant loss in antagonist potency on rat uterus (B2 pA2 = 9.1). [Hyp2]-I, pKi = 10.2, and agonist [N-cyclohexylmethylglycine8]-I, pKi = 10.1, also exhibited substantial binding to guinea pig ileum membrane receptors as well as a human B2 receptor clone. Very minor structural changes in the N-alkyl amino acid residues in positions 7 and 8 can modify the activity of this class of compds. from being extremely potent antagonists to tight binding partial or full agonists. These studies have resulted in a series of compds. contg. inexpensive amino acid residues but which produce broad spectrum BK receptor blocking potency and exceptional in vivo duration of action.

IT 172834-30-9

RL: RCT (Reactant); RACT (Reactant or reagent)

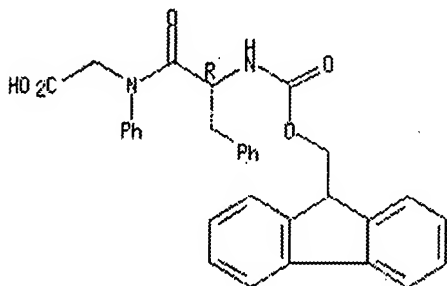
STN Columbus

(prepn. and bradykinin receptor antagonistic activity of N-substituted amino acid-contg. analogs)

RN 172834-30-9 CAPLUS

CN Glycine, N-[N-[(9H-fluoren-9-ylmethoxy)carbonyl]-D-phenylalanyl]-N-phenyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 61 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1995:994903 CAPLUS

DN 124:118000

TI Preparation of bradykinin antagonist peptides incorporating N-substituted glycines

IN Goodfellow, Val S.; Marathe, Manoj V.; Whalley, Eric T.; Fitzpatrick, Timothy D.; Kuhlman, Karen G.

PA Cortech, Inc., USA

SO PCT Int. Appl., 73 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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PI	WO 9524422	A1	19950914	WO 1995-US2399	19950307
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	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2184824	AA	19950914	US 1994-208115 A	19940309
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				US 1994-208115 A	19940309
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	AU 696429	B2	19980910		
				US 1994-208115 A	19940309
				WO 1995-US2399 W	19950307
	CN 1148393	A	19970423	CN 1995-193017	19950307
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	JP 09511500	T2	19971118	JP 1995-523493	19950307
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				WO 1995-US2399 W	19950307
	EP 813544	A1	19971229	EP 1995-911948	19950307
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT				
				US 1994-208115 A	19940309

STN Columbus

			WO 1995-US2399 W 19950307
ZA 9501974	A	19951211	ZA 1995-1974 19950309
			US 1994-208115 A 19940309
TW 408133	B	20001011	TW 1995-84102476 19950315
			US 1994-208115 A 19940309
US 5700779	A	19971223	US 1996-668100 19960620
			US 1994-208115 B119940309

OS MARPAT 124:118000

AB Bradykinin-type peptides contg. N-substituted glycines, particularly bradykinin antagonist peptides, useful for the treatment of conditions mediated by bradykinin including pain and inflammation, are prepd. Preferably, said peptides are represented by general formula

Z1-Z0-A1-B2-C3-D4-E5-F6-G7-H8-I9-J10 [Z1 = absent, H, Ac, adamantylcarbonyl, adamantylacetyl, C1-8 alkyl or alkanoyl, arylsulfonyl, alkoxycarbonyl, dihydroquinuclidinecarbonyl; Z0, A1 = direct bond, H, D- or L-Arg, Lys, or Orn, H2N(C:NH)NH(CH2)3(CH2)nCO, an Arg substitute; wherein n = 0-3; B2, C3 = Pro, hydroxyproline, Sar, Ser, Thr, MeSer, MeThr, MePhe, (un)substituted Gly; D4 = Gly, Ala, thienylalanine; or B2-C3-D4-E5 = NH(CH2)mCO; wherein m = 4-14; E5 = Phe, methyl-substituted Phe, Gly, cyclopentylglycine, cyclohexylglycine, cyclohexylalanine, 2-indaneglycine, thienylalanine, N-(2-indanyl)glycine, N-substituted glycine; F6 = aliph. or arom. amino acid; G7 = arom. amino acid selected from D-1,2,3,4-tetrahydroisoquinolin-3-ylcarbonyl, D-dihydroisoquinolin-3-ylcarbonyl, D-Phe, 2-indaneglycine, D-cyclopentylglycine, D-Pro, 3- or 4-substituted Pro, N-substituted Gly; H8 = amino acid residue NR1CHR2CO or N[(CH2)1]CHR2CO; wherein 1 = 1-6; R1 = (un)substituted C1-2 alkyl, C3-8 cycloalkyl, mono- or polycyclic aryl, heteroaryl, or heterocyclyl contg. ≥1 rings of 3-8 atoms selected from C, N, O, or S; R2 = H, Me, alkyl, acidic, basic, or neutral alkyl or arom. amino acid residue; I9 = absent or direct bond, OH, amino acid, H2N(C:NH)NH(CH2)3(CH2)n, an Arg substitute; J10 = absent, OH, amino acid, alkoxy, alkylamino]. Thus, H-D-Arg-Arg-Pro-Hyp-Gly-Thi-Ser-D-Tic-NChg-Arg-OH [Thi = β--(2-thienyl)alanine, Tic = 1,2,3,4-tetrahydroisoquinolin-3-ylcarbonyl, NChg = N-cyclohexylglycine] (I) was prepd. by manual solid phase method which involved sequentially coupling Boc-amino acids to a Boc-Arg(Tos)-resin and resin cleavage and deprotection. In the std. rat uterus pA2 assay for in vitro B2 antagonist activity, I showed the pA2 value of 9.5±0.05.

IT 172834-30-9P

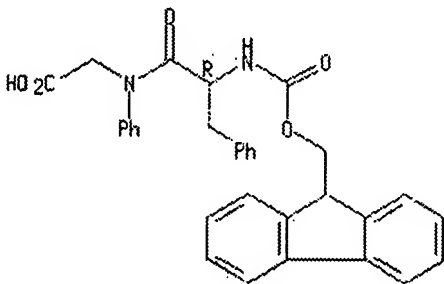
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of bradykinin antagonist peptides contg. N-substituted glycines for treating pain and inflammation)

RN 172834-30-9 CAPLUS

CN Glycine, N-[N-[(9H-fluoren-9-ylmethoxy)carbonyl]-D-phenylalanyl]-N-phenyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



STN Columbus

L9 ANSWER 62 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1995:994182 CAPLUS

DN 124:56708

TI Preparation of N-acylated amino acid amide derivatives as metalloproteinase inhibitors.

IN Beckett, Raymond Paul; Whittaker, Mark; Miller, Andrew; Martin, Fionna Mitchell

PA British Biotech Pharmaceuticals Ltd., UK

SO PCT Int. Appl., 94 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9519956	A1	19950727	WO 1995-GB111	19950120
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				GB 1994-15619	A 19940802
	AU 9514597	A1	19950808	AU 1995-14597	19950120
	AU 682920	B2	19971023		
				GB 1994-1034	A 19940120
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				WO 1995-GB111	W 19950120
	ZA 9500480	A	19960207	ZA 1995-480	19950120
				GB 1994-1034	A 19940120
	GB 2299334	A1	19961002	GB 1996-11280	19950120
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				GB 1994-1034	A 19940120
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	EP 740652	A1	19961106	EP 1995-906396	19950120
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				GB 1994-1034	A 19940120
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				GB 1994-15619	A 19940802
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	CN 1138851	A	19961225	CN 1995-191248	19950120
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				GB 1994-15619	A 19940802
	HU 75059	A2	19970328	HU 1996-1991	19950120
				GB 1994-1034	A 19940120
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	JP 09508361	T2	19970826	JP 1995-519417	19950120
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				WO 1995-GB111	W 19950120
	BR 9506535	A	19970916	BR 1995-6535	19950120
				GB 1994-1034	A 19940120

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			GB 1994-15619	A 19940802
			WO 1995-GB111	W 19950120
EP 822186	A2	19980204	EP 1997-117543	19950120
EP 822186	A3	19980304		
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GB 2316078	A1	19980218	GB 1997-22619	19950120
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SK 281544	B6	20010409	SK 1996-941	19950120
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NO 9603030	A	19960919	NO 1996-3030	19960719
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US 5859253	A	19990112	US 1998-25943	19980219
			GB 1994-1034	A 19940120
			GB 1994-15619	A 19940802
			US 1996-685330	A319960719
US 5919940	A	19990706	US 1998-174252	19981016
			WO 1995-GB111	A219950120
			US 1996-685330	A319960719
			US 1998-25943	A319980219
OS	MARPAT 124:56708			
AB	XR1CHCHR2CONHCHR3CONR4R5 [X = CO2H, CONHOH; R1 = H, alkyl, alkenyl, (substituted) Ph, phenylalkyl, heterocyclyl, heterocyclylalkyl, etc.; R2 =			

STN Columbus

(substituted) alkyl, alkenyl, alkynyl, phenylalkyl, heteroarylalkyl, cycloalkylalkyl, cycloalkenylalkyl; R3 = (protected) characterizing group of a natural or nonnatural amino acid; R4 = (substituted) Ph, 5- or 6-membered heteroaryl and N-oxides thereof, which may be optionally fused to a benzene ring or to a 5-, 6- or 7-membered heterocyclic ring], were prepd. Thus, title compd. (I) (soln. phase prepn. given) inhibited collagenase, 72 kDa gelatinase, and stromelysin with IC50 = 2 nM, 5 nM, and 9 nM, resp.

IT 15366-12-8P

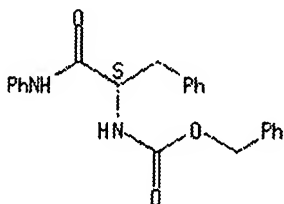
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of N-acylated amino acid amide derivs. as metalloproteinase inhibitors)

RN 15366-12-8 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-(phenylamino)-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 63 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1995:973580 CAPLUS

DN 124:8508

TI 13-substituted milbemycin derivatives, their preparation and use.

IN Takoshiba, Hideo; Sato, Kazuo; Yanai, Toshiaki; Yokoi, Shinji; Ichinose, Reiji; Tanizawa, Kinji

PA Sankyo Co., Ltd., Japan

SO Eur. Pat. Appl., 95 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

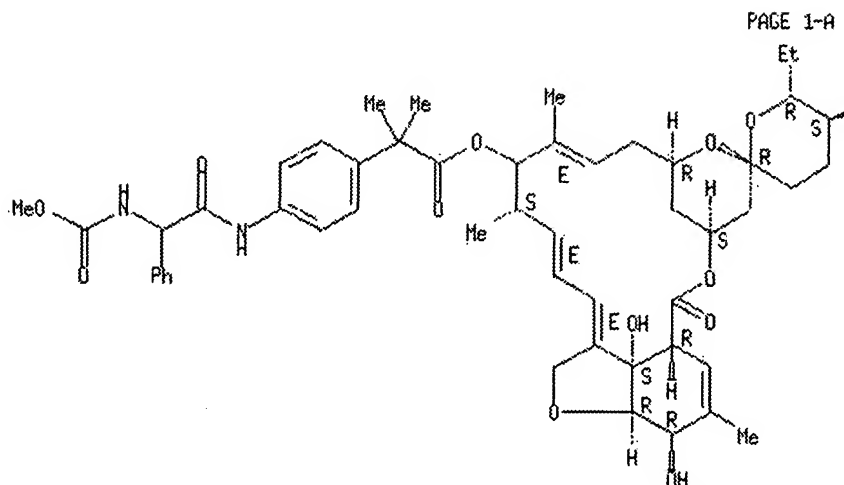
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STN Columbus

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			JP 1995-9377 A 19950125
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			JP 1995-9377 A 19950125
OS	MARPAT 124:8508		
AB	13-Substituted milbemycin derivs. I [R1 = Me, Et, CHMe2, CHMeEt; R2 = H, alkyl; X = (α -hydroxyimino- or α -alkoxyimino-substituted)-arylmethyl or (α -hydroxyimino- or α -alkoxyimino-substituted)-heterocyclymethyl, N-substituted-aminophenyl, N-substituted-aminophenoxy; m, n = 0, 1] are valuable as agricultural and horticultural anthelmintic, acaricidal and insecticidal agents. Thus, 13-(α -methoxyiminophenylacetoxymilbemycin A4 (II) was obtained by treating 15-hydroxy-5-ketomilbemycin A4 with MeON:CPhCO ₂ H, followed by redn. Both isomers of II gave 100% mortality of cabbage moth larvae at 1 ppm on cabbage leaves.		
IT	171249-56-2P		
	RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and insecticidal activity of 13-substituted milbemycin derivs.)		
RN	171249-56-2 CAPLUS		
CN	Milbemycin B, 5-O-demethyl-28-deoxy-6,28-epoxy-25-ethyl-13-[2-[4-[[[(methoxycarbonyl)amino]phenylacetyl]amino]phenyl]-2-methyl-1-oxopropoxy]-, (6R,25R)-(9CI) (CA INDEX NAME)		

STN Columbus

Absolute stereochemistry.
Double bond geometry as shown.



PAGE 1-B

L9 ANSWER 64 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1995:938107 CAPLUS
DN 124:8408
TI Preparation of hydroxyaminoethylphenylsulfonamide catecholamine surrogates useful as .beta.3 adrenergic agonists.
IN Washburn, William N.; Girotra, Ravindar N.; Sher, Philip M.; Mikkilineni, Amarendra B.; Poss, Kathleen M.; Mathur, Arvind; Gavai, Ashvinikumar; Bisacchi, Gregory S.
PA Bristol-Myers Squibb Co., USA
SO Eur. Pat. Appl., 147 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 659737	A2	19950628	EP 1994-120281	19941221
	EP 659737	A3	19970305		
	EP 659737	B1	20030326		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
				US 1993-171285 A	19931221
	TW 424082	B	20010301	TW 1994-83111890	19941219
				US 1993-171285 A	19931221
	HU 72302	A2	19960429	HU 1994-3694	19941220
	HU 220063	B	20011028		
				US 1993-171285 A	19931221
	CA 2138675	AA	19950622	CA 1994-2138675	19941221
				US 1993-171285 A	19931221
	FI 9406003	A	19950622	FI 1994-6003	19941221

STN Columbus

NO 9404969	A	19950622	US 1993-171285 A 19931221
			NO 1994-4969 19941221
AU 9481635	A1	19950629	US 1993-171285 A 19931221
AU 688417	B2	19980312	AU 1994-81635 19941221
JP 07206806	A2	19950808	US 1993-171285 A 19931221
			JP 1994-336251 19941221
CN 1109050	A	19950927	US 1993-171285 A 19931221
			CN 1994-113297 19941221
ZA 9410213	A	19960621	US 1993-171285 A 19931221
			ZA 1994-10213 19941221
AT 235463	E	20030415	US 1993-171285 A 19931221
			AT 1994-120281 19941221
			US 1993-171285 A 19931221

PATENT FAMILY INFORMATION:

FAN 1998:471470

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5776983	A	19980707	US 1994-346543	19941202
				US 1993-171285 B2	19931221
	TW 424082	B	20010301	TW 1994-83111890	19941219
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	HU 72302	A2	19960429	HU 1994-3694	19941220
	HU 220063	B	20011028		
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	CA 2138675	AA	19950622	CA 1994-2138675	19941221
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	FI 9406003	A	19950622	FI 1994-6003	19941221
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	NO 9404969	A	19950622	NO 1994-4969	19941221
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	AU 688417	B2	19980312		
				US 1993-171285 A	19931221
	JP 07206806	A2	19950808	JP 1994-336251	19941221
				US 1993-171285 A	19931221
	CN 1109050	A	19950927	CN 1994-113297	19941221
				US 1993-171285 A	19931221
	ZA 9410213	A	19960621	ZA 1994-10213	19941221
				US 1993-171285 A	19931221
	AT 235463	E	20030415	AT 1994-120281	19941221
				US 1993-171285 A	19931221

OS CASREACT 124:8408; MARPAT 124:8408

AB Title compds. [I; A = bond, (CH₂)_n, CHB; n = 1-3; B = cyano, CONR9R91, CO2R7; R1 = alkyl, aryl, aralkyl; R2 = H, OH, alkoxy, CH2OH, cyano, CO2R7, CO2H, CONH2, tetrazolyl, CH2NH2, halo; R3 = H, alkyl, heterocyclyl, (substituted) Ph; R4 = H, alkyl, B; R5, R51 = H, alkoxy, alkyl, halo, OH, cyano, (CH₂)_nNR6COR7, CONR6R61, CONR6OR6, CO2R6, SR7, SOR7, SO2R7, NR6SO2R1, NR6R61, NR6COR7, OCH2CONR6R61, OCH2CO2R7, aryl; R5R51 = atoms to form aryl, heterocyclyl; R6, R61 = H, alkyl; R7 = alkyl; R9, R91 = H, alkyl, cycloalkyl, aralkyl, aryl, heteroaryl; R9R91N = heterocyclyl; with the proviso that when A = bond or (CH₂)_n and R3 = H or unsubstituted alkyl, then R4 = B or substituted alkyl], were prepd. for treating diabetes, obesity, intestinal hypermotility, etc. (no data). Thus, 3,4-dimethoxybenzaldehyde in THF was treated with PhCH₂MgCl in THF followed by 20 min reflux to give 90% .alpha.-(3,4-dimethoxyphenyl)benzeneethanol; Jones oxidn. gave 89% 1-(3,4-dimethoxyphenyl)-2-phenylethanone. The latter was heated at 160.degree. with NH₄O₂CH to give N-[1-(3,4-dimethoxyphenyl)-2-phenylethyl]formamide, which was treated with HCl in MeOH to give 77% .alpha.-(3,4-dimethoxyphenyl)benzeneethanamine hydrochloride. This was converted to

STN Columbus

the free base, which in MeCN was treated with 2-bromo-1-[4-phenylmethoxy-3-methylsulfonylamino]phenylethanone (prepn. given) and then NaBH₄ in EtOH to give title compd. (II), isolated as the trifluoroacetate salt.

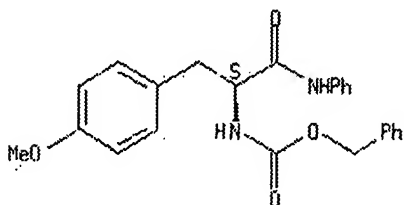
IT 170688-80-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of catecholamine surrogates useful as .beta.3 adrenergic agonists)

RN 170688-80-9 CAPLUS

CN Carbamic acid, [(1S)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-(phenylamino)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 65 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1995:931372 CAPLUS

DN 123:339535

TI Preparation of carbapenem derivatives as antibacterials

IN Nakagawa, Susumu; Fukatsu, Hiroshi; Ushijima, Ryosuke

PA Banyu Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 256 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 9523150	A1	19950831	WO 1995-JP280	19950224
	W: AU, CA, JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				JP 1994-52686	A 19940225
				JP 1994-64606	A 19940328
				JP 1994-107568	A 19940422
				JP 1994-110289	A 19940426
				JP 1994-114288	A 19940428
	CA 2184101	AA	19950831	CA 1995-2184101	19950224
				JP 1994-52686	A 19940225
				JP 1994-64606	A 19940328
				JP 1994-107568	A 19940422
				JP 1994-110289	A 19940426
				JP 1994-114288	A 19940428
	AU 9518240	A1	19950911	AU 1995-18240	19950224
	AU 680736	B2	19970807		
				JP 1994-52686	A 19940225
				JP 1994-64606	A 19940328
				JP 1994-107568	A 19940422
				JP 1994-110289	A 19940426
				JP 1994-114288	A 19940428
				WO 1995-JP280	W 19950224
	EP 747381	A1	19961211	EP 1995-909978	19950224

STN Columbus

EP 747381 B1 20011031
 R: AT, BE, DE, DK, FR, GB, IE, IT, LU, MC, NL, PT, SE
 JP 1994-52686 A 19940225
 JP 1994-64606 A 19940328
 JP 1994-107568 A 19940422
 JP 1994-110289 A 19940426
 JP 1994-114288 A 19940428
 WO 1995-JP280 W 19950224
 AT 207922 E 20011115
 AT 1995-909978 19950224
 JP 1994-52686 A 19940225
 JP 1994-64606 A 19940328
 JP 1994-107568 A 19940422
 JP 1994-110289 A 19940426
 JP 1994-114288 A 19940428
 WO 1995-JP280 W 19950224
 US 5707987 A 19980113
 US 1996-696910 19960823
 JP 1994-52686 A 19940225
 JP 1994-64606 A 19940328
 JP 1994-107568 A 19940422
 JP 1994-110289 A 19940426
 JP 1994-114288 A 19940428
 WO 1995-JP280 W 19950224

OS MARPAT 123:339535

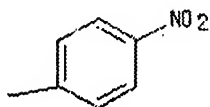
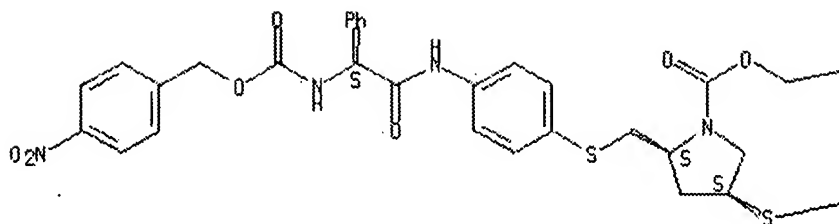
AB The title compds. [I; R1 represents hydrogen or lower alkyl; R2 represents hydrogen or a neg. charge; R3 represents hydrogen or lower alkyl; Ar represents lower alkyl, lower alkylsulfamoyl, etc. (each of which may be substituted by hydroxyl, di(lower alkyl)sulfonyl, etc.), or Ph, naphthyl or a group of formula α or β (each of which may be substituted by hydroxyl, di(lower alkyl)sulfamoyl, etc.), wherein A4 and A5 represent each a single bond, -NHSO₂-, etc., and Het represents pyrrolinyl, 1,4-diazabicyclo[2.2.2]octyl, etc. (each of which may be substituted by hydroxyl, carbamoylated lower alkyl, etc.); A1, A2, and A3 represent each a single bond or lower alkylene which may be substituted by lower alkyl, lower alkylsulfamoyl, etc. (each of which may be substituted by hydroxyl, di(lower alkyl)sulfamoyl, etc.) or may be substituted by pyridyl, pyridino, etc. (each of which may be substituted by lower alkyl, carbamoylated lower alkyl, etc.); and W represents sulfur, a single bond, etc.] and their pharmaceutically acceptable salts are prepd. Thus, a soln. of p-nitrophenyl (1R,5S,6S)-2-diphenoxyphosphoryloxy-6-[(1R)-1-hydroxyethyl]-1-methyl-1-carbapen-2-em-3-carboxylate and (3S,5S)-3-mercapto-1-p-nitrobenzyloxycarbonyl-5-(phenylthiomethyl)-pyrrolidine (prepn. given) in MeCN contg. diisopropylamide was allowed to react at 50° overnight to give 60% the title compd. II (R = p-nitrobenzyloxycarbonyl), which was deprotected to give the monosodium salt of II [R = H]. In an in vitro study, this had an IC₅₀ of 0.39 μ g/mL against Staphylococcus aureus.

IT 170585-37-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of carbapenem derivs. as antibacterials)

RN 170585-37-2 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[[[4-[[[[(4-nitrophenyl)methoxy]carbonyl]amino]phenyl]acetyl]amino]phenyl]thio]methyl]-4-[(triphenylmethyl)thio]-, (4-nitrophenyl)methyl ester, [2S-[2 α (R*),4 α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



CPh 3

L9 ANSWER 66 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1995:869272 CAPLUS

DN 124:87733

TI A convenient synthesis of amino acid p-nitroanilides; synthons in the synthesis of protease substrates

AU Rijkers, Dirk T. S.; Adams, Hans P. H. M.; Hemker, H. Coenraad; Tesser, Godefridus I.

CS Catholic Univ. Nijmegen, Dep. Org. Chem., Nijmegen, 6525 ED, Neth.

SO Tetrahedron (1995), 51(41), 11235-50

CODEN: TETRAB; ISSN: 0040-4020

PB Elsevier

DT Journal

LA English

OS CASREACT 124:87733

AB A method is described for the synthesis of N α -protected bi- and trifunctional amino acid p-nitroanilides. The reaction uses phosphorus oxychloride as the condensing agent. The synthesis is simple, rapid, free of racemization and affords yields between 70-90%. The synthesis can be performed not only with amino acid derivs. of the urethane type, including acid-labile (Z, Boc) and base-labile (Fmoc, Msc) N α -protective functions or allyl-derived protections, but also with N α -trityl amino acids, albeit in lower yield. The reaction runs in pyridine and its mechanism implies carboxyl activation by formation of a mixed anhydride with phosphorodichloridic acid (HOPOCl₂).

IT 19647-71-3P 160192-24-5P 160192-29-0P

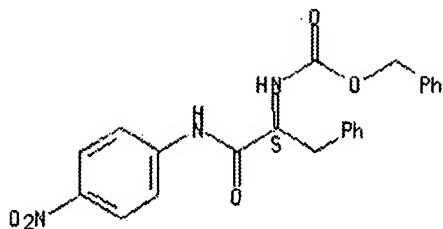
RL: SPN (Synthetic preparation); PREP (Preparation)

(synthesis of amino acid nitroanilides, synthons in synthesis of protease substrates)

RN 19647-71-3 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

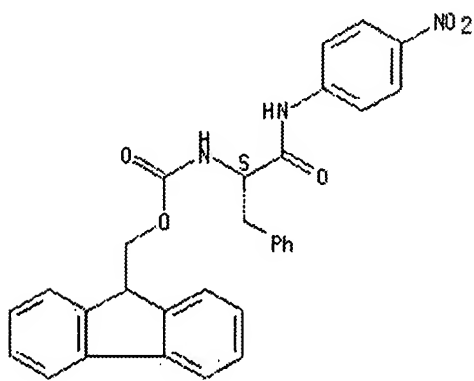
Absolute stereochemistry.



RN 160192-24-5 CAPLUS

CN Carbamic acid, [2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, 9H-fluoren-9-ylmethyl ester, (S)- (9CI) (CA INDEX NAME)

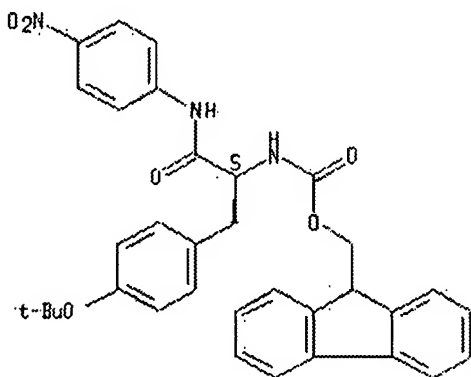
Absolute stereochemistry.



RN 160192-29-0 CAPLUS

CN Carbamic acid, [1-[[4-(1,1-dimethylethoxy)phenyl]methyl]-2-[(4-nitrophenyl)amino]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L9 ANSWER 67 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

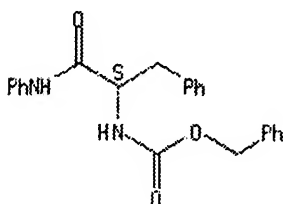
AN 1995:822022 CAPLUS

DN 124:30303

STN Columbus

TI Synthesis of N-phosphorylated amino acids
 AU Quryupin, Andrei B.; Komissarov, Vladimir Yu.; Petrovskii, Pavel V.;
 Davidovich, Yuri, A.; Mastryukova, Tatyana A.; Kabachnik, Martin I.
 CS A. N. Nesmeyanov Inst. of Organo-element compounds, Moscow, 117813, Russia
 SO Phosphorus, Sulfur and Silicon and the Related Elements (1995), 103(1-4),
 215-24
 CODEN: PSSLEC; ISSN: 1042-6507
 PB Gordon Breach
 DT Journal
 LA English
 OS CASREACT 124:30303
 AB N-phosphorylated α -amino acids were synthesized by reaction of
 organophosphoryl chlorides with amino acid O,N-bis(trimethylsilyl) derivs.
 IT 15366-12-8
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (synthesis of phosphorylated amino acids)
 RN 15366-12-8 CAPLUS
 CN Carbamic acid, [(1S)-2-oxo-2-(phenylamino)-1-(phenylmethyl)ethyl]-,
 phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



*Patent
Provisional*

L9 ANSWER 68 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1995:801429 CAPLUS
 DN 123:256711
 TI Preparation of gastrin and CCK receptor ligands
 IN Kalindjian, Sarkis Barret; Steel, Katherine Isobel Mary; Pether, Michael
 John; Davies, Jonathan Michael Richard; Low, Caroline Minli Rachel;
 Hudson, Martin Lyn; Buck, Ildiko Maria; McDonald, Iain Mair; Dunstone,
 David John; Tozer, Matthew John
 PA James Black Foundation Ltd., UK
 SO PCT Int. Appl., 124 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9504720	A2	19950216	WO 1994-GB1741	19940809
	WO 9504720	A3	19950803		
	W:	AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB,			
		GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW,			
		NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN			
	RW:	KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC,			
		NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
				GB 1993-16608	A 19930810
				GB 1994-10688	A 19940527
	AU 9473478	A1	19950228	AU 1994-73478	19940809
	AU 682051	B2	19970918		
				GB 1993-16608	A 19930810

STN Columbus

			GB 1994-10688	A	19940527
			WO 1994-GB1741	W	19940809
EP 720601	A1	19960710	EP 1994-922318		19940809
EP 720601	B1	20001025			
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			WO 1994-GB1741	W	19940809
JP 09502430	T2	19970311	JP 1994-506306		19940809
			GB 1993-16608	A	19930810
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			WO 1994-GB1741	W	19940809
HU 75301	A2	19970528	HU 1996-70		19940809
			GB 1993-16608	A	19930810
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AT 197146	E	20001115	AT 1994-922318		19940809
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PL 181782	B1	20010928	PL 1994-312960		19940809
			GB 1993-16608	A	19930810
			GB 1994-10688	A	19940527
			WO 1994-GB1741	W	19940809
ZA 9405998	A	19960212	ZA 1994-5998		19940810
			GB 1993-16608	A	19930810
GB 2290539	A1	19960103	GB 1995-2503		19950209
			GB 1994-10688	A	19940527
			WO 1994-GB1741	W	19940809
WO 9532949	A1	19951207	WO 1995-GB1194		19950525
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RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
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			WO 1994-GB1741	A	19940809
			GB 1995-2503	A	19950209
AU 9525342	A1	19951221	AU 1995-25342		19950525
			GB 1994-10688	A	19940527
			WO 1994-GB1741	A	19940809
			GB 1995-2503	A	19950209
			WO 1995-GB1194	W	19950525
EP 763026	A1	19970319	EP 1995-919561		19950525
EP 763026	B1	20030326			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
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			WO 1994-GB1741	W	19940809
			GB 1995-2503	A	19950209
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JP 10504525	T2	19980506	JP 1995-500483		19950525
			GB 1994-10688	A	19940527
			WO 1994-GB1741	A	19940809
			GB 1995-2503	A	19950209
			WO 1995-GB1194	W	19950525
AT 235470	E	20030415	AT 1995-919561		19950525
			GB 1994-10688	A	19940527
			WO 1994-GB1741	W	19940809

STN Columbus

			GB 1995-2503	A	19950209
			WO 1995-GB1194	W	19950525
ZA 9504315	A	19961126	ZA 1995-4315		19950526
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NO 9600488	A	19960315	NO 1996-488		19960206
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			GB 1994-10688	A	19940527
			WO 1994-GB1741	W	19940809
FI 9600572	A	19960207	FI 1996-572		19960207
			GB 1993-16608	A	19930810
			GB 1994-10688	A	19940527
			WO 1994-GB1741	W	19940809
US 5795907	A	19980818	US 1996-583008		19960318
			GB 1994-10688	A	19940527
			WO 1994-GB1741	W	19940809
US 5912260	A	19990615	US 1996-737725		19961219
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			GB 1995-2503	A	19950209
			WO 1995-GB1194	W	19950525
US 5919829	A	19990706	US 1998-64849		19980423
			GB 1993-16608	A	19930810
			GB 1994-10688	A	19940527

PATENT FAMILY INFORMATION:

FAN 1996:175613

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9532949	A1	19951207	WO 1995-GB1194	19950525
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RW:			KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG	
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WO 9504720	A3	19950803		
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RW:			KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG	
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GB 2290539	A1	19960103	GB 1995-2503	19950209
			GB 1994-10688	A 19940527
			WO 1994-GB1741	W 19940809
AU 9525342	A1	19951221	AU 1995-25342	19950525
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			WO 1995-GB1194	W 19950525

STN Columbus

JP 10504525	T2	19980506	JP 1995-500483	19950525
			GB 1994-10688	A 19940527
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ZA 9504315	A	19961126	ZA 1995-4315	19950526
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US 5912260	A	19990615	US 1996-737725	19961219
			GB 1994-10688	A 19940527
			WO 1994-GB1741	A 19940809
			GB 1995-2503	A 19950209
			WO 1995-GB1194	W 19950525

OS MARPAT 123:256711

AB Title compds. [e.g. I; A = atoms to complete a bicyclic ring system; R1 = halo, NH2, cyano, OH, alkyl, CO2H, etc.; 1 of X, W = CO and the other = CO, SO, SO2; Y = NR3R4, hydrocarbyloxy, etc.; R3 = H, hydrocarbyl, etc.; R4 = H, alkyl, (un) esterified CH2CO2H; Z = OH, alkoxy, OPh, (un)substituted NH2, NHZ1R, etc.; R = H, cyano, alkyl, CH2OH, CO2H, etc.; Z1 = alkylene; m = 0-6] were prepd. Thus, 4-methylphthalic anhydride was converted in 6 steps to indole-5,6-dicarboxylic anhydride which was amidated by adamantane-1-methylamine and the product amidated by (S)-3,5-(PhH2CO2C)2C6H3NHCOCH(NH2)CH2Ph (prepn. given) to give, in 2 addnl. steps, title compd. (S)-II the di-N-methyl-D-glucamine salt of which had pKi of 9.4 for binding at mouse cortex CCKB receptors in vitro.

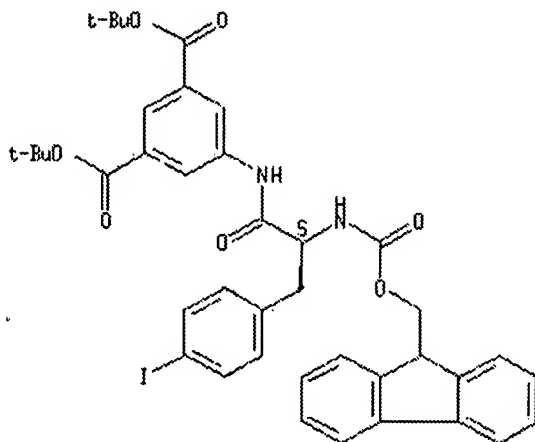
IT 167992-83-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of gastrin and CCK receptor ligands)

RN 167992-83-8 CAPLUS

CN 1,3-Benzenedicarboxylic acid, 5-[[2-[[[9H-fluoren-9-ylmethoxy)carbonyl]amino]-3-(4-iodophenyl)-1-oxopropyl]amino]-, bis(1,1-dimethylethyl) ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 69 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN
Full Text

STN Columbus

AN 1995:794872 CAPLUS
 DN 123:286106
 TI Preparation of substituted cyclic carbonyl derivatives as retroviral
 rotease inhibitors
 IN Lam, Patrick Yuk-Sun; Jadhav, Prabhakar Kondaji; Eyermann, Charles Joseph;
 Hodge, Carl Nicholas; De, Lucca George Vincent; Rodgers, James David
 PA Du Pont Merck Pharmaceutical Co., USA
 SO PCT Int. Appl., 525 pp.
 CODEN: PIXXD2

DT Patent
 LA English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9419329	A1	19940901	WO 1994-US1609	19940223
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	US 5610294	A	19970311	US 1993-23439	A 19930226
				US 1993-47330	A 19930415
				US 1994-197630	A 19940216
				US 1994-197630	19940216
				US 1991-776491	B219911011
				US 1992-883944	B219920515
				US 1992-953272	B219920930
				US 1993-23439	B219930226
				US 1993-47330	B219930415
	AU 9465493	A1	19940914	AU 1994-65493	19940223
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				US 1993-47330	A 19930415
				US 1994-197630	A 19940216
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				WO 1994-US1609	W 19940223
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				US 1993-23439	A 19930226

PATENT FAMILY INFORMATION:

FAN 1994:134540

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PI	WO 9307128	A1	19930415	WO 1992-US8749	19921013
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	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
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				US 1992-883944	A 19920515
				US 1992-953272	A 19920929

STN Columbus

AU 9228715	A1	19930503	AU 1992-28715	19921013
			US 1991-776491 A	19911011
			US 1992-883944 A	19920515
			US 1992-953272 A	19920929
			WO 1992-US8749 A	19921013
EP 607334	A1	19940727	EP 1992-922262	19921013
EP 607334	B1	19970730		
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			US 1992-953272 A	19920929
			WO 1992-US8749 W	19921013
HU 67285	A2	19950328	HU 1994-1020	19921013
			US 1991-776491 A	19911011
			US 1992-883944 A	19920515
			US 1992-953272 A	19920929
BR 9206623	A	19950502	BR 1992-6623	19921013
			US 1991-776491 A	19911011
			US 1992-883944 A	19920515
			US 1992-953272 A	19920929
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EP 765873	A1	19970402	EP 1996-118182	19921013
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			US 1992-883944 A	19920515
			US 1992-953272 A	19920929
			EP 1992-922262 A3	19921013
AT 156123	E	19970815	AT 1992-922262	19921013
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			US 1992-883944 A	19920515
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			US 1992-883944 A	19920515
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CZ 284872	B6	19990317	CZ 1994-814	19921013
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RU 2131420	C1	19990610	RU 1994-31126	19921013
			US 1991-776491 A	19911011
			US 1992-883944 A	19920515
			US 1992-953272 A	19920929
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SK 280882	B6	20000814	SK 1994-407	19921013
			US 1991-776491 A	19911011
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			US 1992-953272 A	19920929
			WO 1992-US8749 W	19921013
EP 1153921	A2	20011114	EP 2001-119426	19921013
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STN Columbus

AT 216371	E	20020515	AT 1996-118182	19921013
			US 1991-776491 A	19911011
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LV 10096	B	19950420	LV 1993-341	19930514
			US 1991-776491 A	19911011
FI 9401649	A	19940531	FI 1994-1649	19940408
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NO 9401278	A	19940610	NO 1994-1278	19940408
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AU 9461808	A1	19940707	AU 1994-61808	19940502
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			US 1994-268609	A319940630
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STN Columbus

			US 1991-776491 A 19911011
			US 1992-883944 A 19920515
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			US 1991-776491 A 19911011
CA 2156594	AA	19940901	CA 1994-2156594 19940223
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			US 1993-23439 B219930226
			US 1993-47330 B219930415
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STN Columbus

AU 9532895	A1	19960523	US 1994-197630 A219940216
AU 703962	B2	19990401	AU 1995-32895 19950926
			US 1993-23439 A 19930226
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			US 1994-197630 A219940216
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			US 1991-776491 B219911011
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			US 1992-953272 B219920930
			US 1993-23439 B219930226
			US 1993-47330 B219930415
US 6503898	B1	20030107	US 1994-197630 A319940216
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US 37781	E	20020702	US 1999-265808 19990310
			US 1991-776491 B219911011
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			US 1993-23439 B219930226
			US 1993-47330 B219930415
			US 1994-197630 A519940216

OS MARPAT 123:286106

AB Cyclic ketone derivs. [I; R1, R2 = H, alkyl, allyl, cyclopropylmethyl, etc.; R3, R4 = (un)substituted benzyl, thienylmethyl, naphthylmethyl, etc.; W = CO, CS, SO2, etc.], useful as human immunodeficiency virus (HIV) protease inhibitors, are prepd., tested, and formulated. Amination of dichloro compd. I [R1 = R2 = m-chlorobenzyl, R3 = R4 = PhCH2, W = CO] with MeNH2 in THF and subsequent acidification with 4M HCl gave I.2HCl [R1 = R2 = m-methylaminobenzyl, R3 = R4 = PhCH2, W = CO], which showed Ki = 10 nM-1 µM and IC90 = <10 µg/mL in a HIV protease inhibition assay.

IT 167824-38-6P

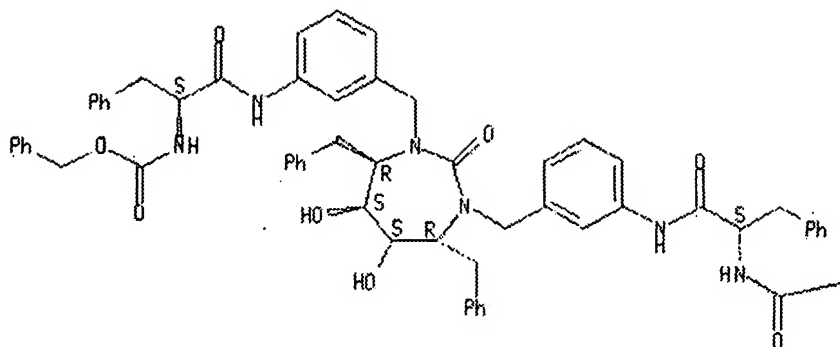
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted cyclic carbonyl derivs. as retroviral protease inhibitors)

RN 167824-38-6 CAPLUS

CN Carbamic acid, [[tetrahydro-5,6-dihydroxy-2-oxo-4,7-bis(phenylmethyl)-1H-1,3-diazepine-1,3(2H)-diyl]bis[methylene-3,1-phenyleneimino[2-oxo-1-(phenylmethyl)-2,1-ethanediyl]]]bis-, bis(phenylmethyl) ester, [4R-(4α,5α,6β,7β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 70 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1995:758623 CAPLUS

DN 123:170176

TI Preparation of amino acid amide derivatives as neutral metalloendopeptidase inhibitors

IN Numanami, Kenichi; Iwasaki, Tameo; Matsumoto, Kazuo; Oomori, Kenji; Yano, Koji; Yoneda, Hikari

PA Tanabe Seiyaku Co, Japan

SO Jpn. Kokai Tokkyo Koho, 30 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 06234630	A2	19940823	JP 1993-312366	19931214
				JP 1992-337095	19921217

OS MARPAT 123:170176

AB The title compds. [I; R = H, lower alkyl, Ph, OH; R1 = C1-10 linear or branched alkyl, aryl, S- or N-contg. monocyclic heterocyclyl-lower alkyl, C4-8 cycloalkyl-lower alkyl; R2 = (un)substituted aryl, C4-8 cycloalkyl, S- or N-contg. heterocyclyl; R3 = X = S, O, (un)substituted NH; Y1 = NH, O, or S and Y2 = N; Y1 = CH:CH and Y2 = CH; m = 0-3; n = 0,1] are prepd. These amino acid amides derivs. I exhibit excellent diuretic, natriuretic, vasodilatory, and renin- and aldosterone-secretion inhibiting activity due to the effect of suppressing the decompn. of atrial natriuretic peptide

STN Columbus

(ANP), also show hypotensive activity, improve and inhibit hypertrophy of the heart, and are useful as antihypertensives and diuretics and for the treatment of heart and kidney failure. Thus, a mixt. of benzyl 2-bromo-4-phenylbutyrate 33, tert-Bu L-phenylalaninate 22.1, and K₂CO₃ 13.8 g and HMPA stirred at room temp. overnight to give 16.6 g tert-Bu N-[(1S)-1-benzyloxycarbonyl-3-phenylpropyl]-L-phenylalaninate (II) and 9.9 g (1R)-epimer. II was deprotected with CF₃CO₂H followed by neutralization with 10% aq. K₂CO₃ to give N-[(1S)-1-benzyloxycarbonyl-3-phenylpropyl]-L-phenylalanine which was condensed with 4-benzyloxycarbonyl-5-(2-aminoethyl)oxazole hydrobromide by using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and 1-hydroxybenzotriazole monohydrate to give, after hydrogenolysis over Pd black in DMF at H pressure 3 atm, phenylalaninamide deriv. (III). III showed IC₅₀ of 0.02 µM against neutral metalloendopeptidase.

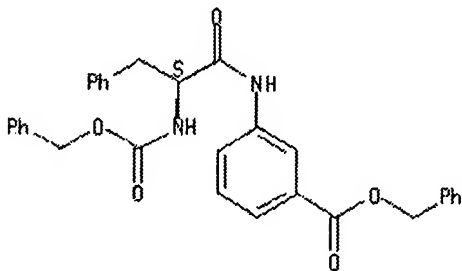
IT 146855-21-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate for prepn. of amino acid amide derivs. as neutral metalloendopeptidase inhibitors)

RN 146855-21-2 CAPLUS

CN Benzoic acid, 3-[[1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 71 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1995:543281 CAPLUS

DN 123:257300

TI Enantioselective separation of basic amino acids on talc

AU Arrou, Dominique; Baboulene, Michel

CS Lab. des IMRCP, Univ. Paul Sabatier, Toulouse, 31062, Fr.

SO Journal of Chemical Technology Biotechnology (1995), 63(1), 92-6
CODEN: JCTBED; ISSN: 0268-2575

PB Wiley

DT Journal

LA English

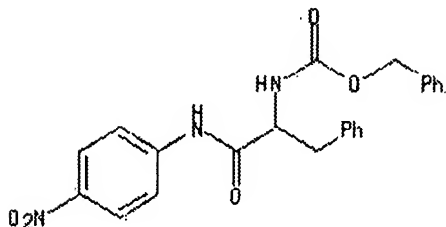
AB Certain amino acid derivs. (ε-basic, anilide group) can be readily adsorbed onto various types of talc (steopac, SS20, C300, C400). For instance, talc is capable of adsorbing the amino acid esters but not the equiv. free amino acids. The types of talc which have high hydrophobicity (00, 15M00) were poor adsorbents. Two applications of these findings are presented: enhancement of the sensitivity of enzymic tests in the presence of chromogenic substrates and enantioselective sepn. of ε-basic amino acids (arginine, lysine, ornithine).

IT 14235-16-6P

RL: PUR (Purification or recovery); PREP (Preparation)
(enantioselective sepn. of basic amino acids on talc)

STN Columbus

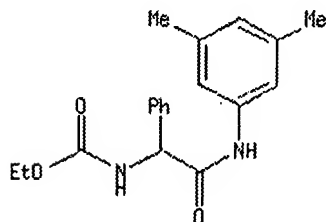
RN 14235-16-6 CAPLUS
 CN Carbamic acid, [2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 72 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

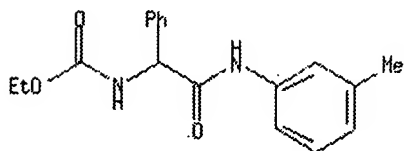
AN 1995:388704 CAPLUS
 DN 123:101699
 TI Examples of liquid chromatographic resolution of π -acidic racemates on a π -acidic chiral stationary phase
 AU Hyun, Myung Ho; Min, Chung Sik; Cho, Yoon Jae
 CS Dep. Chem., Pusan Natl. Univ., Pusan, 609-735, S. Korea
 SO Journal of High Resolution Chromatography (1995), 18(1), 63-5
 CODEN: JHRCE7; ISSN: 0935-6304
 PB Huethig
 DT Journal
 LA English
 AB The authors present unusual examples of the resoln. of π -acidic analytes on a representative com. π -acidic chiral stationary phase derived from N-(3,5-dinitrobenzoyl)-(S)-leucine (CSP). As the CSP does not contain any π -basic aryl group, this study may show the first incontrovertible examples of the liq. chromatog. resoln. of π -acidic analytes on the π -acidic CSP.
 IT 165552-27-2 165552-28-3 165552-29-4
 165552-30-7 165552-31-8 165658-22-0
 165658-23-1 165658-24-2 165658-25-3
 165658-26-4 165658-27-5 165658-28-6
 165658-29-7 165878-94-4 165878-95-5
 RL: ANT (Analyte); ANST (Analytical study)
 (liq. chromatog. resoln. of π -acidic racemates on π -acidic chiral stationary phase)
 RN 165552-27-2 CAPLUS
 CN Carbamic acid, [2-[(3,5-dimethylphenyl)amino]-2-oxo-1-phenylethyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 165552-28-3 CAPLUS

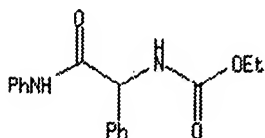
STN Columbus

CN Carbamic acid, [2-[(3-methylphenyl)amino]-2-oxo-1-phenylethyl]-, ethyl ester (9CI) (CA INDEX NAME)



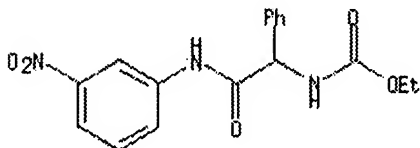
RN 165552-29-4 CAPLUS

CN Carbamic acid, [2-oxo-1-phenyl-2-(phenylamino)ethyl]-, ethyl ester (9CI) (CA INDEX NAME)



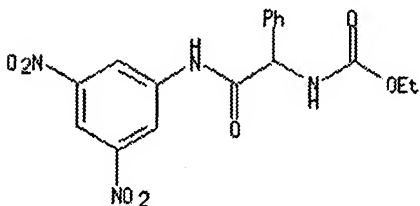
RN 165552-30-7 CAPLUS

CN Carbamic acid, [2-[(3-nitrophenyl)amino]-2-oxo-1-phenylethyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 165552-31-8 CAPLUS

CN Carbamic acid, [2-[(3,5-dinitrophenyl)amino]-2-oxo-1-phenylethyl]-, ethyl ester (9CI) (CA INDEX NAME)

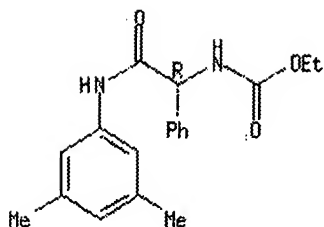


RN 165658-22-0 CAPLUS

CN Carbamic acid, [2-[(3,5-dimethylphenyl)amino]-2-oxo-1-phenylethyl]-, ethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

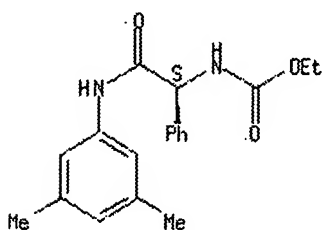
STN Columbus



RN 165658-23-1 CAPLUS

CN Carbamic acid, [2-[(3,5-dimethylphenyl)amino]-2-oxo-1-phenylethyl]-, ethyl ester, (S)- (9CI) (CA INDEX NAME)

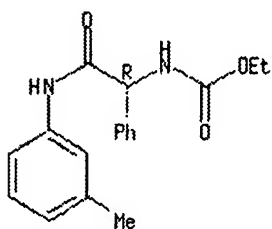
Absolute stereochemistry.



RN 165658-24-2 CAPLUS

CN Carbamic acid, [2-[(3-methylphenyl)amino]-2-oxo-1-phenylethyl]-, ethyl ester, (R)- (9CI) (CA INDEX NAME)

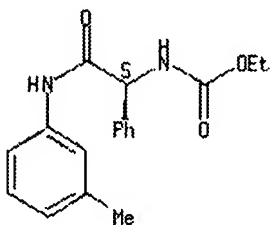
Absolute stereochemistry.



RN 165658-25-3 CAPLUS

CN Carbamic acid, [2-[(3-methylphenyl)amino]-2-oxo-1-phenylethyl]-, ethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

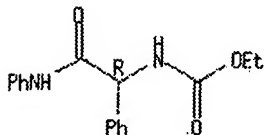


RN 165658-26-4 CAPLUS

STN Columbus

CN Carbamic acid, [2-oxo-1-phenyl-2-(phenylamino)ethyl]-, ethyl ester, (R)-
(9CI) (CA INDEX NAME)

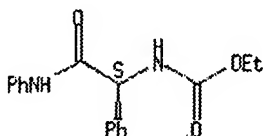
Absolute stereochemistry.



RN 165658-27-5 CAPLUS

CN Carbamic acid, [2-oxo-1-phenyl-2-(phenylamino)ethyl]-, ethyl ester, (S)-
(9CI) (CA INDEX NAME)

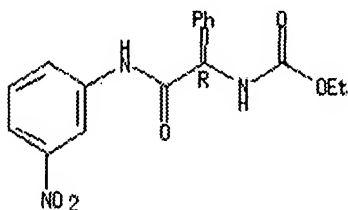
Absolute stereochemistry.



RN 165658-28-6 CAPLUS

CN Carbamic acid, [2-[(3-nitrophenyl)amino]-2-oxo-1-phenylethyl]-, ethyl
ester, (R)- (9CI) (CA INDEX NAME)

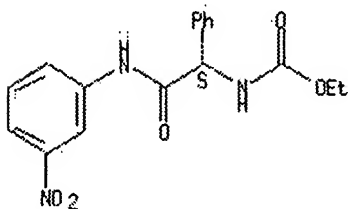
Absolute stereochemistry.



RN 165658-29-7 CAPLUS

CN Carbamic acid, [2-[(3-nitrophenyl)amino]-2-oxo-1-phenylethyl]-, ethyl
ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



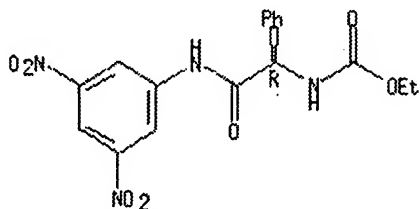
RN 165878-94-4 CAPLUS

CN Carbamic acid, [2-[(3,5-dinitrophenyl)amino]-2-oxo-1-phenylethyl]-, ethyl

STN Columbus

ester, (R)- (9CI) (CA INDEX NAME)

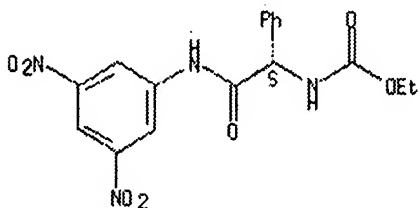
Absolute stereochemistry.



RN 165878-95-5 CAPLUS

CN Carbamic acid, [2-[(3,5-dinitrophenyl)amino]-2-oxo-1-phenylethyl]-, ethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 73 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1995:338032 CAPLUS

DN 122:234176

TI Glycolipid enzyme models. V. Hydrolysis of amide bonds

AU Ohkatsu, Yasukazu; Nakamura, Nobuhiro

CS Dep. Applied Chem., Fac. Eng., Kogakuin Univ., Tokyo, 163, Japan

SO Yukagaku (1995), 44(1), 30-5

CODEN: YKGKAM; ISSN: 0513-398X

PB Nihon Yukagaku Kyokai

DT Journal

LA English

AB Glycolipid catalysts, with sugar residues as active sites were used to hydrolyze several amino acid derivs. possessing amide bonds. The glycolipid hydrolyzed amide bond under mild conditions and recognized substrates similarly to α -chymotrypsin. A comparison of the hydrolytic activity of the catalysts indicated that the terminal group of sugar residues (methylol or carboxyl group) and the structure of the linkage between a sugar residue and a double-hydrocarbon chain were, to some extent, determinants of substrate selectivity.

IT 19647-71-3P, N-Benzyloxycarbonyl-L-phenylalanine-p-nitroanilide

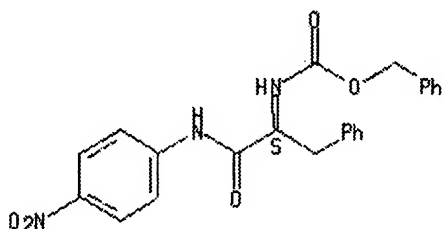
RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)

(hydrolysis of amide bonds by glycolipid enzyme models)

RN 19647-71-3 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 74 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1995:66589 CAPLUS

DN 122:81996

TI A generally applicable synthesis of amino acid p-nitroanilides as synthons
 AU Rijkers, Dirk T. S.; Hemker, H. Coenraad; Nefkens, Gerard H. L.; Tesser, Godefridus I.

CS Department of Organic Chemistry, Catholic University of Nijmegen, Nijmegen, 6525, Neth.

SO Pept. 1992, Proc. Eur. Pept. Symp., 22nd (1993), Meeting Date 1992, 175-6.
 Editor(s): Schneider, Conrad H.; Eberle, Alex N. Publisher: ESCOM, Leiden, Neth.

CODEN: 60LUAN

DT Conference

LA English

AB A symposium report on the synthesis of amino acid p-nitroanilides
 Boc-X-pNA [pNA = p-nitroanilide; X = Glu(OBzl), Lys(Z), Arg(HCl)],
 Msc-Arg(HCl)-pNA, and Fmoc-X-pNA [X = Gly, Phe, Val, Met, Glu(OBzl),
 Ser(Bu-tert), Tyr(tert-Bu), Arg(HCl), Lys(Boc), Cys(CPh3), His(CPh3),
 Asn(CPh3)] as synthons for chromogenic peptide substrates, e.g
 H-D-Phe-Pip-Arg(HCl)-pNA.HCl (S2238).

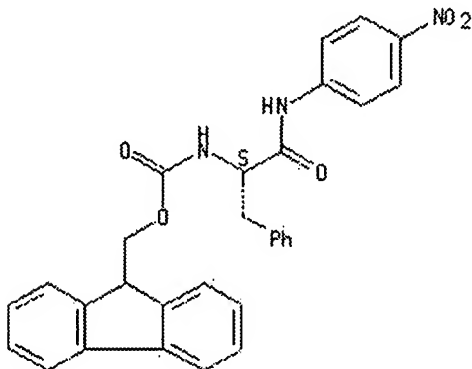
IT 160192-24-5P 160192-29-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (synthesis of amino acid p-nitroanilides as synthons for chromogenic
 peptide substrates)

RN 160192-24-5 CAPLUS

CN Carbamic acid, [2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-,
 9H-fluoren-9-ylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

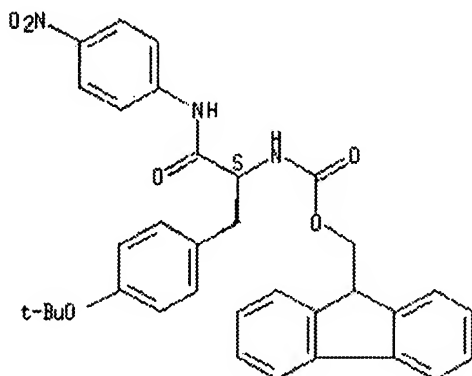


RN 160192-29-0 CAPLUS

STN Columbus

CN Carbamic acid, [1-[[4-(1,1-dimethylethoxy)phenyl]methyl]-2-[(4-nitrophenyl)amino]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester, (S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L9 ANSWER 75 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1995:32840 CAPLUS

DN 122:133770

TI Activation of carboxylic acids by pyrocarbonates. Synthesis of arylamides of N-protected amino acids and small peptides using dialkyl pyrocarbonates as condensing reagents

AU Pozdnev, V. F.

CS Institute Biomedical Chemistry, Moscow, Russia

SO International Journal of Peptide Protein Research (1994), 44(1), 36-48
CODEN: IJPPC3; ISSN: 0367-8377

DT Journal

LA English

OS CASREACT 122:133770

AB Activation of carboxylic acids was achieved via dialkyl pyrocarbonates (RO₂C)₂O (I; R = Et, Me₂CH, EtCHMe, Me₃C) in aprotic solvents in the presence of tertiary amines. A convenient one-pot procedure for the prepn. of arylamides from N-protected amino acids including arginine and from I (R = Me₃C) in the presence of pyridine (Boc₂O-pyridine system) was reported. Analogously, I (R = Et, Me₂CH, EtCHMe) could be used in the presence of N-methylmorpholine or triethylamine. A wide variety of N-protected amino acid arylamides were prepd. in good yields.

IT 16876-73-6P 75957-51-6P 80115-53-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, via amidation of protected amino acid, dialkyl pyrocarbonate activating agents for)

RN 16876-73-6 CAPLUS

CN Carbamic acid, [2-(2-naphthalenylamino)-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

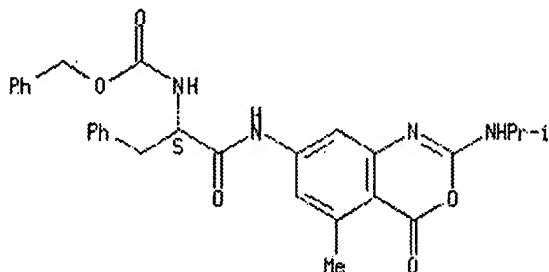
STN Columbus

(inhibition of human sputum elastase by 7-substituted
5-methyl-2-isopropylamino-4H-3,1-benzoxazin-4-ones)

RN 121285-10-7 CAPLUS

CN Carbamic acid, [2-[[[5-methyl-2-[(1-methylethyl)amino]-4-oxo-4H-3,1-benzoxazin-7-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

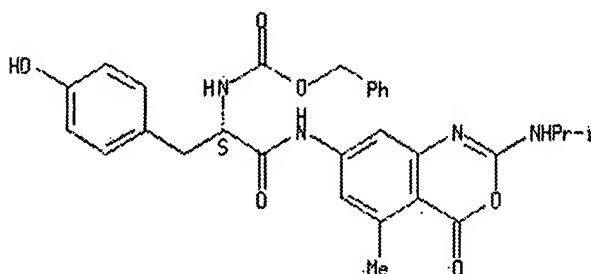
Absolute stereochemistry.



RN 158553-01-6 CAPLUS

CN Carbamic acid, [1-[(4-hydroxyphenyl)methyl]-2-[[[5-methyl-2-[(1-methylethyl)amino]-4-oxo-4H-3,1-benzoxazin-7-yl]amino]-2-oxoethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 78 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1994:483048 CAPLUS

DN 121:83048

TI (Acylamino)indole derivatives as 5-HT1 agonists

IN Macor, John E.

PA Pfizer Inc., USA

SO PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9321180	A1	19931028	WO 1993-US1807	19930304
	W:	AU, BR, CA, CZ, DE, JP, KR, NO, NZ, PL, RU, SK, UA, US			
	RW:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			
				US 1992-866382 A219920410	
	AU 9337821	A1	19931118	AU 1993-37821	19930304
	AU 670270	B2	19960711		

STN Columbus

			US 1992-866382 A 19920410
			WO 1993-US1807 A 19930304
EP 635015	A1	19950125	EP 1993-907096 19930304
EP 635015	B1	19970129	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE			
			US 1992-866382 A 19920410
			WO 1993-US1807 W 19930304
JP 07501831	T2	19950223	JP 1993-518302 19930304
JP 2544704	B2	19961016	
			US 1992-866382 A 19920410
			WO 1993-US1807 W 19930304
SK 278182	B6	19960306	SK 1994-1207 19930304
			US 1992-866382 A 19920410
			WO 1993-US1807 W 19930304
AT 148465	E	19970215	AT 1993-907096 19930304
			US 1992-866382 A 19920410
ES 2097496	T3	19970401	ES 1993-907096 19930304
			US 1992-866382 A 19920410
CZ 282653	B6	19970813	CZ 1994-2477 19930304
			US 1992-866382 A 19920410
PL 172232	B1	19970829	PL 1993-305558 19930304
			US 1992-866382 A 19920410
			WO 1993-US1807 W 19930304
RU 2110516	C1	19980510	RU 1994-45902 19930304
			US 1992-866382 A 19920410
			WO 1993-US1807 W 19930304
BR 9306221	A	19980630	BR 1993-6221 19930304
			US 1992-866382 A 19920410
			WO 1993-US1807 W 19930304
CA 2132706	C	19980804	CA 1993-2132706 19930304
			US 1992-866382 A 19920410
TW 394769	B	20000621	TW 1993-82101732 19930309
			US 1992-866382 A 19920410
ZA 9302536	A	19941008	ZA 1993-2536 19930408
			US 1992-866382 A 19920410
HU 64060	A2	19931129	HU 1993-1048 19930409
			US 1992-866382 A 19920410
CN 1080288	A	19940105	CN 1993-104439 19930409
CN 1038506	B	19980527	
			US 1992-866382 A 19920410
ES 2070772	B1	19960216	ES 1993-1772 19930809
ES 2070772	A1	19950601	
			US 1992-866382 19920410
US 5498626	A	19960312	US 1994-295792 19940914
			US 1992-866382 B219920410
			WO 1993-US1807 W 19930304
NO 9403803	A	19941007	NO 1994-3803 19941007
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			WO 1993-US1807 W 19930304
FI 2001000214	A	20010205	FI 2001-214 20010205
			US 1992-866382 A 19920410

PATENT FAMILY INFORMATION:

FAN 1996:229082

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5498626	A	19960312	US 1994-295792	19940914
				US 1992-866382 B219920410	
				WO 1993-US1807 W 19930304	
				WO 1993-US1807	19930304
WO 9321180	A1	19931028			
	W: AU, BR, CA, CZ, DE, JP, KR, NO, NZ, PL, RU, SK, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				US 1992-866382 A219920410	

STN Columbus

OS MARPAT 121:83048

AB The title compds. I [R1 = H, C1-6 alkyl, C3-6 alkenyl, C3-6 alkynyl, (un)substituted aryl, etc.; R2 = CF3, C1-6 alkyl, aryl, C1-3 alkylaryl, etc.; R6 = H, OH, alkoxy, aryloxy, acylamino, etc.; W, Y = amino acid residue; m = 0, 1; n = 0-2], which are 5-HT1 agonists (no data), useful in the treatment of hypertension (no data), depression (no data), anxiety (no data), pain (no data), etc., are prepd. Thus, N-benzyloxycarbonylglycine was coupled with 5-amino-3-(N-methylpyrrolidin-2R-ylmethyl)-1H-indole, producing 5-(N-benzyloxycarbonylglycyl)amino-3-(N-methylpyrrolidin-2R-ylmethyl)-1H-indole in 74% yield.

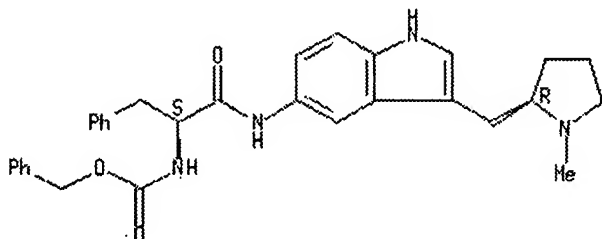
IT 154038-86-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. as serotoninergic receptor agonist)

RN 154038-86-5 CAPLUS

CN Carbamic acid, [2-[[3-[(1-methyl-2-pyrrolidinyl)methyl]-1H-indol-5-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, [R-(R*,S*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 79 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1993:213536 CAPLUS

DN 118:213536

TI Preparation of [[N-(carboxyalkyl)phenylalanyl]amino]alkyl]oxazolecarboxylates and analogs as cardiovascular agents

IN Nunami, Kenichi; Iwasaki, Tameo; Matsumoto, Kazuo; Yano, Koji; Yamaguchi, Isao

PA Tanabe Seiyaku Co., Ltd., Japan

SO Eur. Pat. Appl., 38 pp.

CODEN: EPXXDW

DT Patent

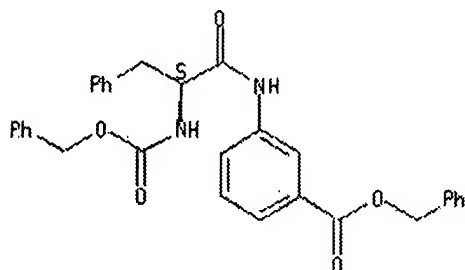
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 519738	A1	19921223	EP 1992-305647	19920619
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE				
	JP 05208964	A2	19930820	JP 1991-247155	19910621
				JP 1992-202867	19920618
				JP 1991-247155	19910621
	CA 2071659	AA	19921222	CA 1992-2071659	19920619
				JP 1991-247155	19910621
	AU 9218385	A1	19921224	AU 1992-18385	19920619
	AU 649546	B2	19940526		
				JP 1991-247155	19910621
	US 5312826	A	19940517	US 1992-901234	19920619
				JP 1991-247155	19910621
	CN 1068110	A	19930120	CN 1992-104843	19920622

جواب

Absolute stereochemistry.



Full Text

DN 118:75810

AU Burlini, Nedda; Magnani, Paola; Villa, Andrea; Macchi, Fabio; Tortora, Paolo; Guerritore, Andrea

SO Biochimica et Biophysica Acta (1992), 1122(3), 283-92

CODEN: BBACAO; ISSN: 0006-3002

DT Journal

LA English

241

STN Columbus

alkylation was casein degraded to some extent. Proteinase activity was significantly stimulated by Mn^{2+} which acted as a mixed-type nonessential activator. The enzyme also displayed a broad pH optimum in the range of 6.5-8.0. Furthermore, it was completely stable up to 90°; above this temp., it underwent 1st-order thermal inactivation with half-lives ranging from 342 min (92°) to 7 min (101°). At 50°, it could withstand 6M urea and, to some extent, different org. solvents; however, at 95° it was extensively inactivated by all of these compds. None of the physicochem. properties of the enzyme, including amino acid anal., provided evidence of a possible relation to other well-known microbial serine proteinases.

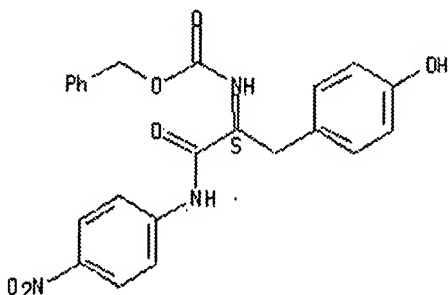
IT 145819-59-6

RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with serine proteinase of *Sulfolobus sulfataricus*, kinetics of)

RN 145819-59-6 CAPLUS

CN Carbamic acid, [1-[(4-hydroxyphenyl)methyl]-2-[(4-nitrophenyl)amino]-2-oxoethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 81 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1993:27349 CAPLUS

DN 118:27349

TI Two-step hydrolyses of a polymeric drug under a model system

AU Tokura, S.; Kaneda, Y.; Miura, Y.; Uraki, Y.

CS Fac. Sci., Hokkaido Univ., Sapporo, 060, Japan

SO Carbohydrate Polymers (1992), 19(3), 185-90

CODEN: CAPOD8; ISSN: 0144-8617

DT Journal

LA English

AB Model polymeric drugs were synthesized by using 6-O-carboxymethyl chitin as a biodegradable carrier and several peptides as spacer. The release of drug (chromogenic compd.) was not obsd. by chymotrypsin-catalyzed hydrolysis until the proper size of oligomeric drug (prodrug) was produced predominantly by lysozymic hydrolysis. The amino acid compn. of the spacer and the spacer length were found to be preliminary regulation factors for two-step hydrolysis of the polymeric drug.

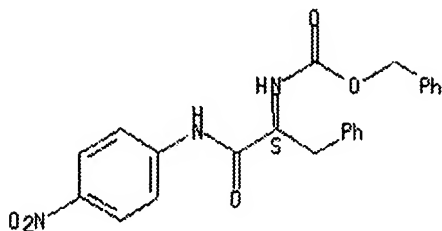
IT 19647-71-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deprotection of)

RN 19647-71-3 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 82 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1993:7363 CAPLUS

DN 118:7363

TI Low molecular weight, non-peptide fibrinogen receptor antagonists

AU Alig, Leo; Edenhofer, Albrecht; Hadvary, Paul; Huerzeler, Marianne; Knopp, Dietmar; Mueller, Marcel; Steiner, Beat; Trzeciak, Arnold; Weller, Thomas
Pharma Div., F. Hoffmann-La Roche Ltd., Basel, CH-4002, Switz.

CS Journal of Medicinal Chemistry (1992), 35(23), 4393-407

CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

OS CASREACT 118:7363

AB The tetrapeptide H-Arg-Gly-Asp-Ser-OH (RGDS), representing a recognition sequence of fibrinogen for its platelet receptor GP IIb-IIIa (integrin α Ib β 3), served as lead compd. for the development of highly potent and selective fibrinogen receptor antagonists. Replacement of the N-terminal arginine by p-amidinophenylalanine or the Gly moiety by m-aminobenzoic acid led to compds. which are superior to the lead peptide with regard to activity and selectivity for GP IIb-IIIa vs the closely related vitronectin receptor α v β 3. By random screening [(p-amidinobenzenesulfonamido)ethyl]-p-phenoxyacetic acid derivs. have been identified as fibrinogen receptor antagonists. Further structure-activity relationship studies culminated in the prepn. of peptides I (Ro 43-5054) and II (Ro 44-9883), which exhibit very high activity as platelet aggregation inhibitors (IC₅₀s 0.06 and 0.03 μ M, resp., human PRP/ADP) as well as marked selectivity for GP IIb-IIIa vs α v β 3. Since the activity of II in dogs declines according to a two-compartment model with an initial phase having a t_{1/2} of 8 min and a second phase with a t_{1/2} of 110 min, this compd. is a suitable candidate for the development as i.v. platelet inhibitor.

IT 144412-38-4P

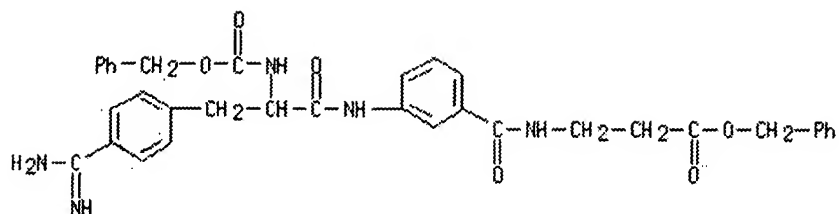
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and benzyloxycarbonylation of)

RN 144412-38-4 CAPLUS

CN β -Alanine, N-[3-[[3-[4-(aminoiminomethyl)phenyl]-1-oxo-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]benzoyl]-, phenylmethyl ester, monohydriodide (9CI) (CA INDEX NAME)

STN Columbus



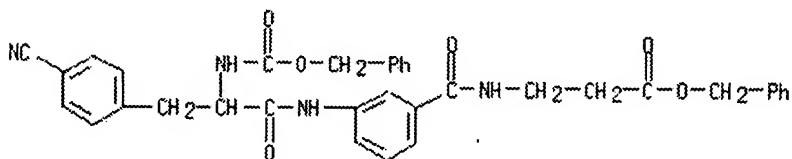
HI

IT 135321-41-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and conversion of, to amidino deriv.)

RN 135321-41-4 CAPLUS

CN β -Alanine, N-[3-[[3-(4-cyanophenyl)-1-oxo-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]benzoyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



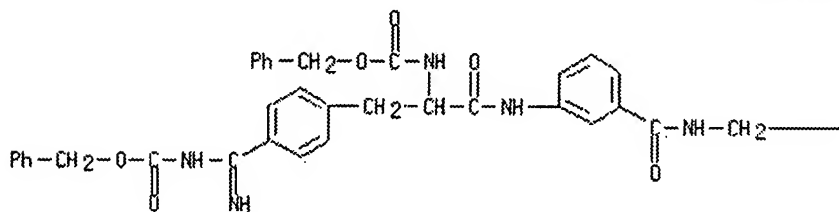
IT 135321-40-3P

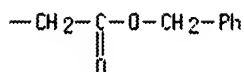
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and hydrogenolysis of)

RN 135321-40-3 CAPLUS

CN β -Alanine, N-[3-[[3-[4-[imino[[[(phenylmethoxy)carbonyl]amino]methyl]phenyl]-1-oxo-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]benzoyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A





L9 ANSWER 83 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1992:21062 CAPLUS

DN 116:21062

TI Preparation of 7-(peptidylamino)-4H-3,1-benzoxazin-4-one compound and elastase inhibitor composition containing same

IN Oshida, Junichi; Kawabata, Hiroshi; Kato, Yoshinori; Kokubo, Masayuki; Uejima, Yasuhide; Sato, Osami; Fujii, Katsuhiko

PA Teijin Ltd., Japan

SO PCT Int. Appl., 101 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9112245	A1	19910822	WO 1991-JP183	19910215
	W: AU, CA, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, NL, SE				
	CA 2051115	AA	19910816	JP 1990-32440	19900215
				CA 1991-2051115	19910215
				JP 1990-32440	19900215
	AU 9173250	A1	19910903	AU 1991-73250	19910215
	AU 635403	B2	19930318		
				JP 1990-32440	19900215
				WO 1991-JP183	19910215
	EP 466944	A1	19920122	EP 1991-904621	19910215
	R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
				JP 1990-32440	19900215
				WO 1991-JP183	19910215

OS MARPAT 116:21062

AB The title compds. [I; X = Y1A1, Y2(A2)mA3; A1 = amino acid residue, peptide residue comprising 2 or 3 amino acid residues; A2 = Gly, Ala, Val, Leu, dipeptide residue contg. these amino acid residues; A3 = (side-chain protected) Lys, Glu, Or Asp; Y1 = amino-protecting group; Y2 = H, SO3H; provided that when the side-chain of A3 is protected, Y2 = H; m = 0, 1; when X = Y1A1, R2 = alkyl contg. 1 or 2 CO2H, and R3 = H, alkyl contg. 1 or 2 alkyl or CO2H, or NR2R3 forming a 6- to 7-membered ring optionally substituted with 1 or 2 alkyl or CO2H; when X = Y2(A2)mA3, R2 = alkyl and R3 = H], which show particularly a selective inhibiting effect on a human leukocyte elastase and excellent H2O-soly. and residence in the lung tissue, are prepd. Thus, treatment of BOC-LysCOCMe3)-OH with iso-BuO2CCl in THF contg. N-methylmorpholine at -15° followed by I (R1 = Me, R2 = Me2CH, R3 = X = H) (prepn. given) gave I [R1,R2,R3 = unchanged; X = BOC-Lys(OCM33)] which was deprotected with 4N HCl in dioxane, treated with Me3SiNHHSiMe3 in CH2Cl2, and then condensed with 4-ClC6H4SO2Cl in the presence of Et3N to give I [R1,R2,R3 = unchanged; X = p-ClC6H4SO2-Lys] (II). II in vitro inhibited human purulent sputum elastase and α -chymotrypsin with IC50 of 2.9×10^{-9} and 4.9×10^{-6} M and 1690 times selectivity for the elastase.

IT 138006-68-5P 138006-70-9P 138006-71-0P

138006-72-1P 138006-73-2P 138006-75-4P

STN Columbus

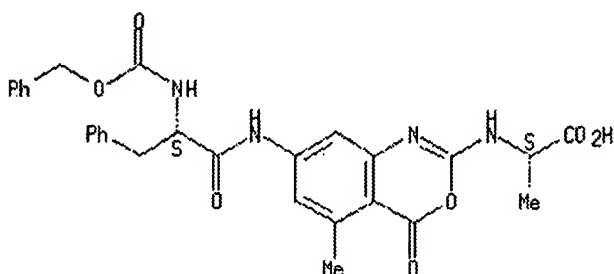
138006-76-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as elastase inhibitor)

RN 138006-68-5 CAPLUS

CN L-Alanine, N-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-
[[(phenylmethoxy) carbonyl] amino] propyl] amino]-4H-3,1-benzoxazin-2-yl]-,
(S)- (9CI) (CA INDEX NAME)

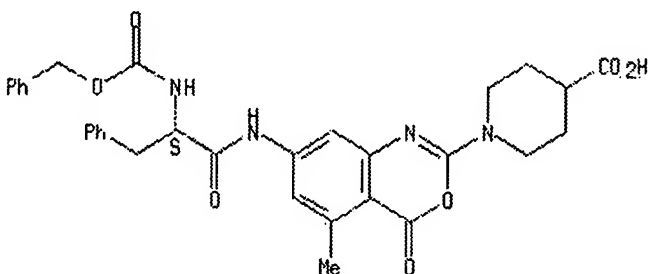
Absolute stereochemistry.



RN 138006-70-9 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-
[[(phenylmethoxy) carbonyl] amino] propyl] amino]-4H-3,1-benzoxazin-2-yl]-,
(S)- (9CI) (CA INDEX NAME)

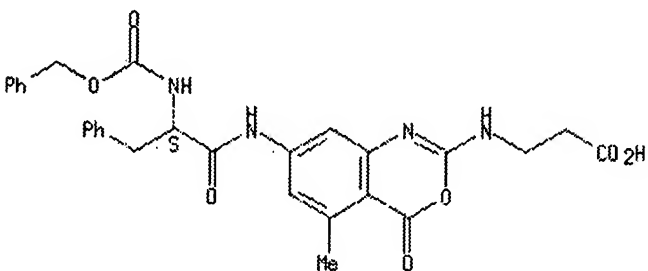
Absolute stereochemistry.



RN 138006-71-0 CAPLUS

CN β-Alanine, N-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-
[[(phenylmethoxy) carbonyl] amino] propyl] amino]-4H-3,1-benzoxazin-2-yl]-,
(S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

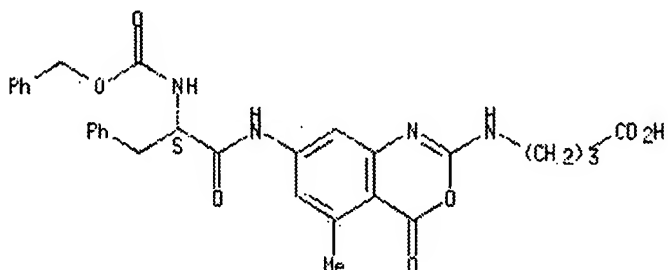


STN Columbus

RN 138006-72-1 CAPLUS

CN Butanoic acid, 4-[[[5-methyl-4-oxo-7-[[[1-oxo-3-phenyl-2-[[[phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]amino]-, (S)- (9CI) (CA INDEX NAME)

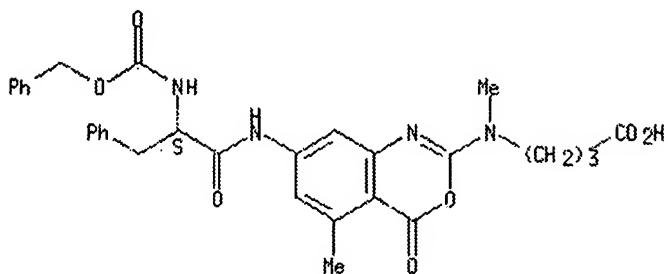
Absolute stereochemistry.



RN 138006-73-2 CAPLUS

CN Butanoic acid, 4-[methyl[5-methyl-4-oxo-7-[[[1-oxo-3-phenyl-2-[[[phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]amino]-, (S)- (9CI) (CA INDEX NAME)

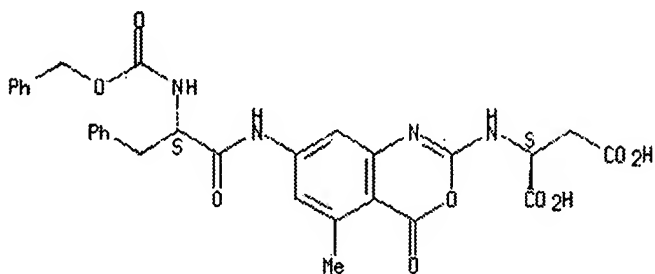
Absolute stereochemistry.



RN 138006-75-4 CAPLUS

CN L-Aspartic acid, N-[5-methyl-4-oxo-7-[[[1-oxo-3-phenyl-2-[[[phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



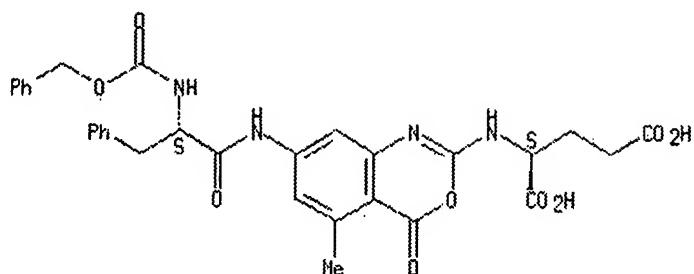
RN 138006-76-5 CAPLUS

CN L-Glutamic acid, N-[5-methyl-4-oxo-7-[[[1-oxo-3-phenyl-2-[[[phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-, (S)- (9CI) (CA INDEX NAME)

STN Columbus

(S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 138006-91-4P 138006-94-7P 138006-97-0P

138007-00-8P 138007-03-1P 138007-05-3P

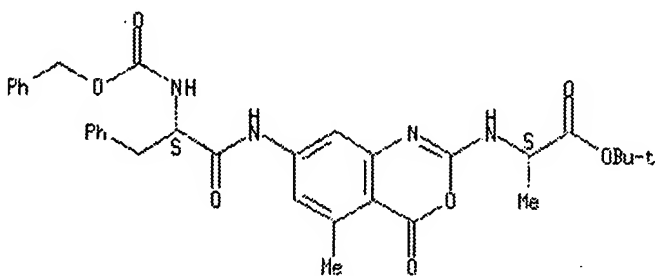
138007-09-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for benzoxazinone deriv. elastase inhibitor)

RN 138006-91-4 CAPLUS

CN L-Alanine, N-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

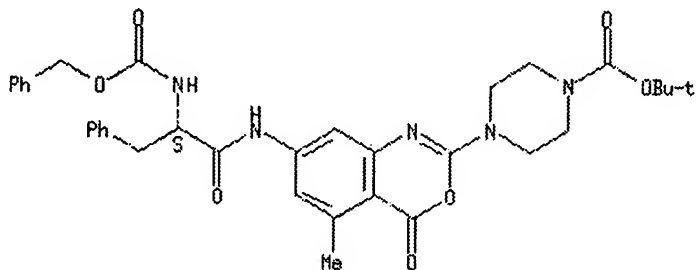
Absolute stereochemistry.



RN 138006-94-7 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

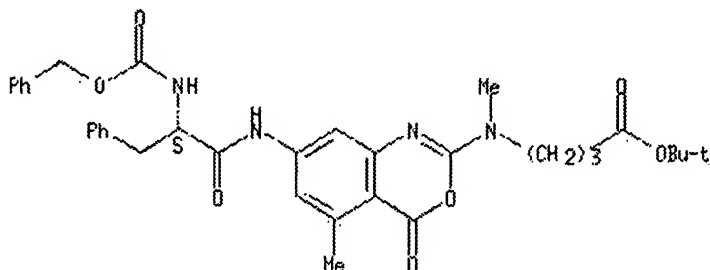


STN Columbus

RN 138006-97-0 CAPLUS

CN Butanoic acid, 4-[methyl[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]amino]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

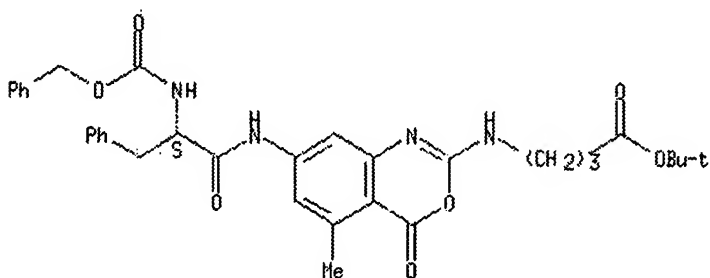
Absolute stereochemistry.



RN 138007-00-8 CAPLUS

CN Butanoic acid, 4-[[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]amino]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

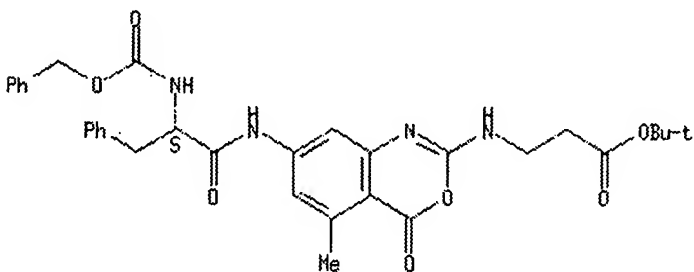
Absolute stereochemistry.



RN 138007-03-1 CAPLUS

CN β -Alanine, N-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



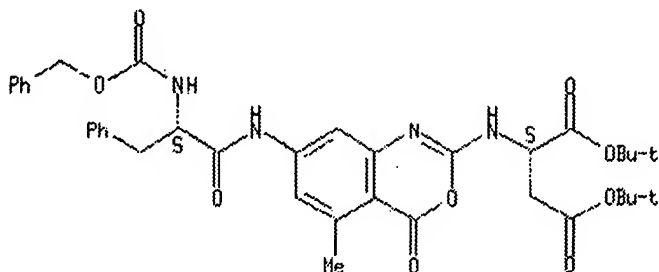
RN 138007-05-3 CAPLUS

CN L-Aspartic acid, N-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

STN Columbus

bis(1,1-dimethylethyl) ester, (S)- (9CI) (CA INDEX NAME)

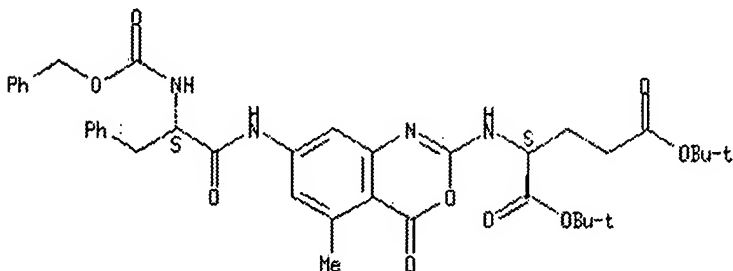
Absolute stereochemistry.



RN 138007-09-7 CAPLUS

CN L-Glutamic acid, N-[5-methyl-4-oxo-7-[[1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]-4H-3,1-benzoxazin-2-yl]-, bis(1,1-dimethylethyl) ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 84 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1991:559399 CAPLUS

DN 115:159399

TI Synthesis and properties of some rhodium(I) catalytic complexes with dinitrogen ligands derived from 5-pyrido-1,4-benzodiazepin-4-ones

AU Cudic, Predrag; Klaić, Branimir; Raza, Zlata; Sepac, Dragan; Sunjic, Vitomir

CS "Ruder Boskovic" Inst., Zagreb, 41001, Yugoslavia

SO Tetrahedron (1991), 47(28), 5295-308

CODEN: TETRAB; ISSN: 0040-4020

DT Journal

LA English

AB A series of bidentate nitrogen ligands I (R = H, R1 = H, CH2Ph, R2 = Br; R = Me, R1 = H, CH2Ph, R2 = Br; R = CH2Ph, R1 = H, R2 = Br; R = Me, R1 = R2 = H), and their [Rh(I)(NBD)(N-N)] ClO4 complexes (NBD = norbornadiene, N-N = dinitrogen ligand I) were prepd. Conformational properties and stability of I (R = H, R1 = CH2Ph, R2 = Br) and its catalytic complex were reported. Catalytic activity of complexes of I (R = H, R1 = H, CH2Ph) in hydrogenation of cyclohexene is compared with a complex that contains 2,2'-bipyridyl as the std. ligand. Chiroptical data of chiral ligands I (R = Me, CH2Ph, R1 = H, R2 = Br) and their Rh(I) complexes are reported.

IT 136295-73-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

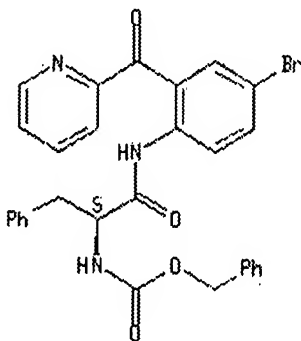
STN Columbus

(prepn. and deprotection of, with trifluoroacetic acid in presence of anisole)

RN 136295-73-3 CAPLUS

CN Carbamic acid, [2-[[4-bromo-2-(2-pyridinylcarbonyl)phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 85 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1991:536786 CAPLUS

DN 115:136786

TI Preparation of peptide p-pyridazinylanilides as cardiovascular agents.

IN Bru-Magniez, Nicole; Nicolai, Eric; Teulon, Jean Marie

PA Laboratoires UPSA S. A., Fr.

SO Fr. Demande, 73 pp.

CODEN: FRXXBL

DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2646853	A1	19901116	FR 1989-6066	19890509
				FR 1989-6066	19890509

OS MARPAT 115:136786

AB The title compds. I [R1 = H, alkyl; R2 = H, alkyl, aralkyl, halo, OH, etc.; R3 = H, alkyl; or R2R3 = CH2(XH2)nCH2; n = 1-4; A = pyrrolidinediyl, etc.; B = CHR4X; R4 = H, alkyl, amino; X = CH2SH, CH2SAC, etc.] and their pharmaceutically acceptable salts, useful as cardiotonics, vasodilators, blood platelet aggregation inhibitors, and angiotensin converting enzyme inhibitors, were prepd. Amidation of Z-Pro-Phe-OH (Z = PhCH2O2C) with pyridazinylaniline QH (prepn. given), the resulting dipeptide amide Z-Pro-Phe-Q deprotected, and then condensed with AcSCH2CHMeCOCl in CH2Cl2 contg. Et3N to give the title compd. AcSCH2CHMeCO-Pro-Phe-Q (II). In an in vitro expt. using guinea pig heart, II at 7.9×10^{-6} M effected 50% of the max. inotropic augmentation.

IT 86800-39-7P 135809-27-7P 135809-29-9P

135809-31-3P

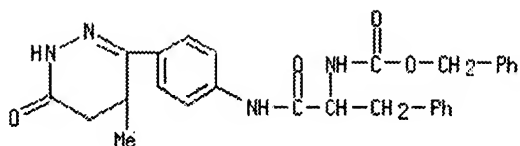
RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as intermediate for peptides as cardiovascular agents)

RN 86800-39-7 CAPLUS

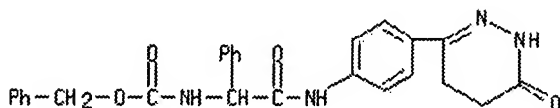
CN Carbamic acid, [2-oxo-1-(phenylmethyl)-2-[[4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)phenyl]amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

STN Columbus



RN 135809-27-7 CAPLUS

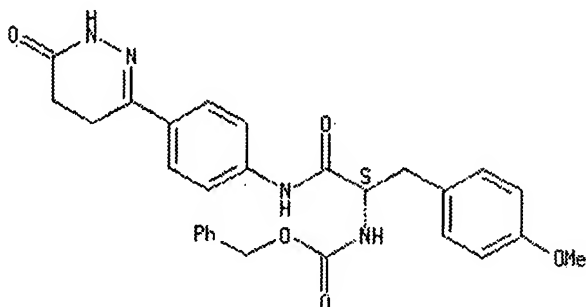
CN Carbamic acid, [2-oxo-1-phenyl-2-[[4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)phenyl]amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 135809-29-9 CAPLUS

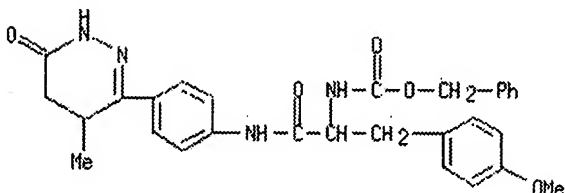
CN Carbamic acid, [1-[(4-methoxyphenyl)methyl]-2-oxo-2-[[4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)phenyl]amino]ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 135809-31-3 CAPLUS

CN Carbamic acid, [1-[(4-methoxyphenyl)methyl]-2-oxo-2-[[4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)phenyl]amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 86 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1991:515098 CAPLUS

DN 115:115098

STN Columbus

TI Preparation of amino acid amides as cholesterol acyltransferase inhibitors
 IN Chucholowski, Alexander Wilhelm; Creswell, Mark Wallace; Roark, William
 Howard; Sircar, Ila
 PA Warner-Lambert Co., USA
 SO Eur. Pat. Appl., 59 pp.
 CODEN: EPXXDW
 DT Patent
 LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 415413	A1	19910306	EP 1990-116662	19900830
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
				US 1989-401367	19890831
				US 1990-557204	19900730
	US 5153226	A	19921006	US 1990-557204	19900730
				US 1989-401367	19890831
	AU 9061901	A1	19910307	AU 1990-61901	19900828
	AU 640680	B2	19930902		
				US 1989-401367	19890831
				US 1990-557204	19900730
	DD 297400	A5	19920109	DD 1990-343681	19900828
				US 1989-401367	19890831
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	JP 03148247	A2	19910625	JP 1990-226830	19900830
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				US 1990-557204	19900730
	ZA 9006937	A	19920527	ZA 1990-6937	19900830
				US 1989-401367	19890831
	CN 1050376	A	19910403	CN 1990-107397	19900831
				US 1989-401367	19890831
				US 1990-557204	19900730

OS MARPAT 115:115098

AB Amino acid amidse RNHCOCR1R2NR3R4 [R, Ar = (substituted) Ph, 1- or 2-naphthyl; R1 = H, C1-6 alkyl; R2 = H, C1-20 hydrocarbyl, 4-PhOCH2C6H4CH2, (CH2)2S(O)nMe, etc.; n = 0-2; or R1CR2 = 3-7 membered satd. carbocyclyl; R3 = H, C1-20 hydrocarbonyl, Q, etc.; q = 0-3; r = 0-2; s = 2-6; R4 = H, C1-20 hydrocarbyl, SO2R5, etc.; R5 = (C1-4 alkyl)phenyl, morpholinyl, C1-20 hydrocarbyl, with provisos], useful also for treatment of hypercholesterolemia and atherosclerosis (no data), were prepd. For example, BrCH2COBr was added dropwise to a soln. of 2,6-diisopropylaniline and Et3N in EtOAc at 0°, and the resulting mixt. was stirred 10 min at 0°. Then, (Ph2)CHNH2 and Et3N were added and the mixt. was heated 30 min on a steam bath. After standing overnight at room temp., the mixt. was filtered, heated 30 min, filtered again, and concd. to give the title compd. I in 50.5% yield. The IC50 of I against cholesterol acyltransferase was 0.055 µM.

IT 95922-34-2P 135627-45-1P 135627-49-5P
 135627-50-8P 135627-55-3P 135627-56-4P
 135628-16-9P

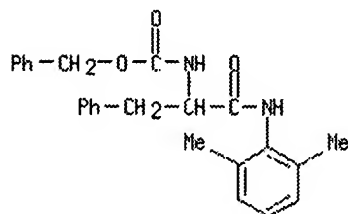
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of, as cholesterol acyltransferase inhibitor)

Peter Rosenthal

STN Columbus

RN 95922-34-2 CAPLUS

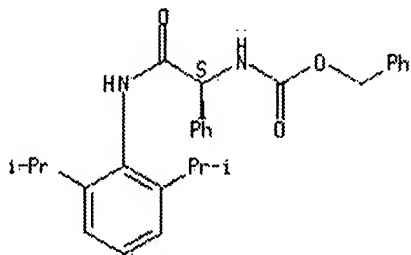
CN Carbamic acid, [2-[(2,6-dimethylphenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 135627-45-1 CAPLUS

CN Carbamic acid, [2-[[2,6-bis(1-methylethyl)phenyl]amino]-2-oxo-1-phenylethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

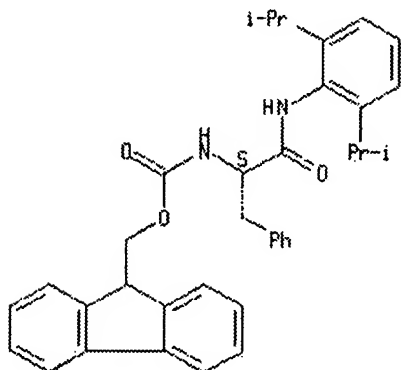
Absolute stereochemistry.



RN 135627-49-5 CAPLUS

CN Carbamic acid, [2-[[2,6-bis(1-methylethyl)phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, 9H-fluoren-9-ylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

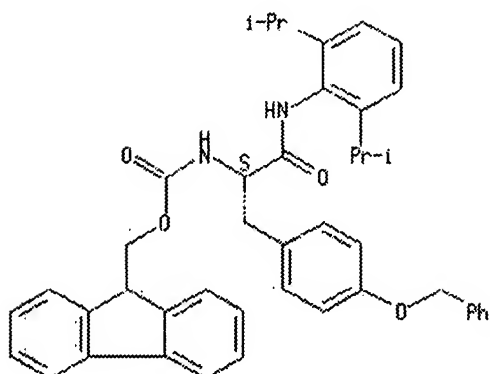


RN 135627-50-8 CAPLUS

CN Carbamic acid, [2-[[2,6-bis(1-methylethyl)phenyl]amino]-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]ethyl]-, 9H-fluoren-9-ylmethyl ester, (S)- (9CI) (CA INDEX NAME)

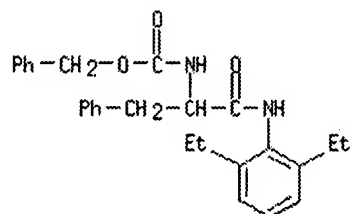
STN Columbus

Absolute stereochemistry.



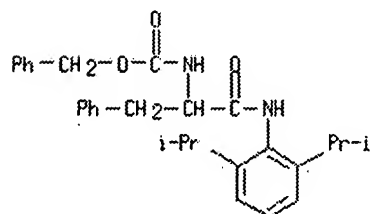
RN 135627-55-3 CAPLUS

CN Carbamic acid, [2-[(2,6-diethylphenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 135627-56-4 CAPLUS

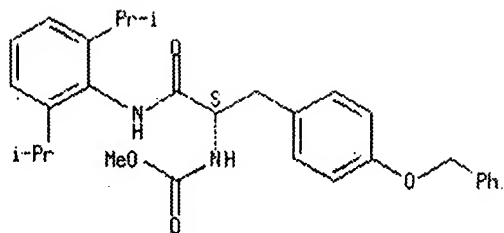
CN Carbamic acid, [2-[[2,6-bis(1-methylethyl)phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 135628-16-9 CAPLUS

CN Carbamic acid, [2-[[2,6-bis(1-methylethyl)phenyl]amino]-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]ethyl]-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 87 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1991:492947 CAPLUS

DN 115:92947

TI Preparation of N-amidobenzoyl- β -alanines and analogs as fibrinogen antagonists and antitumor agents

IN Alig, Leo; Edenhofer, Albrecht; Mueller, Marcel; Trzeciak, Arnold; Weller, Thomas

PA Hoffmann-La Roche, F., und Co. A.-G., Switz.

SO Eur. Pat. Appl., 28 pp.

CODEN: EPXXDW

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	EP 372486	A2	19900613	EP 1989-122396	19891205
	EP 372486	A3	19910612		
	EP 372486	B1	19940601		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
				CH 1988-4543	A 19881208
				CH 1989-3703	A 19891011
US 5039805	A	19910813		US 1989-440949	19891124
				CH 1988-4543	A 19881208
				CH 1989-3703	A 19891011
CA 2004127	AA	19900608		CA 1989-2004127	19891129
CA 2004127	C	20020423			
				CH 1988-4543	A 19881208
				CH 1989-3703	A 19891011
ZA 8909210	A	19900829		ZA 1989-9210	19891201
				CH 1988-4543	A 19881208
IL 92518	A1	19941129		IL 1989-92518	19891201
				CH 1988-4543	A 19881208
				CH 1989-3703	A 19891011
HU 53068	A2	19900928		HU 1989-6350	19891204
HU 206192	B	19920928			
				CH 1988-4543	A 19881208
				CH 1989-3703	A 19891011
AU 8945865	A1	19901101		AU 1989-45865	19891204
AU 648751	B2	19940505			
				CH 1988-4543	A 19881208
				CH 1989-3703	A 19891011
AT 106389	E	19940615		AT 1989-122396	19891205
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				CH 1989-3703	A 19891011
				EP 1989-122396	A 19891205
ES 2054995	T3	19940816		ES 1989-122396	19891205
				CH 1988-4543	A 19881208
				CH 1989-3703	A 19891011
DK 8906153	A	19900609		DK 1989-6153	19891206
DK 171888	B1	19970804			

STN Columbus

			CH 1988-4543	A 19881208
			CH 1989-3703	A 19891011
NO 8904919	A	19900611	NO 1989-4919	19891207
			CH 1988-4543	A 19881208
			CH 1989-3703	A 19891011
JP 02223543	A2	19900905	JP 1989-320391	19891208
JP 06010179	B4	19940209		
			CH 1988-4543	A 19881208
			CH 1989-3703	A 19891011

OS MARPAT 115:92947

AB The title compds. [I; A = R1CONH(CH2)i; G = (CH2)jCONHCHR1CH2CO2H; R1 = CHRa(CH2)nNHR6, T1C6H4CH2NHRc, TmC6H4(NH)pC(:NH)NH2, aminomethylcyclohexyl, etc.; Ra = H, NH2, alkoxy-carbonylamino, NHCO2CH2Ph, NHCOC2NYCH2CH2NH2; R6 = H, amidino, C(:NH)(CH2)hMe; Rc = H, amidino; R2 = H, me, OMe, NO2, halo, etc.; R3 = H, CONH2, CORf, CO2Rg; Rf= N-linked amino acid residue; Rg = H, alkyl; T = CH2, CH:CH, CHRdCH2; Rd = groups cited for Ra, NHBz, NHCOC6H4N3, arylsulfonylamino; Y = H, CO2CMe3, CO2CH2Ph; i, j, l, m, p = 0,1; k = 0-3; n = 1-6] were prepd. Thus, RCl [R = 4-[H2N(HN:)C]C6H4CO] was condensed with 3-(R4HN)C6H4CONHCH2CH2CO2R5 (II; R4 = H, R5 = CH2Ph) to give, after hydrogenolysis, II (R4 = R, R5 = H) which had IC50 of 10-4 μM against fibrinogen binding to glycoprotein IIB/IIIa.

IT 135321-40-3P 135321-41-4P 135321-42-5P

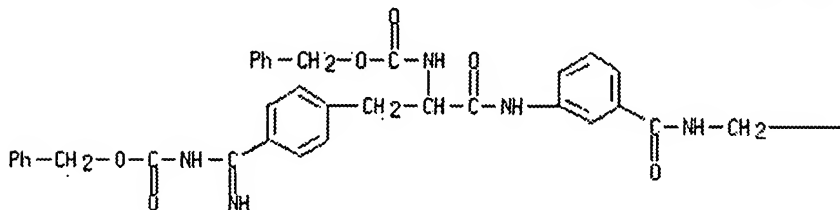
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, in prepn. of antitumor agents and fibrinogen antagonists)

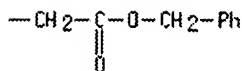
RN 135321-40-3 CAPLUS

CN β-Alanine, N-[3-[[3-[4-[imino[[(phenylmethoxy) carbonyl] amino] methyl] p henyl]-1-oxo-2-[[(phenylmethoxy) carbonyl] amino] propyl] amino] benzoyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



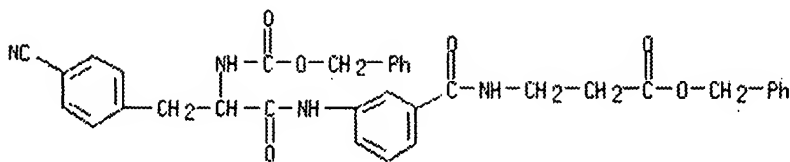
PAGE 1-B



RN 135321-41-4 CAPLUS

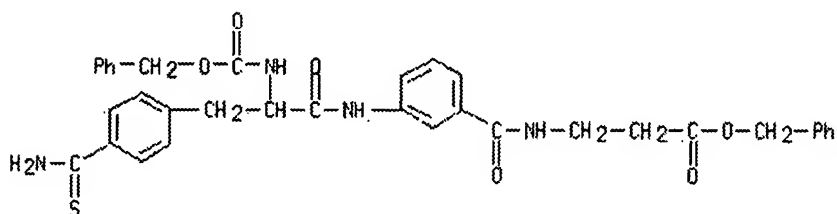
CN β-Alanine, N-[3-[[3-(4-cyanophenyl)-1-oxo-2-[[(phenylmethoxy) carbonyl] amino] propyl] amino] benzoyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

STN Columbus



RN 135321-42-5 CAPLUS

CN β -Alanine, N-[3-[[3-[4-(aminothioxomethyl)phenyl]-1-oxo-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]benzoyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 88 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1991:492868 CAPLUS

DN 115:92868

TI Amino acid amides of 2-[(2-aminobenzyl)sulfinyl]benzimidazole as acid-stable prodrugs of potential inhibitors of H⁺/K⁺ ATPase

AU Hirai, K.; Koike, H.; Ishiba, T.; Ueda, S.; Makino, I.; Yamada, H.; Ichihashi, T.; Mizushima, Y.; Ishikawa, M.; et al.

CS Shionogi Res. Lab., Shionogi and Co., Ltd., Osaka, 553, Japan

SO European Journal of Medicinal Chemistry (1991), 26(2), 143-58
CODEN: EJMCA5; ISSN: 0223-5234

DT Journal

LA English

AB A series of amino acid amides of 2-[(2-aminobenzyl)sulfinyl]benzimidazole I (R₁ = H, Me, MeO, CF₃, F; R₂ = H, Me; R₃ = H, H-Gly, H-Ala, H-Val, H-Leu, H-Phe, H-Lys; R₄ = H, Me, OMe, CO₂Me, CF₃, Et; n = 0, 1) were prepd. and found to possess gastric antisecretory activity on oral administration. (Glycylaminobenzyl)sulfinyl compd. I (R₁ = R₂ = R₄ = H, R₃ = H-Gly, n = 1) (II), stable in artificial gastric juice (pH 1.2), was given orally to dogs. It was absorbed efficiently and converted into aniline deriv. I (R₁-R₄ = H, n = 1) (III), which showed a very high plasma concn. II was hydrolyzed by the aminopeptidase present in plasma or the brush border fraction of the small intestine to release the terminal glycine. I showed good activity in in vitro H⁺/K⁺-ATPase inhibition as well as in the inhibition of histamine stimulated acid secretion in isolated bullfrog gastric mucosa. Although I showed no or weak gastric antisecretory activity in rat by id administration, they were active when administered i.p. Therefore, these amino acid amides are acid stable prodrugs of proton pump inhibiting o-aniline derivs. The mechanism of H⁺/K⁺-ATPase inhibition III was also examd.

IT 111881-38-0P 135430-20-5P 135430-21-6P
135430-22-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deblocking of, with hydrogen bromide)

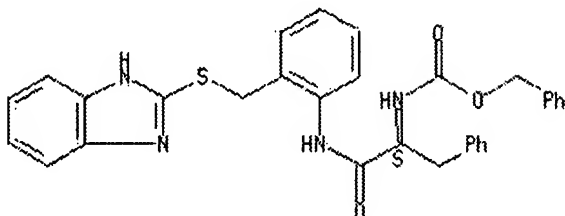
RN 111881-38-0 CAPLUS

CN Carbamic acid, [2-[[2-[(1H-benzimidazol-2-ylthio)methyl]phenyl]amino]-2-

STN Columbus

oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

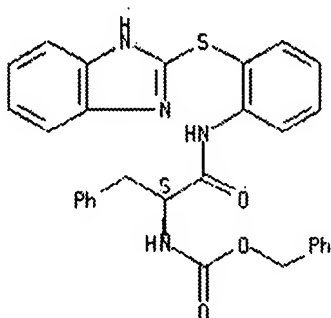
Absolute stereochemistry.



RN 135430-20-5 CAPLUS

CN Carbamic acid, [2-[[2-(1H-benzimidazol-2-ylthio)phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

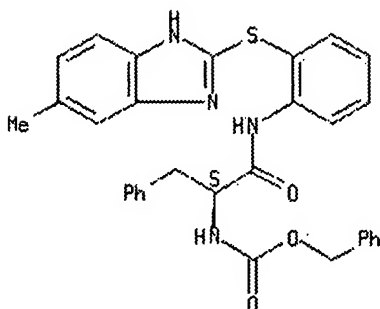
Absolute stereochemistry.



RN 135430-21-6 CAPLUS

CN Carbamic acid, [2-[[2-[(5-methoxy-1H-benzimidazol-2-yl)thio]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

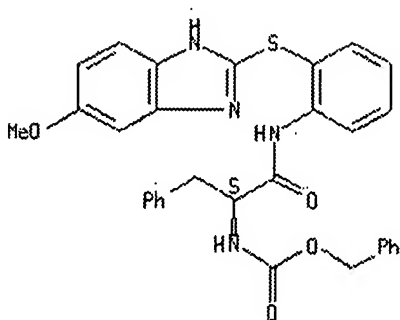
Absolute stereochemistry.



RN 135430-22-7 CAPLUS

CN Carbamic acid, [2-[[2-[(5-methoxy-1H-benzimidazol-2-yl)thio]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 111881-69-7P

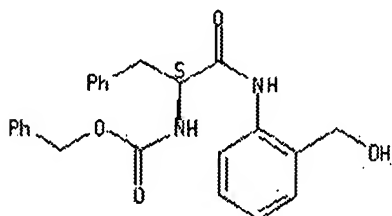
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn., chlorination, and substitution of, with mercaptobenzimidazole)

RN 111881-69-7 CAPLUS

CN Carbamic acid, [2-[[2-(hydroxymethyl)phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 89 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1991:450298 CAPLUS

DN 115:50298

TI Preparation of 2-naphthylamides and 4-methoxy-2-naphthylamides of N-acyl-L-amino acids by using papain

IN Cerovsky, Vaclav

PA Czech.

SO Czech., 5 pp.

CODEN: CZXXA9

DT Patent

LA Czech

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CS 269070	B1	19900411	CS 1987-6663	19870914
				CS 1987-6663	19870914

AB The title compds., chromogenic and fluorogenic substrates of proteolytic enzymes useful, e.g., in diagnosis and monitoring pathol. states, were prepd. by treating mixts. of N-acylamino acids and 2-naphthylamine or 4-methoxy-2-naphthylamine with papain in H₂O-solns. buffered to 4.1-5.5, preferably 4.8, over 2-48 h at 25-45°. Thus, 15 mg EDTA (chelating agent) and 50 mg cystein hydrochloride were added to a soln. of 1.33 g

STN Columbus

benzyloxycarbonylleucine and 1.1 g 2-naphthylamine in 17 mL DMF and 33 mL 0.2M acetate buffer pH 4.8. The mixt. was incubated with 200 mg papain for 24 h at 38° to give 1.72, benzyloxycarbonylleucine 2-naphthylamide. Eight title compds. were prepd.

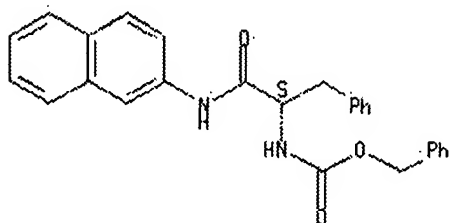
IT 16876-73-6P, Benzyloxycarbonylphenylalanine 2-naphthylamide
134870-51-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, by amidation of phenylalanine deriv. in presence of papain)

RN 16876-73-6 CAPLUS

CN Carbamic acid, [2-(2-naphthalenylamino)-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

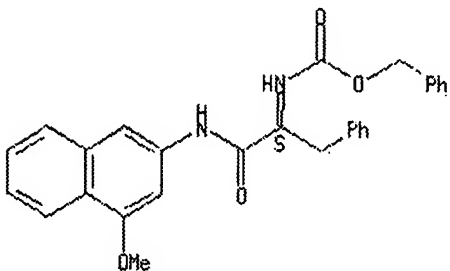
Absolute stereochemistry.



RN 134870-51-2 CAPLUS

CN Carbamic acid, [2-[(4-methoxy-2-naphthalenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 90 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

[Full Text](#)

AN 1991:429895 CAPLUS

DN 115:29895

TI Reinvestigation of the phosphazo method and synthesis of
N-(tert-butoxycarbonyl)-L-arginine p-nitroanilide and a chromogenic enzyme
substrate for the factor Xa

AU Oyamada, Hidekazu; Saito, Takashi; Inaba, Shinsaku; Ueki, Masaaki

CS Fac. Sci., Sci. Univ. Tokyo, Tokyo, 162, Japan

SO Bulletin of the Chemical Society of Japan (1991), 64(4), 1422-4

CODEN: BCSJA8; ISSN: 0009-2673

DT Journal

LA English

OS CASREACT 115:29895

AB Reactions conditions for the phosphazo method were reinvestigated in order
to apply this method to the synthesis of p-nitroanilides of
tert-butoxycarbonyl (Boc) and benzyloxycarbonyl amino acids. Thus,

STN Columbus

condensation of 4-O₂NC₆H₄NH₂ with PCl₃, followed by Boc-Arg-OH gave 75% Boc-Arg-NH₆H₄NO₂-4 (I). I was used in the prepn. of the title enzyme substrate Bz-Ile-Glu(OMe)-Gly-Arg-NHC₆H₄NO₂-4.

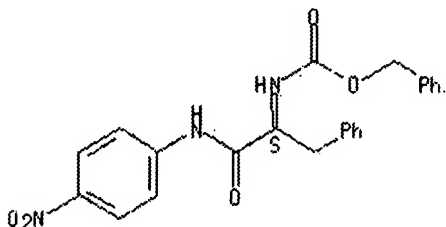
IT 19647-71-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 19647-71-3 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 91 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1991:61705 CAPLUS

DN 114:61705

TI Preparation of 2-(disubstituted amino)acetanilide herbicides

IN Wee, Siok Hui H.

PA ICI Americas, Inc., USA

SO U.S., 13 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4944796	A	19900731	US 1988-270573	19881114
				US 1988-270573	19881114

OS CASREACT 114:61705; MARPAT 114:61705

AB Title compds. I (R = alkyl, Ph; R₁ = amino, alkyl, allyl, substituted carbonyl or carbamyl, alkythiothiocarbonyl, mono-haloanilinocarbonylmethylene, alkoxy carbonylmethylene, carboxymethylene; R₂ = H, alkyl, Ph; X = halo, haloalkyl; n = 1-3) are prepd. To a CH₂Cl₂ soln. of 2,5-difluorosarcosineanilide and pyridine was added (F₃CCO)₂O and the mixt. was stirred for 2 h at room temp. to give I (R = Me; R₁ = F₃CCO; R₂ = H; X_n = 2,5-F₂). I (R = Me; R₁ = EtSCO; R₂ = H; X_n = 2,5-F₂) at 4.48 kg/ha pre- and postemergence gave 100% control of Brassica kaber, Abutilon theophrasti, Ipomoea purpurea, and av. broadleaf.

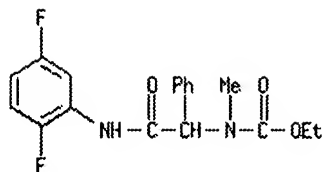
IT 131655-09-9P 131655-13-5P 131655-19-1P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as herbicide)

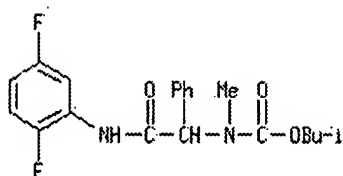
RN 131655-09-9 CAPLUS

CN Carbamic acid, [2-[(2,5-difluorophenyl)amino]-2-oxo-1-phenylethyl]methyl-, ethyl ester (9CI) (CA INDEX NAME)

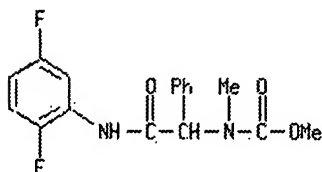
STN Columbus



RN 131655-13-5 CAPLUS

CN Carbamic acid, [2-[(2,5-difluorophenyl)amino]-2-oxo-1-phenylethyl]methyl-,
2-methylpropyl ester (9CI) (CA INDEX NAME)

RN 131655-19-1 CAPLUS

CN Carbamic acid, [2-[(2,5-difluorophenyl)amino]-2-oxo-1-phenylethyl]methyl-,
methyl ester (9CI) (CA INDEX NAME)

L9 ANSWER 92 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1990:612692 CAPLUS

DN 113:212692

TI Amino acid p-(dimethylsulfonio)phenyl active ester salts for preparation
of peptides and amides

IN Takashita, Katsushige

PA Sanshin Chemical Industry Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 5 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 02117625	A2	19900502	JP 1988-272756	19881027
	JP 08005812	B4	19960124		
				JP 1988-272756	19881027

OS MARPAT 113:212692

AB RANBD (R = N-protecting group; A = amino acid or peptide residue; B, D = H, org. radical; or NBD = heterocyclyl) are prepd. by reaction of p-(dimethylsulfonio)phenyl active esters (I) with BNHD in H₂O/water-miscible org. solvents. Esters I [R = PhCH₂O₂C (Z), A = Phe] (0.1) in MeCN was added to 0.1 mol glycine and Et₃N in H₂O with stirring at room temp. to give 91.4% Z-Phe-Gly-OH, vs. 65.2% with H₂O only being

STN Columbus

the solvent. Also prepd. were 4 addn. peptides. Other solvents used were EtOH, DMF, N-methylpyrrolidone, and dioxane.

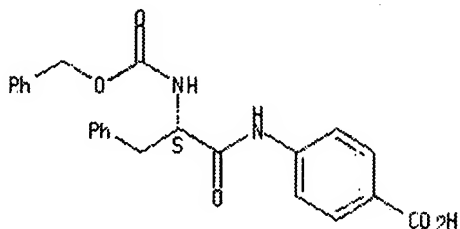
IT 130073-73-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 130073-73-3 CAPLUS

CN Benzoic acid, 4-[[[1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 93 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1990:455051 CAPLUS

DN 113:55051

TI Preparation of fluorogenic proteinase substrates coupled to a polymer matrix

IN Brynes, Paul J.; Andrade-Gordon, Patricia

PA State University of New York, Albany, USA

SO U.S., 23 pp. Cont.-in-part of U.S. Ser. No. 739,746, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4897444	A	19900130	US 1985-740706	19850603
				US 1985-739746	19850531

AB Fluorogenic proteinase substrates with the fluorescent moiety attached to a polymer matrix for use in the quant. detection of proteinase activity in individual cells are prepd. Immobilization of the fluorescent group prevents diffusion and allows accurate in situ detn. of specific proteases e.g. of elastase in the study of inflammatory diseases. A series of substrates with a di-, tri-, or tetrapeptide attached to 6-aminoquinoline, 3-aminoquinoline, 4-dimethylaminomethyl-6-aminocoumarin, or 2-dimethylaminomethyl-6-aminonaphthalene as the fluorescent group were prepd. The 6-aminoquinoline compds. were immobilized on polyacrylamide gels using a spacer arm and the gels used as carrier for the growth of human embryonic lung fibroblasts and monocytes. Elastase released from monocytes was detectable by fluorescence microscopy.

IT 90606-02-3P 128140-21-6P

RL: PREP (Preparation)

(prepn. and reactions of in synthesis of fluorogenic proteinase substrates immobilized on polymer matrixes)

RN 90606-02-3 CAPLUS

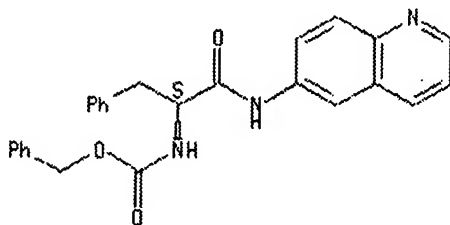
CN Quinolinium, 1-methyl-6-[[[1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]-, iodide, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

STN Columbus

DT Journal
 LA English
 AB An elastase-specific fluorogenic substrate, 6-(N-carbobenzoxy-L-alanyl-L-alanyl-L-alanylamido)quinoline, was synthesized and immobilized via the fluorophoric group to an alkylatable deriv. of polyacrylamide microspheres. Upon hydrolysis by elastase, the proteolytic product of the reaction fluoresced with a characteristic greenish-yellow light corresponding to the presence of the 1-alkyl-6-aminoquinolinium ion. This method was applied to detect the elastase activity released from monocytes grown on the microspheres. Because the fluorescent product was covalently attached to the microsphere and could not diffuse away from the site of reaction, it was possible to identify individual cells releasing the proteinase mols. These expts. demonstrated that covalently immobilized fluorogenic substrates can be used for direct visualization and quantitation of proteinase activity from individual cells in culture.
 IT 80115-53-3D, reaction products with polyacrylamide bromoacetamidocaproyl aminoethyl deriv.
 RL: BIOL (Biological study)
 (elastase of human detn. with)
 RN 80115-53-3 CAPLUS
 CN Carbamic acid, [2-oxo-1-(phenylmethyl)-2-(6-quinolinylamino)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

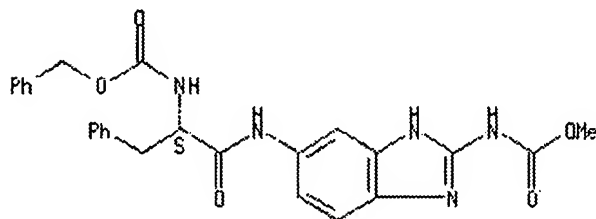


L9 ANSWER 96 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN
Full Text
 AN 1990:119371 CAPLUS
 DN 112:119371
 TI Synthesis and antifilarial activity of benzimidazole-2-carbamates carrying an amino acid side chain at the 5(6)-position
 AU Divakar, K. J.; Rao, M. K.; Shrivastava, R.; Reddy, A. B.
 CS Res. Cent., Hindustan Ciba-Geigy Ltd., Bombay, 400 063, India
 SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1989), 28B(3), 252-60
 CODEN: IJSBDB; ISSN: 0376-4699
 DT Journal
 LA English
 OS CASREACT 112:119371
 AB Several benzimidazole-2-carbamates, e.g. I (X = Gly, Ala, Phe, Val, D-Val, Leu, Ile, Glu, Pro), carrying an amino acid side chain at the 5(6)-position have been synthesized and their antifilarial activity evaluated against *Litomosoides carinii* in *Mastomys natalensis*. I (X = Val) is the most active compd.
 IT 125705-65-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and catalytic hydrogenolysis of)
 RN 125705-65-9 CAPLUS

STN Columbus

CN Carbamic acid, [2-[[2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



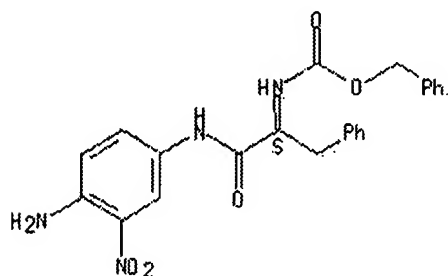
IT 125705-47-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and catalytic redn. of)

RN 125705-47-7 CAPLUS

CN Carbamic acid, [2-[(4-amino-3-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



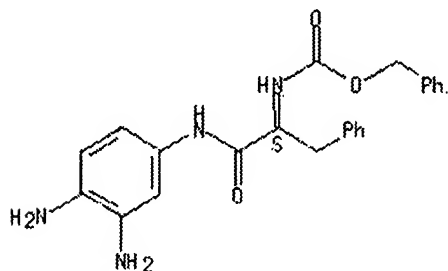
IT 125705-56-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclocondensation of, with methylbis(carbomethoxy)pseudothiourea)

RN 125705-56-8 CAPLUS

CN Carbamic acid, [2-[(3,4-diaminophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



STN Columbus

L9 ANSWER 97 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1990:21270 CAPLUS

DN 112:21270

TI Activation of carboxylic acids by pyrocarbonates. Application of di-tert-butyl pyrocarbonate as condensing reagent in the synthesis of 6-quinolylamides of protected amino acids

AU Pozdnev, V. F.

CS Inst. Biol. Med. Chem., Moscow, USSR

SO Bioorganicheskaya Khimiya (1989), 15(4), 471-7

CODEN: BIKHD7; ISSN: 0132-3423

DT Journal

LA Russian

OS CASREACT 112:21270

AB Protected amino acids were amidated with 6-quinolinamine using di-tert-Bu pyrocarbonate as condensing agent. The quinolyl amides are intermediates in the synthesis of fluorogenic substrates of peptidases.

IT 80115-53-3P

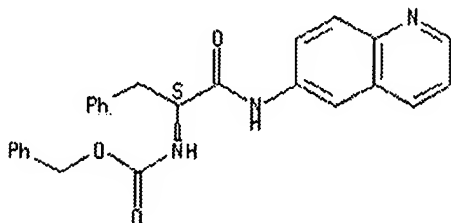
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and deprotection of)

RN 80115-53-3 CAPLUS

CN Carbamic acid, [2-oxo-1-(phenylmethyl)-2-(6-quinolinylamino)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 98 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1989:574647 CAPLUS

DN 111:174647

TI Amino acids and peptides. XXII. Synthesis of substrates and inhibitors of human leukocyte cathepsin G

AU Okada, Yoshio; Tsuda, Yuko; Teno, Naoki; Nagamatsu, Yoko; Okamoto, Utako

CS Fac. Pharm. Sci., Kobe-Gakuin Univ., Kobe, 673, Japan

SO Chemical Pharmaceutical Bulletin (1988), 36(12), 4794-801

CODEN: CPBTAL; ISSN: 0009-2363

DT Journal

LA English

OS CASREACT 111:174647

AB Suc-Tyr-Leu-Phe-pNA (pNA = p-nitroanilide) is a good substrate for human leukocyte cathepsin G and α -chymotrypsin, but not for human leukocyte elastase (HLE). However, Suc-Tyr-D-Leu-D-Phe-pNA inhibited not only cathepsin G and α -chymotrypsin, but also HLE (Ki values, 1.1, 0.94 and 0.16 mM, resp.). The p-nitroanilide moiety of Suc-Tyr-Leu-Phe-pNA and Suc-Tyr-D-Leu-D-Phe-pNA was substituted with p-benzoylaniline (BZA), p-acetylaniline, 4-benzylpiperidine, and 4-methylpiperidine (Pipe). The relationship between the structure and inhibitory effect on HLE, cathepsin G, and α -chymotrypsin was

STN Columbus

studied. Suc-Tyr-Leu-Phe-BZA inhibited HLE, cathepsin G, and α -chymotrypsin with K_i values of 0.027, 0.1 and 0.01 mM, resp.

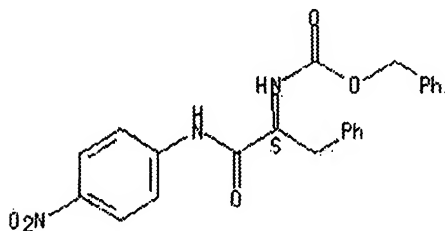
IT 19647-71-3

RL: RCT (Reactant); RACT (Reactant or reagent)
(deblocking of, with hydrogen bromide)

RN 19647-71-3 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 99 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1989:423950 CAPLUS

DN 111:23950

TI Amides of amino acids and peptides with 7-amino-4H-3,1-benzoxazin-4-ones as serine protease inhibitors

IN Kokubo, Masayuki; Fujii, Katsuhiko; Oshida, Junichi; Tomimori, Koji; Uejima, Yasuhide

PA Teijin Ltd., Japan

SO PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 8809790	A1	19881215	WO 1988-JP556	19880609
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	RW: AT, BE, CH, DE, FR, GB, IT, NL, SE				
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	AU 616420	B2	19911031		
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				WO 1988-JP556	19880609
	EP 317645	A1	19890531	EP 1988-905224	19880609
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				JP 1987-142364	19870609
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	AT 94536	E	19931015	AT 1988-905224	19880609

STN Columbus

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			WO 1988-JP556	19880609
US 4980287	A	19901225	US 1989-340097	19890203
			JP 1987-142364	19870609
			JP 1988-102404	19880427
			WO 1988-JP556	19880609
DK 8900574	A	19890208	DK 1989-574	19890208
			JP 1987-142364	19870609
			JP 1988-102404	19880427
			WO 1988-JP556	19880609

OS MARPAT 111:23950

AB Title compds. I (R = H, alkyl; A = residue of amino acid or peptide contg. 2 or 3 amino acid residues; X = alkyl, fluoroalkyl, R1O, R1NH; R1 = alkyl; Y = amino-protecting group) are prepd. A soln. of N-carbobenzoxy-L-proline and N-methylmorpholine in THF was successively treated with ClCO2CH2CHMe2 and a soln. of 4-amino-N-trifluoroacetylanthranilic acid and N-methylmorpholine in THF to give 4-(N-carbobenzoxy-L-prolyl)amino-2-trifluoroacetylanthranilic acid, which was stirred with DCC in EtOAc to afford I (YA = N-carbobenzoxy-L-prolyl; R = H; X = CF3) (II). II showed an IC50 of 2.2×10^{-6} M against human sputum elastase with selectivity over bovine chymotrypsin of 7.7, vs. 6.2×10^{-6} M and 0.10 selectivity for I (R = YA = H; X = CF3).

IT 121285-18-5P 121285-22-1P

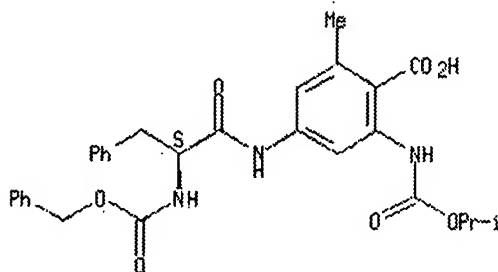
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, in prepn. of benzoxazinone serine protease inhibitors)

RN 121285-18-5 CAPLUS

CN Benzoic acid, 2-methyl-6-[[[(1-methylethoxy)carbonyl]amino]-4-[[[1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

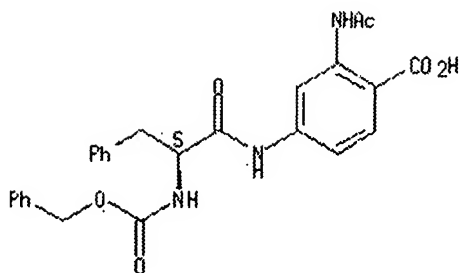


RN 121285-22-1 CAPLUS

CN Benzoic acid, 2-(acetylamino)-4-[[[1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

STN Columbus



IT 121284-98-8P 121285-01-6P 121285-08-3P

121285-09-4P 121285-10-7P 121285-11-8P

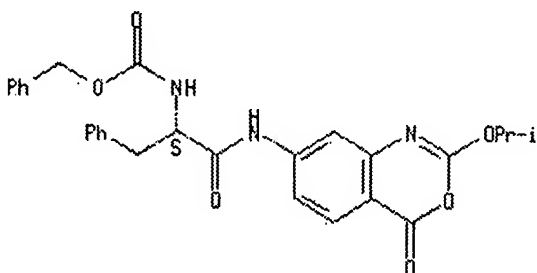
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of, as serine protease inhibitor)

RN 121284-98-8 CAPLUS

CN Carbamic acid, [2-[[2-(1-methylethoxy)-4-oxo-4H-3,1-benzoxazin-7-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

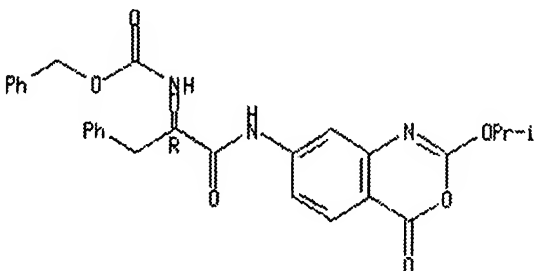
Absolute stereochemistry.



RN 121285-01-6 CAPLUS

CN Carbamic acid, [2-[[2-(1-methylethoxy)-4-oxo-4H-3,1-benzoxazin-7-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

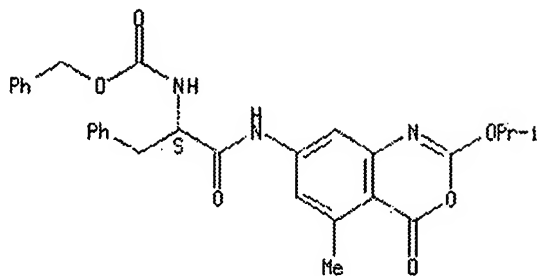


RN 121285-08-3 CAPLUS

CN Carbamic acid, [2-[[5-methyl-2-(1-methylethoxy)-4-oxo-4H-3,1-benzoxazin-7-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

STN Columbus

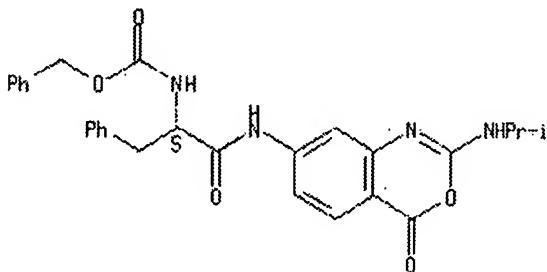
Absolute stereochemistry.



RN 121285-09-4 CAPLUS

CN Carbamic acid, [2-[[2-[(1-methylethyl)amino]-4-oxo-4H-3,1-benzoxazin-7-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI)
(CA INDEX NAME)

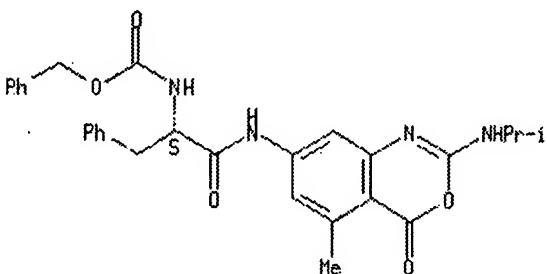
Absolute stereochemistry.



RN 121285-10-7 CAPLUS

CN Carbamic acid, [2-[[5-methyl-2-[(1-methylethyl)amino]-4-oxo-4H-3,1-benzoxazin-7-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

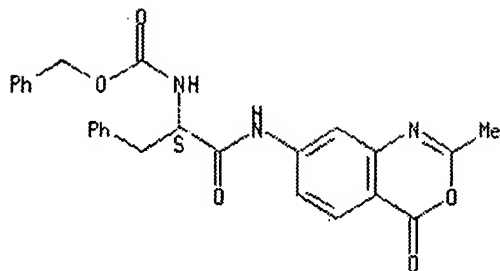
Absolute stereochemistry.



RN 121285-11-8 CAPLUS

CN Carbamic acid, [2-[[2-methyl-4-oxo-4H-3,1-benzoxazin-7-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 100 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1989:154822 CAPLUS

DN 110:154822

TI Synthesis and antiviral activity of 6-amino- and 6-dimethylamino-9-(aminoacylamidobenzyl)purines

AU Kelley, James L.; Miller, Carl A.; Selway, John W. T.; Schaeffer, Howard J.

CS Wellcome Res. Lab., Research Triangle Park, NC, 27709, USA

SO European Journal of Medicinal Chemistry (1988), 23(4), 319-23

CODEN: EJMCA5; ISSN: 0223-5234

DT Journal

LA English

OS CASREACT 110:154822

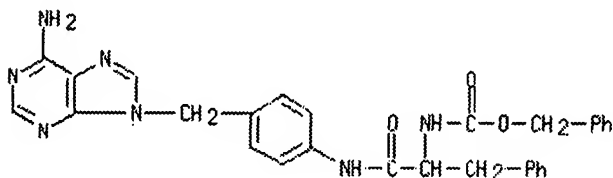
AB Title compds. I (R = H, Me; R1 = o-, n-, p-H-Gly-NH, H-Leu-NH, H-Phe-NH) were prep'd. from the nitrobenzylpurines. Only I (R = Me, R1 = m-H-Phe-NH) and the intermediate I (R = Me, R1 = m - NH2) had activity against rhinovirus 1B.

IT 119805-62-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrogenolysis of)

RN 119805-62-8 CAPLUS

CN Carbamic acid, [2-[[4-[(6-amino-9H-purin-9-yl)methyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



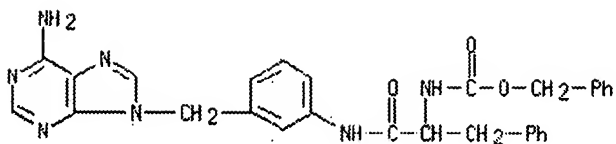
IT 119805-80-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and virucidal activity of)

RN 119805-80-0 CAPLUS

CN Carbamic acid, [2-[[3-[(6-amino-9H-purin-9-yl)methyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

STN Columbus



IT 119805-54-8P 119805-59-3P 119805-69-5P

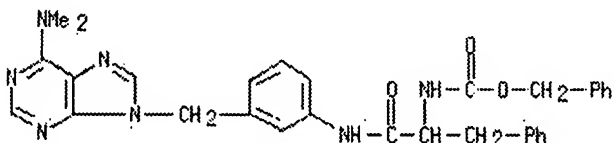
119805-70-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn., hydrogenolysis, and virucidal activity of)

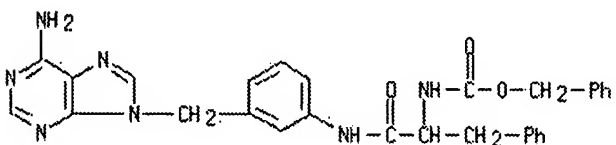
RN 119805-54-8 CAPLUS

CN Carbamic acid, [2-[[3-[[6-(dimethylamino)-9H-purin-9-yl]methyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 119805-59-3 CAPLUS

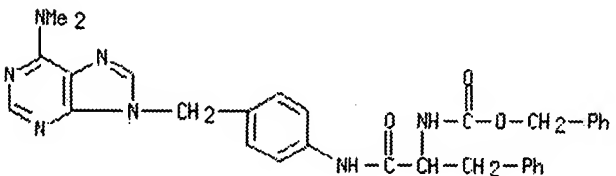
CN Carbamic acid, [2-[[3-[[6-amino-9H-purin-9-yl]methyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



* HCl

RN 119805-69-5 CAPLUS

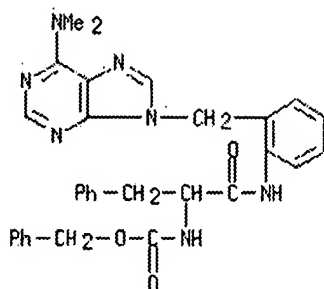
CN Carbamic acid, [2-[[4-[[6-(dimethylamino)-9H-purin-9-yl]methyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 119805-70-8 CAPLUS

CN Carbamic acid, [2-[[2-[[6-(dimethylamino)-9H-purin-9-yl]methyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester

(9CI) (CA INDEX NAME)



L9 ANSWER 101 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

[Full Text](#)

AN 1988:434355 CAPLUS

DN 109:34355

TI β -Naphthylamides of guanidinophenyl amino acids as substrates of aminopeptidases

AU Tsunematsu, Hideaki; Aratani, Hidekazu; Mizusaki, Koichi; Hatanaka, Yoshihiro; Kawata, Shuji; Yamamoto, Magobei; Makisumi, Satoru

CS Fac. Pharm. Sci., Fukuoka Univ., Fukuoka, 814-01, Japan

SO Chemical Pharmaceutical Bulletin (1988), 36(3), 1205-9

CODEN: CPBTAL; ISSN: 0009-2363

DT Journal

LA English

AB β -Naphthylamides of p-guanidino-L-phenylalanine (GPA) and p-guanidino-DL-phenylglycine (GPG) were synthesized and tested as substrates of bovine leukocyte aminopeptidase (BL-APase) and porcine liver aminopeptidase B (PL-APase B) in comparison with L-arginine β -naphthylamide (Arg- β NA). BL-APase-catalyzed hydrolysis of GPA- β NA proceeded as fast as that of Arg- β NA, while the rate of hydrolysis of GPG- β NA was much slower. The specificity const. (V_{max}/K_m) for the hydrolysis of GPA- β NA by BL-APase was somewhat larger than that for the hydrolysis of Arg- β NA. The benzene ring in the side chain of GPA- β NA is considered to contribute to the binding of this substrate to the specificity site of this enzyme, based on a comparison of the K_m values for the 2 β -naphthylamide substrates. Substrate inhibition was obsd. with BL-APase in the hydrolysis of GPA- β NA in the substrate concn. range higher than ~ 0.1 mM. Neither GPA- β NA nor GPG- β NA was hydrolyzed by PL-APase B and they inhibited the hydrolysis of Arg- β NA by this enzyme. GPA- β NA is expected to be a useful substrate in the study of the binding and catalytic specificities of aminopeptidases.

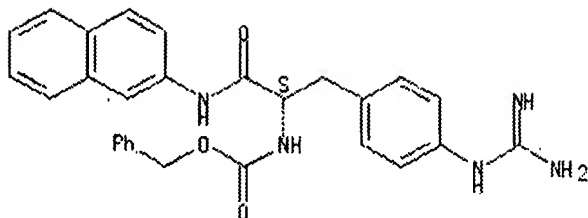
IT 99795-08-1

RL: RCT (Reactant); RACT (Reactant or reagent)
(deprotection of)

RN 99795-08-1 CAPLUS

CN Carbamic acid, [1-[[4-[(aminoiminomethyl)amino]phenyl]methyl]-2-(2-naphthalenylamino)-2-oxoethyl]-, phenylmethyl ester, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



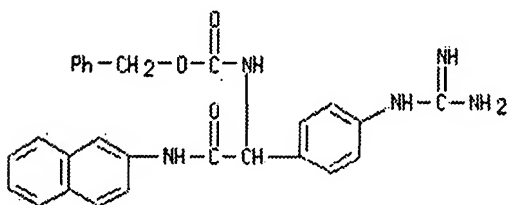
HCl

IT 115087-96-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and deprotection of)

RN 115087-96-2 CAPLUS

CN Carbamic acid, [1-[4-[(aminoiminomethyl)amino]phenyl]-2-(2-
naphthalenylamino)-2-oxoethyl]-, phenylmethyl ester, monohydrochloride
(9CI) (CA INDEX NAME)



HCl

L9 ANSWER 102 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1988:94889 CAPLUS

DN 108:94889

TI Amino acids and peptides. Part CCI. Papain-catalyzed synthesis of
2-naphthylamides of N-acyl amino acids and dipeptides

AU Cerovsky, Vaclav; Saks, T.; Josi, Karel

CS Inst. Org. Chem. Biochem., Czech. Acad. Sci., Prague, 166 10, Czech.

SO Collection of Czechoslovak Chemical Communications (1987), 52(9), 2309-16
CODEN: CCCCAK; ISSN: 0366-547X

DT Journal

LA English

OS CASREACT 108:94889

AB 2-Naphthylamides I [R = PhCH₂O₂C (Z), X = Gly, Ala, Phe, Glu, Cys(CH₂Ph),
Leu; R = Me₃CO₂C, X = Phe, Tyr] were prepd. by the papain-catalyzed
condensation of R-X-OH with 2-naphthylamine. Z-Cys(CH₂Ph)-NHR₁ [R₁ =
C₆H₄R₂ (R₂ = H, 4-Me, 3-Me, 2-Me, 4-Cl, 4-Br, 4-NHAc, 4-CO₂Me, 4-OH),
1-naphthyl, CH₂Ph] and Z-Ala-NHR₁ (R₁ = Ph, C₆H₄Me-4, C₆H₄-4,
1-naphthyl) were prepd. similarly. Dipeptides II (X₁-X₂ = Gly-Phe,
Ser-Tyr) were prepd. by papain-catalyzed peptide coupling reactions.

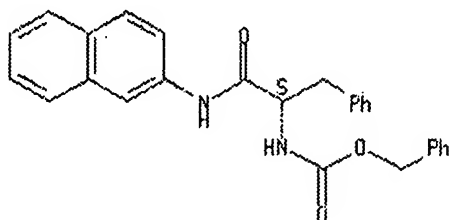
IT 16876-73-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, by papain-catalyzed amidation)

STN Columbus

RN 16876-73-6 CAPLUS
 CN Carbamic acid, [2-(2-naphthalenylamino)-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 103 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1988:21895 CAPLUS
 DN 108:21895
 TI Preparation of benzimidazole derivatives as ulcer inhibitors
 IN Hirai, Kentaro; Mizushima, Takao
 PA Shionogi and Co., Ltd., Japan
 SO Jpn. Kokai Tokkyo Koho, 24 pp.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 62185078	A2	19870813	JP 1986-26441	19860207
				JP 1986-26441	19860207

AB The title compds. (I) [m = 0, 1; n = 1-3; R = H, alkyl, alkanoyl, (un)substituted aminoacyl, haloacetyl, etc.; R1 = H, alkoxy, alkoxy carbonyl, CF3; R2 = H, alkyl; R3, R4 = H, alkyl, alkoxy, alkoxy carbonyl, CF3; X = CH, N], useful as ulcer inhibitors, are prepd. A mixt. of benzyl alc. II (R5 = OH) and SOCl2 in benzene was refluxed for 1 h and the resulting II (R5 = Cl) stirred with 2-mercaptobenzimidazole in aq. EtOH contg. NaOH for 2 h to give 91.8% I (m = 0, n = 1, R1-R4 = H, R = CO2CH2Ph, X = CH) (III). I (m = 1, n = 1, R1-R4 = H, R = CO2CH2Ph, X = CH), obtained by oxidn. of II with m-ClC6H4C(O)OOH, at 3 mg/kg, i.p. reduced 68% stomach acid release in rats. Antiulcer tablets (150 mg each) were prepd. contg. III 25, lactose 100, wheat starch 15, gelatin 5, and Mg stearate 5 mg.

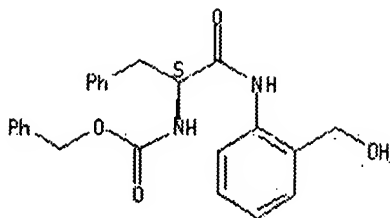
IT 111881-69-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and sulfenylation of, by mercaptobenzimidazole derivs.)

RN 111881-69-7 CAPLUS
 CN Carbamic acid, [2-[[2-(hydroxymethyl)phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

STN Columbus



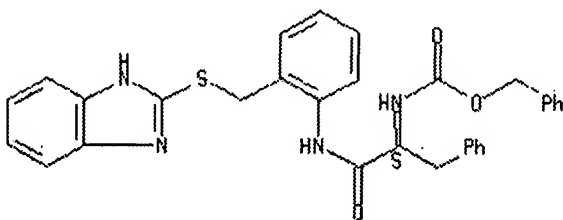
IT 111881-38-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as ulcer inhibitor)

RN 111881-38-0 CAPLUS

CN Carbamic acid, [2-[[2-[(1H-benzimidazol-2-ylthio)methyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 104 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1987:120192 CAPLUS

DN 106:120192

TI Fluorogenic substrates for chymotrypsin with new fluorescent markers

AU Kokotos, George; Tzougraki, Chrysa

CS Lab. Org. Chem., Univ. Athens, Athens, Greece

SO International Journal of Peptide Protein Research (1986), 28(2), 186-91
CODEN: IJPPC3; ISSN: 0367-8377

DT Journal

LA English

OS CASREACT 106:120192

AB Coumarins I (Glt = glutaryl) and II (R = H, NHAc) and quinolinone III were prepd. as fluorogenic substrates for chymotrypsin. The fluorescence properties of the above compds. and their corresponding free amines were examd. III is a suitable substrate for chymotrypsin detn.; the enzymic release of fluorophore aminoquinolinone IV was measured at λ_{ex} = 360 nm and λ_{em} = 435 nm. The detection limit of chymotrypsin was 10 ng/mL when III was used as the substrate.

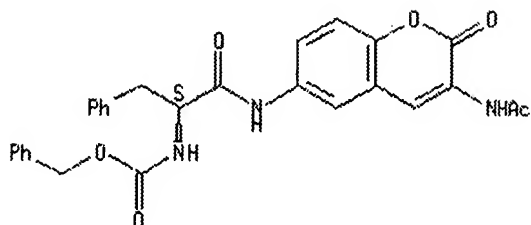
IT 97126-28-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrogenolysis of)

RN 97126-28-8 CAPLUS

CN Carbamic acid, [2-[[3-(acetylamino)-2-oxo-2H-1-benzopyran-6-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



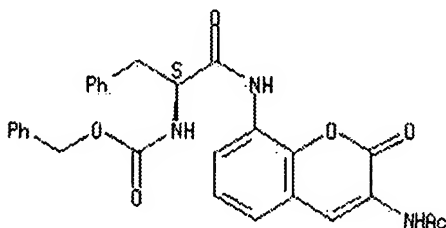
IT 97126-29-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 97126-29-9 CAPLUS

CN Carbamic acid, [2-[[[3-(acetylamino)-2-oxo-2H-1-benzopyran-8-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 105 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1986:588568 CAPLUS

DN 105:188568

TI A new serine protease which preferentially recognizes p-guanidino-L-phenylalanyl residue in ascitic plasma from Ehrlich ascites tumor-bearing mice

AU Tsunematsu, Hideaki; Mizusaki, Koichi; Hantanaka, Yoshihiro; Nishi, Akihiro; Makisumi, Satoru; Okamoto, Koji; Tsunematsu, Yoshihiro

CS Fac. Pharm. Sci., Fukuoka Univ., Japan

SO Ensho (1986), 6(2), 148-52

CODEN: ENSHEE; ISSN: 0389-4290

DT Journal

LA Japanese

AB A new enzyme which hydrolyzes anilide substrates of p-guanidino-L-phenylalanine in preference to those of arginine was found in the ascitic plasma from Ehrlich ascites tumor-bearing mice. The activity of this enzyme on N α -benzyloxycarbonyl-p-guanidino-L-phenylalanine p-nitroanilide was strongly inhibited by diisopropylfluorophosphate and phenylmethanesulfonyl fluoride but not by sulfhydryl-reactive reagents and metal chelating agents. Peptide substrates contg. p-guanidino-L-phenylalanine were hydrolyzed by this enzyme much faster than those contg. arginine. Apparently, this enzyme is a different type of serine protease than trypsin and thrombin. This enzyme was also found in the human gastric and colon cancer cells and their surrounding ascitic plasmas.

IT 95603-03-5

RL: RCT (Reactant); RACT (Reactant or reagent)

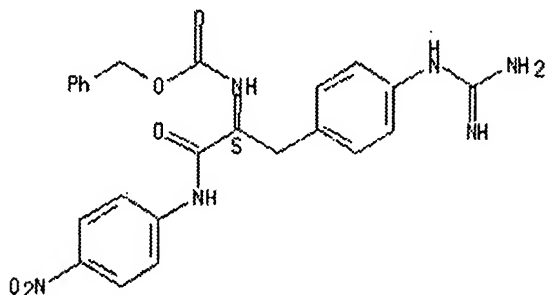
STN Columbus

(hydrolysis of, by serine protease of blood plasma of Ehrlich ascites tumor-bearing host)

RN 95603-03-5 CAPLUS

CN Carbamic acid, [1-[[4-[(aminoiminomethyl)amino]phenyl]methyl]-2-[(4-nitrophenyl)amino]-2-oxoethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 106 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1986:420760 CAPLUS

DN 105:20760

TI Enzyme assay by chemiluminescent (CL) leaving group

AU Branchini, Bruce R.; Salituro, Gino M.

CS Biomed. Res. Inst., Univ. Wisconsin-Parkside, Kenosha, WI, USA

SO Biolumin. Chemilumin.: Instrum. Appl. (1985), Volume 2, 25-39.

Editor(s): Van Dyke, Knox. Publisher: CRC, Boca Raton, Fla.

CODEN: 54MPAS

DT Conference

LA English

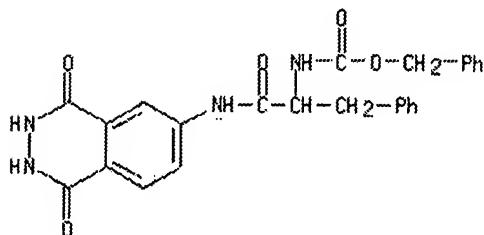
AB The synthesis and immobilization of synthetic, fluorescent peptide substrate derivs. [protected (tert-butoxycarbonyl-, benzloxycarbonyl-, and succinyl-) peptide isoluminal derivs.] of serine proteinases (chymotrypsin, thrombin, and trypsin) and the kinetic properties and selectivity of these substrates in enzyme fluorescent assays are systematically compared.

IT 101970-13-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 101970-13-2 CAPLUS

CN Carbamic acid, [2-oxo-1-(phenylmethyl)-2-[(1,2,3,4-tetrahydro-1,4-dioxo-6-phthalazinyl)amino]ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)



STN Columbus

L9 ANSWER 107 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1986:221198 CAPLUS

DN 104:221198

TI Inhibition of α -chymotrypsin by Suc-L-Tyr-D-Leu-D-Phe-pNA, a stereoisomer of a specific substrate

AU Okada, Yoshio; Tsuda, Yuko; Teno, Naoki; Nagamatsu, Yoko; Okamoto, Utako; Nishi, Norio

CS Fac. Pharm. Sci., Kobe-Gakuin Univ., Kobe, 673, Japan

SO Chemical Pharmaceutical Bulletin (1985), 33(12), 5301-9

CODEN: CPBTAL; ISSN: 0009-2363

DT Journal

LA English

AB Stereoisomers of specific chromogenic substrates for various enzymes were synthesized by a conventional soln. method. Among them, Suc-L-Tyr-D-Leu-D-Phe-p-nitroanilide (where Suc is succinyl) was found to be an effective and specific inhibitor of chymotrypsin. However, Suc-L-Tyr-D-Leu-D-Phe-4-methylpiperidine did not show any inhibitory effect on chymotrypsin. The role of the p-nitroanilide moiety of the above stereoisomer was investigated, and it was found that the p-nitroanilide moiety participated in binding with some part of the enzyme, resulting in the manifestation of the inhibitory activity.

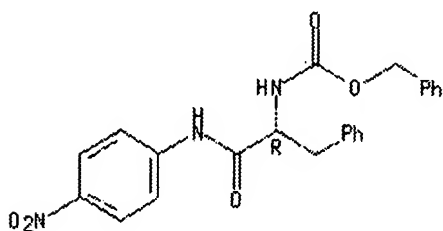
IT 14235-15-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deprotection of)

RN 14235-15-5 CAPLUS

CN Carbamic acid, [(1R)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 108 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1986:207114 CAPLUS

DN 104:207114

TI Possible antimalarial agents: syntheses of 6-methoxy-8-substituted-aminoquinolines

AU Bhat, Balkrishen; Bhaduri, A. P.

CS Div. Med. Chem., Cent. Drug Res. Inst., Lucknow, 226 001, India

SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1985), 24B(4), 419-23

CODEN: IJSBDB; ISSN: 0376-4699

DT Journal

LA English

OS CASREACT 104:207114

AB Syntheses of 8-(2-amino-2-alkyl- or aryl-ethylamino)-6-methoxyquinolines, 8-[2-(β -amino- β -alkyl- or aryylethylamino)-2-methylethylamino]-6-

STN Columbus

methoxyquinolines e.g. I (X = H₂) 8-(2-hydroxy-3-substituted-phenoxypropylamino)-6-methoxyquinolines, e.g. II and 8-[N-(2-hydroxy-3-phenoxypropyl)-N-methylamino]-6-methoxyquinoline are described. Thus, 8-amino-6-methoxyquinoline was treated with (o-nitrophenyl)oxirane to give 64% II.

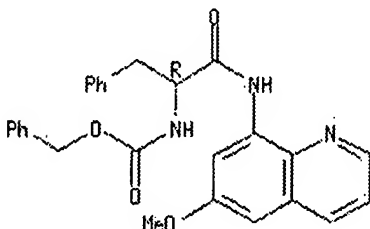
IT 102096-28-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deprotection of)

RN 102096-28-6 CAPLUS

CN Carbamic acid, [2-[(6-methoxy-8-quinoliny)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 109 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1986:64676 CAPLUS

DN 104:64676

TI Interactions of derivatives of guanidinophenylalanine and guanidinophenylglycine with *Streptomyces griseus* trypsin

AU Hatanaka, Yoshihiro; Tsunematsu, Hideaki; Mizusaki, Koichi; Makisumi, Satoru

CS Fac. Sci., Kyushu Univ., Fukuoka, 812, Japan

SO Biochimica et Biophysica Acta (1985), 832(3), 274-9

CODEN: BBACAQ; ISSN: 0006-3002

DT Journal

LA English

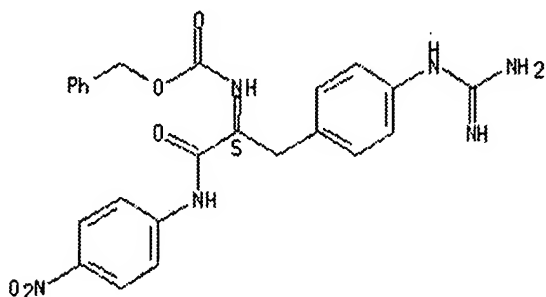
AB The rates of hydrolysis of ester, amide, and anilide substrates contg. p-guanidino-L-phenylalanine (GPA) by *S. griseus* trypsin (I) were compared with those of arginine (Arg)-contg. substrates. The specificity const. (k_{cat}/K_m, where k_{cat} is the catalytic const.) for the hydrolysis of GPA substrates by I was 2- to 3-fold lower than that for arginine substrates. The k_{cat} and K_m values for the hydrolysis of N α -benzoyl-p-guanidino-L-phenylalanine Et ester (Bz-GPA-OEt) by I were the same order of magnitude as those of N α -benzoyl-L-arginine Et ester (Bz-Arg-OEt), although both values for the former when hydrolyzed by bovine trypsin (II) were higher by 1 order of magnitude than those for the latter. The specificity const. for the hydrolysis of Bz-GPA-OEt by I was much higher than that for N α -benzoyl-p-guanidino-L-phenylglycine Et ester (Bz-GPG-OEt). As with the kinetic behavior of II, low values in K_m and k_{cat} were obsd. for the hydrolysis of amide and anilide substrates of GPA by I compared with those of Arg-contg. substrates. The rates of hydrolysis of GPA and Arg-contg. substrates by I were approx. 2- to 62-fold higher than those obtained by II. Substrate activation was obsd. with I in the hydrolysis of Bz-GPA-OEt as well as Bz-Arg-OEt, whereas substrate inhibition was obsd. with 3 kinds of N α -protected anilide substrates of GPA and Arg. In contrast, no activation by the amide substrate of GPA could be detected with this enzyme.

IT 95603-03-5

STN Columbus

RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, with Streptomyces griseus and bovine trypsins, kinetics of)
 RN 95603-03-5 CAPLUS
 CN Carbamic acid, [1-[[4-[(aminoiminomethyl)amino]phenyl]methyl]-2-[(4-nitrophenyl)amino]-2-oxoethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 110 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1986:47762 CAPLUS

DN 104:47762

TI A new β -naphthylamide substrate of p-guanidino-L-phenylalanine for trypsin and related enzymes

AU Tsunematsu, Hideaki; Ando, Kumi; Hatanaka, Yoshihiro; Mizusaki, Koichi; Isobe, Ryuichi; Makisumi, Satoru

CS Fac. Sci., Kyushu Univ., Fukuoka, 812, Japan

SO Journal of Biochemistry (Tokyo, Japan) (1985), 98(6), 1597-602

CODEN: JOBIAO; ISSN: 0021-924X

DT Journal

LA English

AB N α -Benzyloxycarbonyl-p-guanidino-L-phenylalanine β -naphthylamide (I) was synthesized and the susceptibility of this compd. to trypsin and related enzymes was compared with that of N α -benzyloxycarbonyl-L-arginine β -naphthylamide (II). Both I and II were rapidly and almost completely hydrolyzed by trypsin and Pronase. II was hydrolyzed slowly by thrombin, whereas I was not susceptible to hydrolysis by this enzyme. The rate of hydrolysis of I by papain was slower than that of II. Neither I nor II was hydrolyzed by chymotrypsin. The specificity const. (kcat/Km, where kcat is the catalytic const.) for the hydrolysis of I by trypsin was somewhat larger than that for the hydrolysis of II. Contributions of the benzene ring in the side-chain of I good binding of this substrate to the enzyme specificity site and to the poor fit of the scissile bond in the substrate mol. to the active serine residue are presumed from comparison of the individual kinetic parameters (Km and kcat) for I and II. I was ascertained to be a useful substrate in the study of the binding and catalytic specificities of various trypsin-like enzymes.

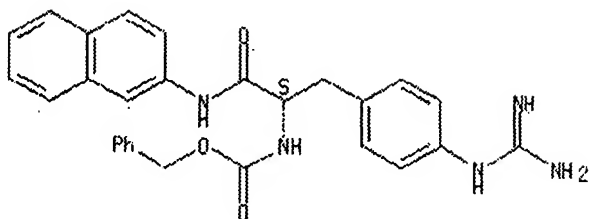
IT 99795-08-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and reaction kinetics with trypsin)

RN 99795-08-1 CAPLUS

CN Carbamic acid, [1-[[4-[(aminoiminomethyl)amino]phenyl]methyl]-2-(2-naphthalenylamino)-2-oxoethyl]-, phenylmethyl ester, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



HCl

L9 ANSWER 111 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1985:542327 CAPLUS

DN 103:142327

TI Peptide synthesis, I. A new carboxamide synthesis

AU Gante, Joachim; Kahlenberg, Harald; Lauterbach, Guenter; Weitzel, Reinhard

CS Pharmaforsch., E. Merck Darmstadt, Darmstadt, D-6100, Fed. Rep. Ger.

SO Chemiker-Zeitung (1985), 109(4), 155-6

CODEN: CMKZAT; ISSN: 0009-2894

DT Journal

LA German

OS CASREACT 103:142327

AB Ureas I (R = Bz, R1 = H, R2 = Ph, CH2Ph, CH2CO2H; R = BzNHCH2CO, PhCH2O2C-Phe, R1 = H, R2 = CH2CO2Et; R = BzNHCH2CO, Me3CO2C-Leu, NR1R2 = Pro-OCMe3) were heated at 90-110° to give the corresponding carboxamides RCONR1R2 in 65-80% yields with elimination of benzimidazolone II. I were prepd. by std. methods.

IT 98379-03-4P

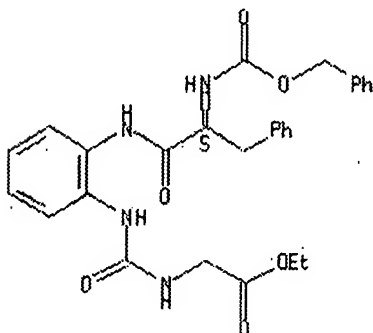
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and rearrangement-elimination reaction of)

RN 98379-03-4 CAPLUS

CN Glycine, N-[[[2-[[1-oxo-3-phenyl-2-[[{(phenylmethoxy)carbonyl]amino]propyl]amino]phenyl]amino]carbonyl]-, ethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



STN Columbus

L9 ANSWER 112 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1985:542321 CAPLUS

DN 103:142321

TI Condensation of amino acids with meso-(2-aminophenyl)porphyrins

AU Lecas, Alexandra; Renko, Zafiarisoa; Rose, Eric

CS Lab. Synth. Org. Organomet., Paris, 75230, Fr.

SO Tetrahedron Letters (1985), 26(8), 1019-22

CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LA French

OS CASREACT 103:142321

AB Porphyrin I (R = H) was condensed with protected amino acids ZNHCHR1CO2H (Z = PhCH2O2C; R1 = CH2Ph, Me) and BocNHCHMeCO2H (II, Boc = Me3CO2C) by ClCO2CH2CHMe2 to give I (R = ZNHCHR1CO and BocNHCHMeCO), whereas the condensation of porphyrin III (R2 = H) with II gave III (R2 = BocNHCHMeCO). I [R = H2NCH(CH2Ph)CO] (IV) and III [R2 = H2NCH(CH2Ph)CO] (V) were prepd. by Z- or Boc-deblocking of the corresponding protected derivs. IV was acylated with ClCO(CH2)8COCl to give bridged porphyrin VI. Analogously, V was acylated with ClCO(CH2)8COCl to give the corresponding bridged porphyrin.

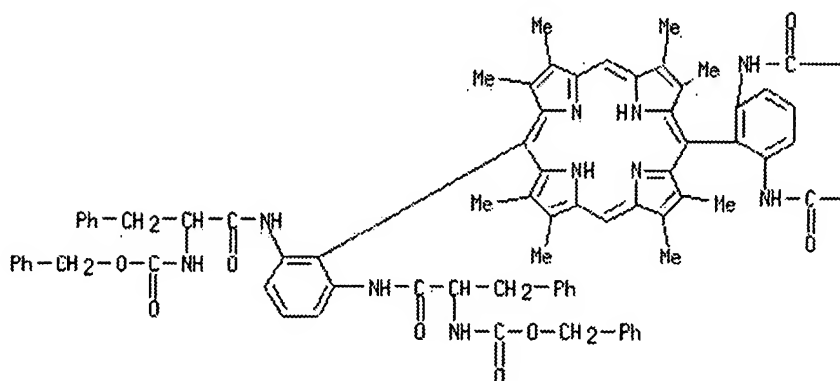
IT 98229-29-9P

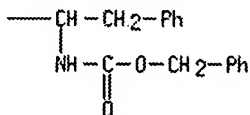
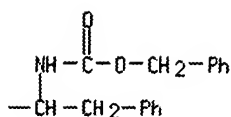
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrogenolysis of)

RN 98229-29-9 CAPLUS

CN Carbamic acid, [(2,3,7,8,12,13,17,18-octamethyl-21H,23H-porphine-5,15-diyl)bis[2,1,3-benzenetriylbis(imino[2-oxo-1-(phenylmethyl)-2,1-ethanediyl]]]]tetrakis-, tetrakis(phenylmethyl) ester, stereoisomer (9CI)
(CA INDEX NAME)

PAGE 1-A





L9 ANSWER 113 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1985:505280 CAPLUS

DN 103:105280

TI Activation of carboxylic acids by pyrocarbonates. Application of dialkyl pyrocarbonates as condensing reagents in synthesis of arylamides of protected amino acids

AU Pozdnev, V. F.

CS Inst. Biol. Med. Chem., Moscow, USSR

SO Bioorganicheskaya Khimiya (1985), 11(5), 583-9

CODEN: BIKHD7; ISSN: 0132-3423

DT Journal

LA Russian

AB Pyrocarbonates (RO₂C)₂O (R = Me₃C, EtCHMe, Me₂CH, Et) were used as reagents for the acylation of 2-naphthylamine, 4-methylcoumarin-7-amine, 4-aminoazobenzene, and 4-nitroaniline with N-acylated amino acids.

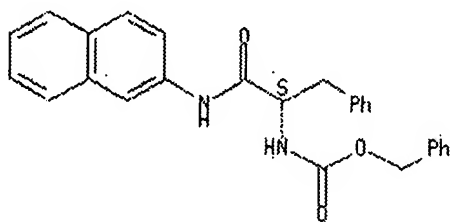
IT 16876-73-6P 75957-51-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 16876-73-6 CAPLUS

CN Carbamic acid, [2-(2-naphthalenylamino)-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

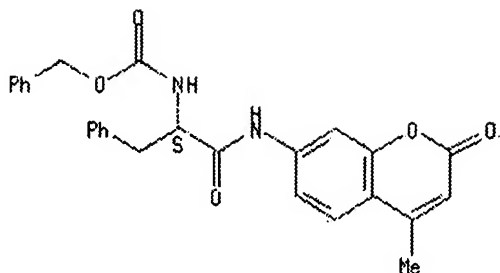
Absolute stereochemistry.



RN 75957-51-6 CAPLUS

CN Carbamic acid, [2-[(4-methyl-2-oxo-2H-1-benzopyran-7-yl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 114 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1985:484003 CAPLUS

DN 103:84003

TI A new serine protease which preferentially recognizes p-guanidino-L-phenylalanyl residue in ascitic plasma from Ehrlich ascites tumor-bearing mice

AU Tsunematsu, Hideaki; Mizusaki, Koichi; Makisumi, Satoru; Okamoto, Koji; Tsunematsu, Yoshihiro

CS Fac. Sci., Kyushu Univ., Fukuoka, 812, Japan

SO Biochemical and Biophysical Research Communications (1985), 128(3), 1233-8
CODEN: BBRCA9; ISSN: 0006-291X

DT Journal

LA English

AB A new enzyme which hydrolyzes anilide substrates of p-guanidino-L-phenylalanine in preference to those of arginine was found in the ascitic plasma from Ehrlich ascites tumor-bearing mice. The activity of this enzyme on N α -benzyloxycarbonyl-p-guanidino-L-phenylalanine p-nitroanilide (I) was strongly inhibited by diisopropyl fluorophosphate and phenylmethanesulfonyl fluoride, but not by SH-reactive reagents and metal-chelating agents. Peptide substrates contg. p-guanidino-L-phenylalanine were hydrolyzed by this enzyme much faster than those contg. arginine. This enzyme is apparently a different type of serine protease from trypsin and thrombin. It was also found in the human gastric and colon cancer cells and their surrounding ascitic plasmas.

IT 95603-03-5

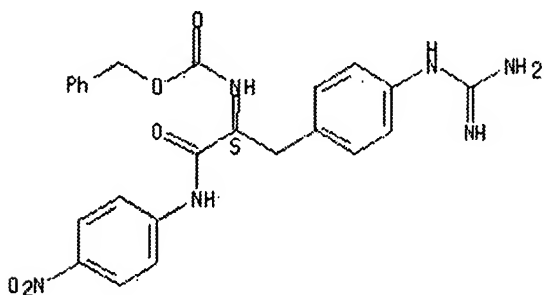
RL: BIOL (Biological study)

(guanidinophenylalanine-specific serine proteinase of ascitic fluid of Ehrlich ascites carcinoma specificity for)

RN 95603-03-5 CAPLUS

CN Carbamic acid, [1-[[4-[(aminoiminomethyl)amino]phenyl]methyl]-2-[(4-nitrophenyl)amino]-2-oxoethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 115 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1985:467281 CAPLUS

DN 103:67281

TI Amino acid and peptide derivatives of dimethyl 5-aminoisophthalate as fluorogenic substrates for proteinases

AU Baggett, N.; Blake, N.; Boukouvalas, J.; Samra, A. K.; Gray, C. J.

CS Dep. Chem., Univ. Birmingham, Birmingham, B15 2TT, UK

SO Enzyme and Microbial Technology (1985), 7(6), 300-5

CODEN: EMTED2; ISSN: 0141-0229

DT Journal

LA English

AB A no. of amino acid and peptide derivs. of the fluorophore, di-Me 5-aminoisophthalate were synthesized, characterized, and tested as substrates for the plant cysteine proteinases papain, ficin, and bromelain. In every case, replacement of alanine by citrulline in the position adjacent to the di-Me 5-aminoisophthalate resulted in a higher rate of hydrolysis. The partly deprotected dipeptide deriv. di-Me phenylalanylcitrulline-5-aminoisophthalate was hydrolyzed most rapidly of all the compds. tested, and on this basis may provide a useful substrate for the detection and quant. assay of these enzymes.

IT 97508-15-1P

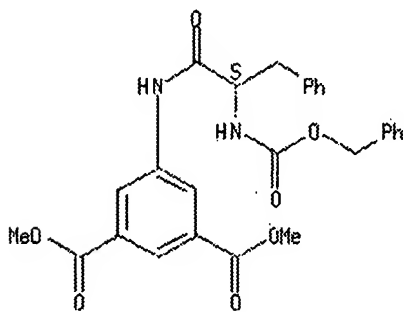
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction with cysteine proteinases)

RN 97508-15-1 CAPLUS

CN 1,3-Benzenedicarboxylic acid, 5-[[[1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]-, dimethyl ester, (S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 116 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1985:433819 CAPLUS

DN 103:33819

TI New fluorogenic substrates for chymotrypsin

AU Kokotos, George; Tzougraki, Chryssa; Photaki, Iphigenia

CS Lab. Org. Chem., Univ. Athens, Athens, 106 80, Greece

SO Pept., Proc. Eur. Pept. Symp., 18th (1984), 489-92. Editor(s):

Ragnarsson, Ulf. Publisher: Almqvist Wiksell, Stockholm, Swed.

CODEN: 53PWAN

DT Conference

LA English

AB The fluorescence properties of synthetic chymotrypsin fluorogenic substrates and their free amines are reported. The substrates are

STN Columbus

glutarylphenylalanyl-NHRx, where the RxNH groups are 3-aminocoumarin, 6-aminocoumarin, 3-acetamido-6-aminocoumarin, 3-acetamido-8-aminocoumarin, and 7-amino-4-methyl-2-quinolinone (AMQ). AMQ and its corresponding substrate are the most fluorescent of the compds. examd., with distinctly different emission max. and intensities. The relative fluorescence of AMQ (at 435 nm) is ~1000-fold greater than that of an equimolar substrate soln. (at 360 nm). Thus, sensitive fluorometric assays of the enzyme are obtained with AMQ-contg. substrates.

IT 97126-28-8 97126-29-9

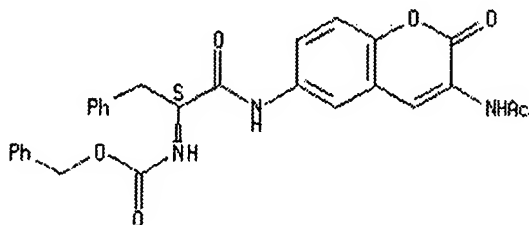
RL: PRP (Properties)

(fluorescence of, chymotrypsin detn. in relation to)

RN 97126-28-8 CAPLUS

CN Carbamic acid, [2-[[3-(acetylamino)-2-oxo-2H-1-benzopyran-6-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

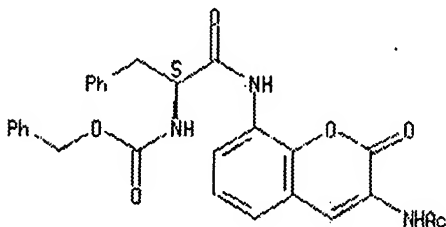
Absolute stereochemistry.



RN 97126-29-9 CAPLUS

CN Carbamic acid, [2-[[3-(acetylamino)-2-oxo-2H-1-benzopyran-8-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 117 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1985:167161 CAPLUS

DN 102:167161

TI Synthesis of some amides of α -amino acids

AU Kwapiszewski, Wincenty; Borkowski, Leszek; Koziej, Piotr; Pirianowicz, Elzbieta; Uzieblo, Adam

CS Inst. Drug Sci., Sch. Med., Warsaw, 02-097, Pol.

SO Acta Poloniae Pharmaceutica (1984), 41(4), 411-23

CODEN: APPHAX; ISSN: 0001-6837

DT Journal

LA Polish

AB PhCH2O2C-X-R (R = 1-pyrrolidinyl, piperidino, morpholino, 2,6-xylidino; X = amino acid residue, e.g., Gly, Ala, DL-Ala, Met, Phe) were prepd. in

STN Columbus

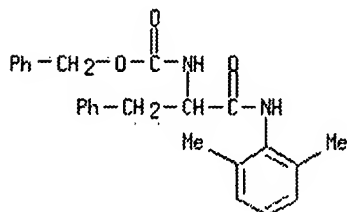
35-83% yields by the mixed anhydride method.

IT 95922-34-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 95922-34-2 CAPLUS

CN Carbamic acid, [2-[(2,6-dimethylphenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 118 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1985:145203 CAPLUS

DN 102:145203

TI Kinetics of hydrolysis of amide and anilide substrates of
p-guanidino-L-phenylalanine by bovine and porcine trypsins

AU Tsunematsu, Hideaki; Nishimura, Hiroaki; Mizusaki, Koichi; Makisumi,
Satoru

CS Fac. Sci., Kyushu Univ., Fukuoka, 812, Japan

SO Journal of Biochemistry (Tokyo, Japan) (1985), 97(2), 617-23

CODEN: JOBIAO; ISSN: 0021-924X

DT Journal

LA English

AB The rates of hydrolysis of N α -benzoyl-p-guanidino-L-phenylalaninamide (BZ-GPA-NH₂) and N α -substituted p-nitroanilides (pNA) of GPA [(benzyloxycarbonyl) (Z)-GPA-pNA, benzoyl (Bz)-GPA-pNA, and acetyl (Ac)-GPA-pNA] by bovine and porcine trypsins were compared with those of arginine (Arg) substrates. The amide type substrates of GPA were hydrolyzed as fast as those of Arg by the 2 enzymes with much the same kcat/Km values, though significant differences were found between the kcat and Km values of GPA derivs. and those of Arg derivs. The kinetic behavior of porcine trypsin toward GPA substrates was almost the same as that of the bovine enzyme. The ratio of the kcat value for Bz-GPA-OEt to that for Bz-GPA-NH₂ was much larger than that for the ester to amide substrates of Arg, suggesting that the conformational change of the active site of trypsin induced by a benzene ring in the side chain of Bz-GPA-OEt specifically increases the velocity of the deacylation process of the ester substrate. Remarkably low values of both kcat and Km were found for the tryptic hydrolysis of Z-GPA-pNA and Ac-GPA-pNA, as well as on that of Bz-GPA-pNA. Z-GPA-pNA is the best substrate for the 2 trypsins among the 3 N α -substituted anilide substrates of GPA. Substrate activation was obsd. with bovine trypsin in the hydrolysis of the 3 anilide substrates of GPA in a substrate concn. range >5.0 \times 10⁻⁴M, but it was found with the porcine enzyme only in the hydrolysis of Z-GPA-pNA. In contrast, no activation by the amide substrate of GPA could be detected with either enzyme.

IT 86879-08-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with hydrogen bromide)

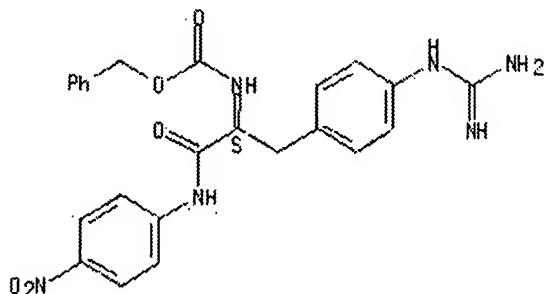
RN 86879-08-5 CAPLUS

CN Carbamic acid, [1-[[4-[(aminoiminomethyl)amino]phenyl]methyl]-2-[(4-

STN Columbus

nitrophenyl)amino]-2-oxoethyl]-, phenylmethyl ester, monohydrochloride,
(S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



* HCl

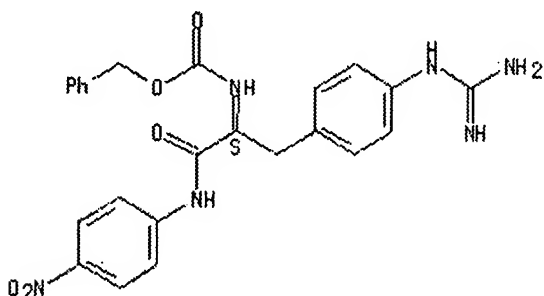
IT 95603-03-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with trypsin, kinetics of)

RN 95603-03-5 CAPLUS

CN Carbamic acid, [1-[[4-[(aminoiminomethyl)amino]phenyl]methyl]-2-[(4-nitrophenyl)amino]-2-oxoethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 119 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1984:486284 CAPLUS

DN 101:86284

TI Synthesis and kinetic studies of protease substrates containing the
1-methyl-6-aminoquinolinium ion as a fluorogenic leaving group

AU Andrade-Gordon, Patricia; Gordon, David; Brynes, Paul J.; Wu, Cheng Wen

CS Dep. Pharmacol. Sci., State Univ. New York, Stony Brook, NY, 11794, USA

SO Journal of Medicinal Chemistry (1984), 27(9), 1166-70

CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

AB Several sensitive substrates for porcine pancreatic elastase,
chymotrypsin, and trypsin were prepd. that utilize the permanently charged
fluorogenic cation 1-methyl-6-aminoquinoline (MAQ+) as the leaving group.

STN Columbus

Kinetic rates for the hydrolysis of substrates were detd. fluorimetrically and compared with analogs having 6-aminoquinoline (6-AQ) as an uncharged leaving group. Substrates contg. the quaternized leaving group generally have a higher k_{cat}/K_m ratio. An exception to this trend was noted with a trypsin substrate, Bz-DL-Arg-MAQ⁺, where Bz is benzoyl. During the course of this investigation, several significant advantages of the MAQ⁺ ion as a fluorogenic leaving group in protease substrates were found: (1) its appearance can be measured fluorometrically by using wavelengths of light that result in its maximal fluorescence, whereas under these conditions, the unhydrolyzed substrate is essentially nonfluorescent; (2) it confers a high degree of water soly. to hydrophobic peptides, thereby eliminating the need for org. cosolvents to dissolve substrates; and (3) quaternized substrates can be prepd. readily and in good yield from the corresponding 6-(peptidylamido)quinolines. These pos. charged synthetic fluorogenic substrates are, therefore, useful probes for investigating the steric and electronic properties of the active-site environment of proteolytic enzymes.

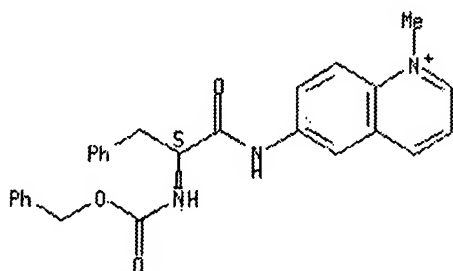
IT 90606-02-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction kinetics with trypsin)

RN 90606-02-3 CAPLUS

CN Quinolinium, 1-methyl-6-[[1-oxo-3-phenyl-2-[(phenylmethoxy)carbonyl]amino]propyl]amino]-, iodide, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



I⁻

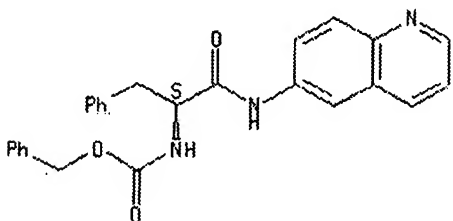
IT 80115-53-3

RL: RCT (Reactant); RACT (Reactant or reagent)
(quaternization of, with Me iodide)

RN 80115-53-3 CAPLUS

CN Carbamic acid, [2-oxo-1-(phenylmethyl)-2-(6-quinolinylamino)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



STN Columbus

L9 ANSWER 120 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1984:175283 CAPLUS

DN 100:175283

TI Arylamides of N α -substituted amino acids and peptides

IN Pozdnev, V. F.

PA Institute of Biological and Medical Chemistry, Academy of Medical Sciences, U.S.S.R., USSR

SO U.S.S.R.

From: Otkrytiya, Izobret., Prom. Obrazttsy, Tovarnye Znaki 1983, (40), 91.

CODEN: URXXAF

DT Patent

LA Russian

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	SU 1051062	A1	19831030	SU 1982-3439328	19820517
				SU 1982-3439328	19820517

OS CASREACT 100:175283

AB Title compds. RXNHR1 (R = PhCH₂O₂C, Me₃CO₂C; X = Phe, Pro, Gly-Pro; R₁ = 4-methyl-7-coumaryl, 2-naphthyl, 4-PhN:NC₆H₄) were prepd. by treating RXOH with R₁NH₂ in an aprotic org. solvent in the presence of a tertiary amine and a dialkyl pyrocarbonate as condensing agent.

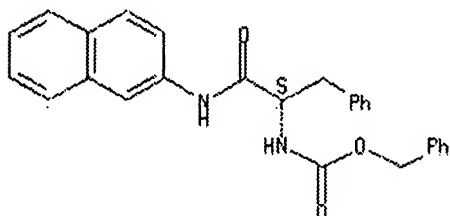
IT 16876-73-6P 89732-76-3P 89732-77-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 16876-73-6 CAPLUS

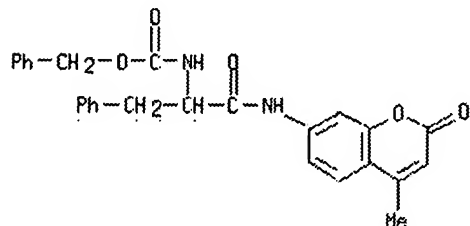
CN Carbamic acid, [2-(2-naphthalenylamino)-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 89732-76-3 CAPLUS

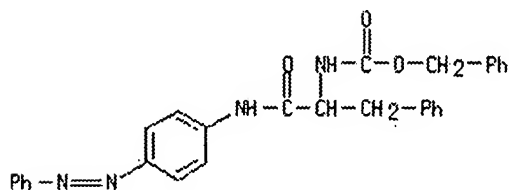
CN Carbamic acid, [2-[(4-methyl-2-oxo-2H-1-benzopyran-7-yl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 89732-77-4 CAPLUS

STN Columbus

CN Carbamic acid, [2-oxo-2-[[4-(phenylazo)phenyl]amino]-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 121 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1984:68707 CAPLUS

DN 100:68707

TI A synthetic approach to peptides of o- and p-aminobenzoic acids

AU Stewart, Frederick H. C.

CS Div. Protein Chem., CSIRO, Parkville, 3052, Australia

SO Australian Journal of Chemistry (1983), 36(8), 1629-38

CODEN: AJCHAS; ISSN: 0004-9425

DT Journal

LA English

AB Active esters of o- and p-aminobenzoic acids served as coupling components in peptide syntheses without concomitant protection of the relatively inert arom. amino group. The products were then treated directly with benzyloxycarbonyl amino acid sym. anhydrides to form higher peptide derivs. An analog of leucine-enkephalin, with the glycylglycyl segment replaced by a p-aminobenzoyl residue, was prepd. by this route.

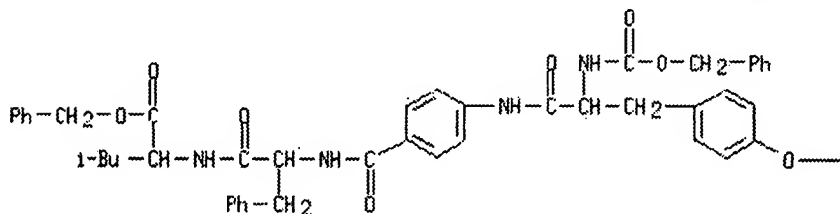
IT 88744-54-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deprotection of)

RN 88744-54-1 CAPLUS

CN L-Leucine, N-[N-[4-[[1-oxo-2-[[[(phenylmethoxy)carbonyl]amino]-3-[4-(phenylmethoxy)phenyl]propyl]amino]benzoyl]-L-phenylalanyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

PAGE 1-A



—CH₂—Ph

L9 ANSWER 122 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1983:558867 CAPLUS

DN 99:158867

TI Cyclohexyl- and phenyl-substituted enkephalins

IN Mazur, Robert Henry; Tyner, David Anson; Hallinan, Eleanor Ann

PA Searle, G. D., and Co., USA

SO Eur. Pat. Appl., 37 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 81838	A1	19830622	EP 1982-111547	19821213
	EP 81838	B1	19880427		
	R: BE, CH, DE, FR, GB, IT, LI, NL, SE				
	US 4407746	A	19831004	US 1981-330614	19811214
	DK 8205537	A	19830615	US 1981-330614	19811214
				DK 1982-5537	19821213
				US 1981-330614	19811214
	NO 8204187	A	19830615	NO 1982-4187	19821213
				US 1981-330614	19811214
	ZA 8209134	A	19840229	ZA 1982-9134	19821213
				US 1981-330614	19811214
	AU 554580	B2	19860828	AU 1982-91426	19821213
	AU 8291426	A1	19830623		
				US 1981-330614	19811214
	JP 58109460	A2	19830629	JP 1982-219111	19821214
	JP 03059920	B4	19910912		
				US 1981-330614	19811214
	ES 518189	A1	19840516	ES 1982-518189	19821214
				US 1981-330614	19811214

OS CASREACT 99:158867

AB Enkephalin analogs I [R = H, C1-6 alkyl; R1, R2 = H, C1-6 alkyl; R3 = C1-6 alkyl, C2-6 alkylthioalkyl, C2-6 alkylsulfinylalkyl, C2-6 alkoxyalkyl; R4 = substituted Ph or cyclohexyl; X = NHC(:CHPh)CO, NR6CHR7CO; R6 = H, C1-6 alkyl; R7 = cyclohexylmethyl, CH2Ph, CH2C6H4NO2-p] were prepd. as analgesics (no data). Thus, m-H2NC6H4CO2H was esterified with MeOH/SOCl2 to give m-H2NC6H4CO2Me.HCl, which was condensed with Z-Phe-OH (Z = PhCH2O2C) by ClCO2CH2CHMe2 in CH2Cl2 contg. N-methylmorpholine (II) to give Z-Phe-NHC6H4CO2Me-m. The latter was Z-deblocked by HBr/HOAc to give H-Phe-NHC6H4CO2Me-m.HBr, which was condensed with Boc-Tyr-D-Met-Gly-OH (Boc = Me3CO2C) by ClCO2CH2CHMe2 in CH2Cl2/DMF contg. II to give Boc-Tyr-D-Met-Gly-Phe-NHC6H4CO2Me-m, which was Boc-deblocked by HCl/dioxane to give H-Tyr-D-Met-Gly-Phe-NHC6H4CO2Me-m.HCl.

IT 87360-25-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and deblocking of)

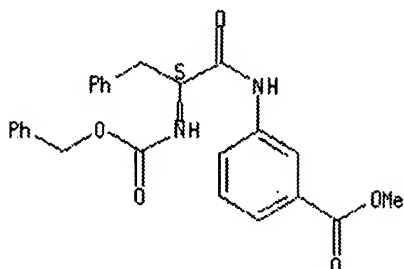
RN 87360-25-6 CAPLUS

CN Benzoic acid, 3-[[1-oxo-3-phenyl-2-[(phenylmethoxy)carbonyl]amino]propyl]

STN Columbus

amino]-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 123 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1983:539903 CAPLUS

DN 99:139903

TI Some new piperazino derivatives as antiparkinson and anticonvulsant agents

AU Agarwal, Jagdish C.; Nath, Chandishwar; Sharma, Manju; Gupta, Gyan P.; Bhargava, Krishna P.; Shanker, Kripa

CS Dep. Pharmacol. Ther., King George's Med. Coll., Lucknow, India

SO Archiv der Pharmazie (Weinheim, Germany) (1983), 316(8), 690-4

CODEN: ARPMAS; ISSN: 0365-6233

DT Journal

LA English

AB The anticonvulsant and antiparkinson piperazines I (R = H, 2-Me, 4-Me; R1 = H, PhCH2, 4-HOC6H4CH2) were prepd. by amidating the aminophenylpiperazines II with HO2CCHR1NHCO2CH2Ph in the presence of dicyclohexylcarbodiimide. I (R = H, R1 = PhCH2) completely abolished reserpine induced rigidity and protected against maximal elec. seizures by 80%.

IT 87119-82-2P 87119-83-3P 87119-84-4P

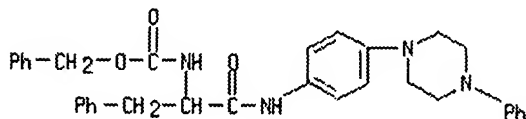
87119-85-5P 87119-86-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. and anticonvulsant and antiparkinson activity of)

RN 87119-82-2 CAPLUS

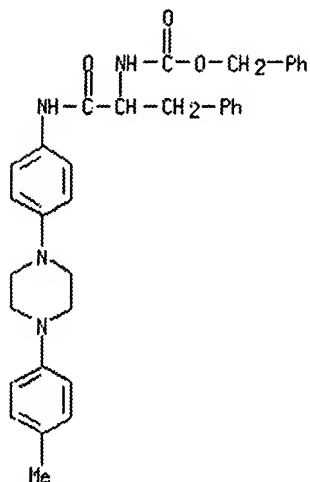
CN Carbamic acid, [2-oxo-1-(phenylmethyl)-2-[[4-(4-phenyl-1-piperazinyl)phenyl]amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 87119-83-3 CAPLUS

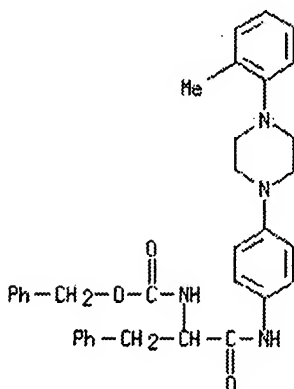
CN Carbamic acid, [2-[[4-[4-(4-methylphenyl)-1-piperazinyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

STN Columbus



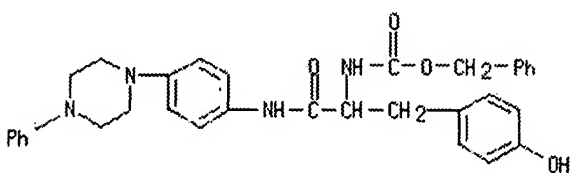
RN 87119-84-4 CAPLUS

CN Carbamic acid, [2-[[4-[(2-methylphenyl)-1-piperazinyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



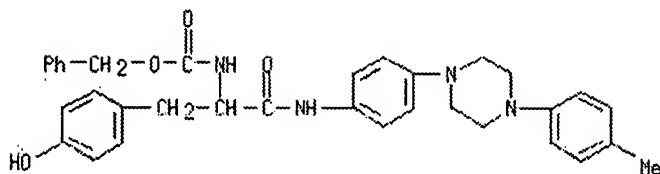
RN 87119-85-5 CAPLUS

CN Carbamic acid, [1-[(4-hydroxyphenyl)methyl]-2-oxo-2-[[4-(4-phenyl-1-piperazinyl)phenyl]amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 87119-86-6 CAPLUS

CN Carbamic acid, [1-[(4-hydroxyphenyl)methyl]-2-[[4-[4-(4-methylphenyl)-1-piperazinyl]phenyl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 124 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1983:515562 CAPLUS

DN 99:115562

TI Hypoglycemic compounds. Sulfanilylurea derivatives containing amino acids and dipeptides. I

AU Vicentini, C. B.; Guarneri, M.; Sarto, G.

CS Ist. Chim. Farm. Tossicol., Univ. Ferrara, Ferrara, Italy

SO Farmaco, Edizione Scientifica (1983), 38(8), 595-602

CODEN: FRPSAX; ISSN: 0430-0920

DT Journal

LA English

AB The 17 sulfanilylurea derivs. R-NH-C₆H₄-SO₂-NH-CO-NH-C₆H₁₁ (R = H or free or benzyloxycarbonyl-blocked Gly, Ala, Val, Leu, Phe, Gly-Gly, Leu-Ala, or Leu-Leu) were prep'd. and screened for hypoglycemic activity in rats. Derivs. with blocked amino acids showed appreciably lower activity than those having free amino acids. Ala-substituted compds. appeared more active than those contg. the other amino acid residues. Metabolic studies with 1 of the derivs. showed that it was metabolized to the amino acid-free parent compd., suggesting that the activity of the compds. is primarily assocd. with the sulfanilylamide fragment, while the amino acid moiety may modulate bioavailability.

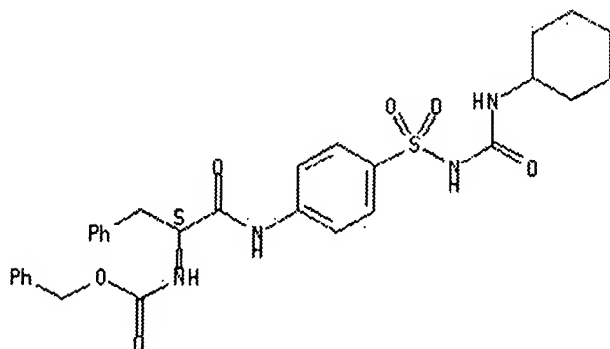
IT 86933-13-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and hypoglycemic activity of)

RN 86933-13-3 CAPLUS

CN Carbamic acid, [2-[[4-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



STN Columbus

L9 ANSWER 125 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1983:501488 CAPLUS

DN 99:101488

TI Kinetics of hydrolysis of N α -benzoyl-p-guanidino-L-phenylalanine p-nitroanilide by trypsin

AU Tsunematsu, Hideaki; Imamura, Takayuki; Makisumi, Satoru

CS Fac. Sci., Kyushu Univ., Fukuoka, 812, Japan

SO Journal of Biochemistry (Tokyo, Japan) (1983), 94(1), 123-8

CODEN: JOBIAO; ISSN: 0021-924X

DT Journal

LA English

AB A chromogenic trypsin substrate, N α -benzoyl-p-guanidino-L-phenylalanine p-nitroanilide (I), was synthesized. I was a good substrate for bovine trypsin ($K_m = 1.56 \times 10^{-5}$ M, $k_{cat} = 0.081$ s $^{-1}$, at pH 8.2) and was hydrolyzed as fast as N α -benzoyl-L-arginine p-nitroanilide (II) with much the same k_{cat}/K_m values. However, the values were 2 orders of magnitude smaller than those for the ester substrates, N α -benzoyl-p-guanidino-L-phenylalanine Et ester and N α -benzoyl-L-arginine Et ester. Substrate activation behavior was obsd. on tryptic hydrolysis of I in a substrate concn. range greater than -5.0×10^{-4} M in analogy with the trypsin-II system.

IT 86879-08-5P

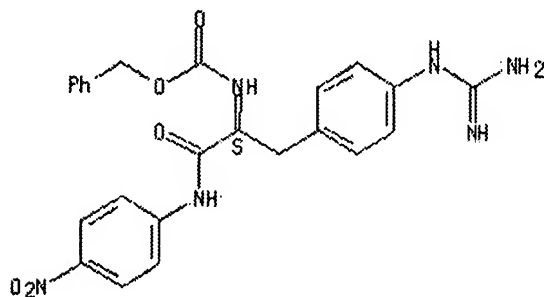
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and deprotection and benzylation of)

RN 86879-08-5 CAPLUS

CN Carbamic acid, [1-[[4-[(aminoiminomethyl)amino]phenyl]methyl]-2-[(4-nitrophenyl)amino]-2-oxoethyl]-, phenylmethyl ester, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



HCl

L9 ANSWER 126 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1983:488219 CAPLUS

DN 99:88219

TI Pyridazinone derivatives

IN Katakami, Tsutomu; Fukazawa, Nobuyuki; Iizuka, Hajime; Nishina, Takashi; Kamiya, Joji; Tanaka, Yasuhito; Nakano, Takuo

PA Mitsui Toatsu Chemicals, Inc., Japan

SO PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DT Patent

STN Columbus

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 8301447	A1	19830428	WO 1982-JP415	19821020
	W: US				
	RW: DE, FR, GB				
				JP 1981-166437	19811020
				JP 1981-166438	19811020
				JP 1981-209937	19811228
	JP 58069867	A2	19830426	JP 1981-166437	19811020
	JP 60058234	B4	19851219		
	JP 58069868	A2	19830426	JP 1981-166438	19811020
	JP 05026780	B4	19930419		
	JP 58113179	A2	19830705	JP 1981-209937	19811228
	JP 03053303	B4	19910814		
	EP 107735	A1	19840509	EP 1982-903181	19821020
	EP 107735	B1	19881019		
	R: DE, FR, GB				
				JP 1981-166437	19811020
				JP 1981-166438	19811020
				JP 1981-209937	19811228
	US 4639451	A	19870127	US 1983-504039	19830603
				JP 1981-166437	19811020
				JP 1981-166438	19811020
				JP 1981-209937	19811228
				WO 1982-JP415	19821020
	US 4965263	A	19901023	US 1989-310505	19890214
				JP 1981-166437	19811020
				JP 1981-166438	19811020
				JP 1981-209937	19811228
				US 1983-504039	19830603
				US 1986-913687	19860925

OS CASREACT 99:88219

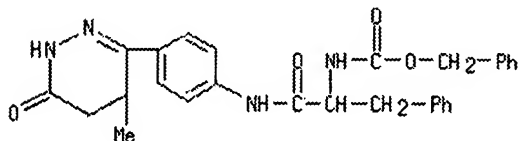
AB The title compds. I [R = (substituted) aryl, etc; R1, R2 = H, alkyl] were prep'd. by acylation of the appropriate (aminophenyl)pyridazinones with RCO2H or their reactive derivs. Thus, stirring a mixt. of 1.2 g salicylic chloride, 1.0 g 6-(p-aminophenyl)-5-methyl-4,5-dihydro-3(2H)-pyridazinone, and 10 mL benzene at 50° for 6 h gave 750 mg I (R = o-HOC6H4, R1 = Me, R2 = H). I at 4 mg/kg had antihypertensive and blood platelet aggregation-inhibiting activities comparable to those of hydralazine in rats.

IT 86800-39-7P 86800-47-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and pharmacol. activities of)

RN 86800-39-7 CAPLUS

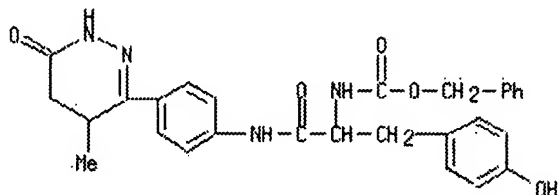
CN Carbamic acid, [2-oxo-1-(phenylmethyl)-2-[[4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)phenyl]amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 86800-47-7 CAPLUS .

STN Columbus

CN Carbamic acid, [1-[(4-hydroxyphenyl)methyl]-2-oxo-2-[[4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)phenyl]amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 127 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1983:215960 CAPLUS

DN 98:215960

TI Synthesis of chromogenic substrates specific for human spleen fibrinolytic proteinase (SFP) and human leukocyte elastase (LE)

AU Okada, Yoshio; Tsuda, Yuko; Hirata, Akio; Nagamatsu, Yoko; Okamoto, Utako

CS Fac. Pharm. Sci., Kobe-Gakuin Univ., Kobe, 673, Japan

SO Chemical Pharmaceutical Bulletin (1982), 30(11), 4060-8

CODEN: CPBTAL; ISSN: 0009-2363

DT Journal

LA English

AB Various peptide anilides [e.g., succinyl tripeptide p-nitroanilides Suc-Tyr-Leu-X-NHC6H4NO2-p (I; Suc = succinyl; X = Val, Ile, Ala, Leu, etc.)] were prep'd. by conventional soln. methods with the object of obtaining specific substrates for human fibrinolytic proteinase (SFP) and human leukocyte elastase (LE) and for comparing the substrate specificity of SFP with that of LE. I (X = Ala), among the various other I, exhibited the highest kcat/Km values for hydrolysis by SFP and LE, however, the tetrapeptide Suc-Ala-Tyr-Leu-Val-NHC6H4NO2-p [kcat/Km values (M-1s-1) for hydrolysis by SFP and LE: 84000 and 48000, resp.] was the preferred chromogenic substrate for SFP and LE because of its high soly. in the buffer and its moderate kcat/Km values. The substrate specificity of SFP was found to be similar to that of LE.

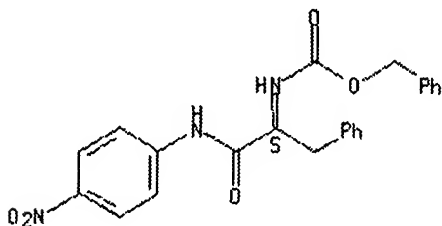
IT 19647-71-3

RL: RCT (Reactant); RACT (Reactant or reagent)
(deprotection of)

RN 19647-71-3 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 128 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

STN Columbus

Full Text

AN 1982:406792 CAPLUS
 DN 97:6792
 TI Peptide substrates for determination of protease activity
 IN Simonsson, Leif Roger; Arielly, Salo; Aurell, Leif Erik; Claeson, Karl
 Goran
 PA Kabivitrum AB, Swed.
 SO Eur. Pat. Appl., 35 pp.
 CODEN: EPXXDW
 DT Patent
 LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 46742	A1	19820303	EP 1981-850139	19810824
	EP 46742	B1	19840627		
	R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	WO 8200641	A1	19820304	SE 1980-5940	19800825
	W: JP, SU			WO 1981-SE235	19810824
	JP 57501234	T2	19820715	SE 1980-5940	19800825
				JP 1981-502904	19810824
				SE 1980-5940	19800825
				WO 1981-SE235	19810824
	AT 8130	E	19840715	AT 1981-850139	19810824
				SE 1980-5940	19800825
				EP 1981-850139	19810824
	SU 1233806	A3	19860523	SU 1982-3496751	19820923
				SE 1980-5940	19800825
				WO 1981-SE235	19810824
	US 4748116	A	19880531	US 1987-53569	19870521
				SE 1980-5940	19800825
				US 1981-294127	19810819

AB Luminol and isoluminol peptide amide derivs. I and II, resp., [R = H or acyl; X = Val, Ile, Ala, Gly, null; X1 = Pro, Phe, Gly, Val, pyroGlu, Leu, Glu(pip) (pip = piperidino), Ala, Glu, Glu(OMe), Arg, Ile, Tyr, null; X2 = Phe, Pro, Leu, Ser, Gly, Val, Ala, null; X3 = Arg, Lys, Tyr, Phe, Ala, Val, Pro] were prepd. as luminescent substrates for detg. protease activity. Thus, Boc-Lys(Z)-OH (Boc = Me3CO2C, Z = CO2CH2Ph) was amidated with 4-amino-N-methylphthalimide (4-ANMP) by PC13 to give Boc-Lys(Z)-4-ANMP, which was elongated to Boc-Val-Leu-Lys(Z)-4-ANMP (III) by conventional peptide coupling methods in soln. III was Z-deblocked to give Boc-Val-Leu-Lys-4-ANMP, which was deblocked by hydrazinolysis to give isoluminol amide IV. IV was used for protease activity detns.

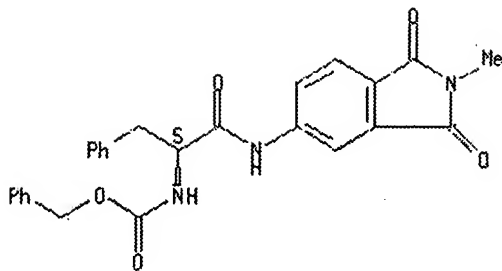
IT 77303-13-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and partial deblocking-peptide coupling reaction of)

RN 77303-13-0 CAPLUS

CN Carbamic acid, [2-[(2,3-dihydro-2-methyl-1,3-dioxo-1H-isoindol-5-yl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 129 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1982:16409 CAPLUS

DN 96:16409

TI 6-Aminoquinoline as a fluorogenic leaving group in peptide cleavage reactions: a new fluorogenic substrate for chymotrypsin

AU Brynes, Paul J.; Bevilacqua, Paula; Green, Adam

CS Dep. Pharmacol. Sci., State Univ. New York, Stony Brook, NY, 11794, USA

SO Analytical Biochemistry (1981), 116(2), 408-13

CODEN: ANBCA2; ISSN: 0003-2697

DT Journal

LA English

AB A fluorogenic substrate capable of measuring the amidolytic activity of chymotrypsin and based on the enzyme-catalyzed release of a highly fluorescent arom. amine, 6-aminoquinoline, was prepd. The substrate, 6-(N-glutaryl-L-phenylalanylamido)quinoline (I), reacted with chymotrypsin at pH 8.0 and 25°, had Km and kcat values of 1.77 mM and 1.4 × 10⁻¹ s⁻¹, resp. The aminoquinoline is a unique leaving group in that its appearance can be measured fluorometrically at its excitation and emission max., whereas, under these conditions, fluorescence assocd. with unhydrolyzed substrate is negligible.

IT 80115-53-3P

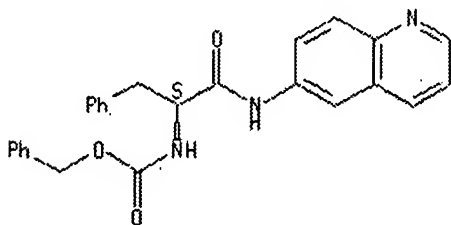
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and deprotection of)

RN 80115-53-3 CAPLUS

CN Carbamic acid, [2-oxo-1-(phenylmethyl)-2-(6-quinolinylamino)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 130 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1981:438128 CAPLUS

DN 95:38128

TI Chromogenic compounds and their use as enzymic substrates

IN Karges, Hermann Erich; Heber, Helmut; Uhmann, Rainer; Teetz, Volker;

STN Columbus

Geiger, Rolf
 PA Behringwerke A.-G., Fed. Rep. Ger.
 SO Eur. Pat. Appl., 38 pp.
 CODEN: EPXXDW
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 25190	A2	19810318	EP 1980-105113	19800828
	EP 25190	A3	19810527		
	EP 25190	B1	19840613		
	R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
				DE 1979-2936543	19790910
	DE 2936543	A1	19810409	DE 1979-2936543	19790910
	AT 7900	E	19840615	AT 1980-105113	19800828
				DE 1979-2936543	19790910
				EP 1980-105113	19800828
	ES 494750	A1	19810816	ES 1980-494750	19800904
				DE 1979-2936543	19790910
	DK 8003828	A	19810311	DK 1980-3828	19800909
				DE 1979-2936543	19790910
	ZA 8005560	A	19810826	ZA 1980-5560	19800909
				DE 1979-2936543	19790910
	JP 56055361	A2	19810515	JP 1980-127077	19800910
				DE 1979-2936543	19790910
	US 4457866	A	19840703	US 1982-435610	19821019
				DE 1979-2936543	19790910
				US 1980-185007	19800908

AB The prepn. and use of substrates of the general formula, W-P-B-X-NH-R, where W = H, acyl, benzene, or toluene residues, P = an amino acid residue or a di- or hexapeptide whose side chains can be substituted, B = arginine, lysine, phenylalanine, tyrosine, or homoarginine, X = 1 or 2 L-amino acids with substituted or unsubstituted side chains, and R = an arom. hydrocarbon residue, are described. Thus, N-carbobenzoxy-L-valine p-nitroanilide (Z-Val-pNA) was synthesized from Z-Val-OH and p-nitroaniline and reacted with HBr in acetic acid to give Z-Val-pNA.HBr, which was reacted with Boc-Arg-OH.HCl (Boc = tert-butyloxycarbonyl) followed by deprotection to yield H-Arg-Val-pNA.2 HCl. The latter was reacted with Z-Val-OTcp (Tcp = 2,4,5-trichlorophenyl) to give 2-Val-Arg-Val-pNA.HCl, which is suitable as a substrate for kallikrein detn. The prepn. of substrates for blood coagulation factor X, thrombin or thrombin inhibitor, plasmin or plasmin inhibitor, and prothrombin detn. is also described.

IT 19647-71-3P

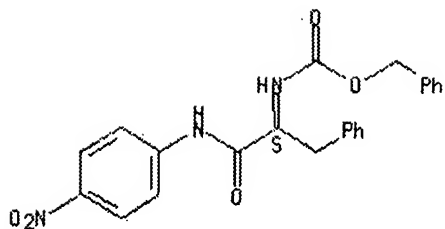
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and reaction with hydrogen bromide)

RN 19647-71-3 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

STN Columbus



L9 ANSWER 131 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1981:169967 CAPLUS

DN 94:169967

TI Sensitive enzyme assays based on the production of chemiluminescent leaving groups

AU Branchini, Bruce R.; Hermes, Jeffrey D.; Salituro, Francesco G.; Post, Nancy J.; Claeson, Goeran

CS Dep. Chem., Univ. Wisconsin, Kenosha, WI, 53141, USA

SO Analytical Biochemistry (1981), 111(1), 87-96

CODEN: ANBCA2; ISSN: 0003-2697

DT Journal

LA English

AB A new type of synthetic peptide substrate for amidase assay has been devised. The substrates are luminogenic, with potential for extremely high sensitivity, and are here exemplified by tert-butyloxycarbonyl- and benzyloxycarbonyl-Ala-Ala-Phe-isoluminolamide. The synthetic substrates were designed to release isoluminol when hydrolyzed by enzyme; isoluminol prodn. was detd. by measuring its chemiluminescence. Kinetic consts. of the luminogenic substrates were measured with chymotrypsin and levels of the enzyme as low as 50 ng were detd. conveniently. A comparison of similar luminogenic, chromogenic, and fluorogenic substrates is presented.

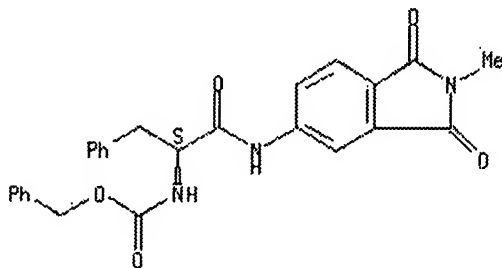
IT 77303-13-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction with hydrogen bromide)

RN 77303-13-0 CAPLUS

CN Carbamic acid, [2-[(2,3-dihydro-2-methyl-1,3-dioxo-1H-isoindol-5-yl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 132 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

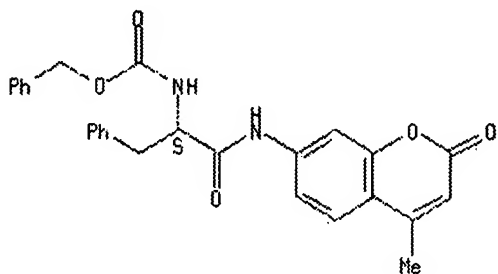
AN 1981:16040 CAPLUS

DN 94:16040

STN Columbus

TI A convenient synthesis of benzyloxycarbonyl -L-amino acid
4-methylcoumaryl-7-amides
AU Khammungskhune, S.; Sigler, G.
CS Bio-Org. Dep., Calbiochem-Behring Corp., La Jolla, CA, 92037, USA
SO Synthesis (1980), (8), 614-15
CODEN: SYNTBF; ISSN: 0039-7881
DT Journal
LA English
AB 7-Amino-4-methylcoumarin was treated with HP(O)(OEt)₂ in the presence of
Et₃N/P₂O₅ to give activated phosphoramidate I, which was treated with Z-X-OH
[Z = PhCH₂O₂C, X = Arg, Ala, Phe, Glu(OCH₂Ph)] to give the corresponding
title compds. II.
IT 75957-51-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 75957-51-6 CAPLUS
CN Carbamic acid, [2-[(4-methyl-2-oxo-2H-1-benzopyran-7-yl)amino]-2-oxo-1-
(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

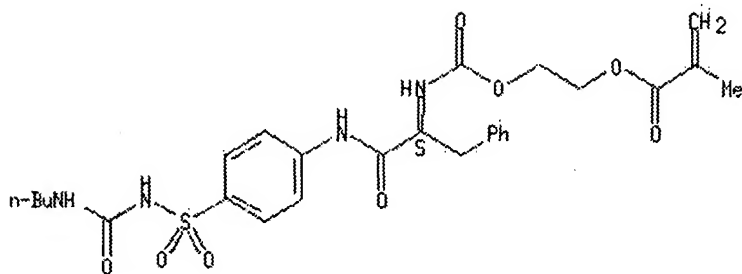
Absolute stereochemistry.



L9 ANSWER 133 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN
Full Text
AN 1980:408561 CAPLUS
DN 93:8561
TI Preparation of polymerizable derivatives of N-(4-aminobenzenesulfonyl)-N'-
butylurea
AU Obereigner, B.; Buresova, M.; Vrana, A.; Kopecek, J.
CS Inst. Macromol. Chem., Czech. Acad. Sci., Prague, 162 06, Czech.
SO Journal of Polymer Science, Polymer Symposia (1979), 66(Med. Polym.:
Chem. Probl.), 41-52
CODEN: JPYCAQ; ISSN: 0360-8905
DT Journal
LA English
AB Polymerizable derivs. of the antidiabetic N-(4-aminobenzenesulfonyl)-N'-
butylurea (I) [339-43-5] were polymd. with N-(2-
hydroxypropyl)methacrylamide. Tests with rats confirmed that I was
effective as an antidiabetic when linked to a polymeric carrier by a
strong covalent bond.
IT 73900-87-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and polymn. of, with (hydroxypropyl)methacrylamide)
RN 73900-87-5 CAPLUS
CN 2-Propenoic acid, 2-methyl-, 2-[[[2-[[4-[[[butylamino]carbonyl]amino]sul
fonyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]amino]carbonyl]oxy]ethyl
ester, monosodium salt, (S)- (9CI) (CA INDEX NAME)

STN Columbus

Absolute stereochemistry.



Na

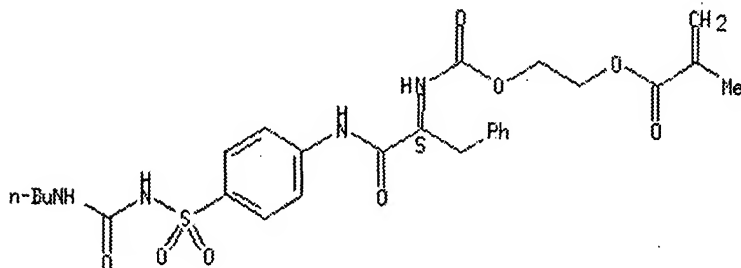
IT 73909-77-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 73909-77-0 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 2-[[[2-[[4-[[[(butylamino)carbonyl]amino]sulfonyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]amino]carbonyl]oxy]ethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 134 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1980:128781 CAPLUS

DN 92:128781

TI The formation of 2-benzyloxoxazol-5(4H)-ones from benzyloxycarbonylamino acids

AU Jones, John H.; Witty, Michael J.

CS Dyson Perrins Lab., Univ. Oxford, Oxford, OX1 3QY, UK

SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1979), (12), 3203-6

CODEN: JCPRB4; ISSN: 0300-922X

DT Journal

LA English

AB Cyclodehydration of benzyloxycarbonylamino acids by successive treatment with SOCl₂ (or COCl₂) and Et₃N gave 2-benzyloxoxazol-5(4H)-ones, and not N-benzyloxycarbonylaziridinones as reported by M. Miyoshi (1973). E.g., treatment of PhCH₂O₂CNHCHRCO₂H (R = PhCH₂, CHMe₂) with SOCl₂ followed by Et₃N gave 43-60% oxazolones I (R as before). I, which are the first 2-alkoxyoxazol-5(4H)-ones to be described, are more easily attacked by nucleophiles at position 5 and less easily ionized at position 4 than the

STN Columbus

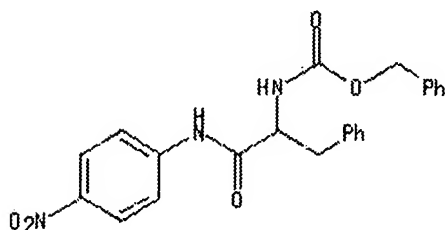
2-aryl and 2-alkyl analogs.

IT 14235-16-6P 73087-21-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

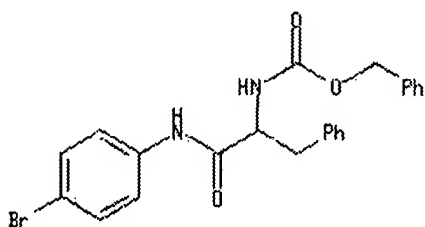
RN 14235-16-6 CAPLUS

CN Carbamic acid, [2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 73087-21-5 CAPLUS

CN Carbamic acid, [2-[(4-bromophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 135 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1979:439798 CAPLUS

DN 91:39798

TI Syntheses of two kinds of carbon-14-labeled 4-(N-benzoyl-L-tyrosyl)aminobenzoic acids

AU Yoshino, H.; Tsuchiya, Y.; Sato, T.; Kinoshita, K.; Uchiyama, M.

CS Eisai Res. Lab., Eisai Co., Ltd., Tokyo, Japan

SO Journal of Labelled Compounds and Radiopharmaceuticals (1978), 15(Suppl. Vol.), 1-6

CODEN: JLCRD4; ISSN: 0362-4803

DT Journal

LA English

AB Bz-Tyr-NHC6H414CO2H-p was prepd. (radiochem. yield 75.4%, sp. activity 79.5 μ Ci/mg) by coupling Bz-Tyr-OH with p-H2NC6H414CO2H by ClCO2Et in THF contg. p-MeC6H4SO3H. Ph14CO-Tyr-NHC6H4CO2H-p was prepd. (radiochem. yield 22.5%, sp. activity 23.4 μ Ci/mg) by coupling Z-Tyr-OH (Z = PhCH2O2C) with p-H2NC6H4CO2H by ClCO2Et, Z-deblocking the resulting amide by hydrogenolysis, and acylating the resulting H-Tyr-NHC6H4CO2H-p with Ph14CO2H by N,N'-carbonyldiimidazole.

IT 70753-78-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

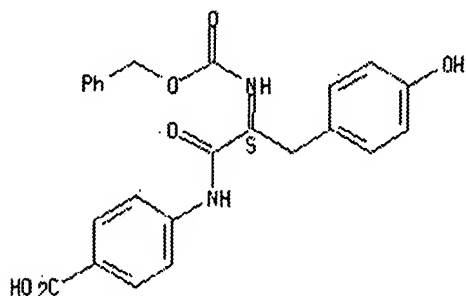
(prepn. and hydrogenolysis of)

RN 70753-78-5 CAPLUS

STN Columbus

CN Benzoic acid, 4-[[[3-(4-hydroxyphenyl)-1-oxo-2-
[[(phenylmethoxy) carbonyl] amino] propyl] amino]-, (S)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.



L9 ANSWER 136 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1978:136926 CAPLUS

DN 88:136926

TI Synthesis of amino acid derivatives of benzocaine. II. Preparation of
N-(aminoacyl)benzocaines

AU Kwapiszewski, Wincenty; Kolwas, Jan

CS Dep. Pharm. Chem., Sch. Med., Warsaw, Pol.

SO Acta Poloniae Pharmaceutica (1977), 34(3), 257-60

CODEN: APPHAX; ISSN: 0001-6837

DT Journal

LA English

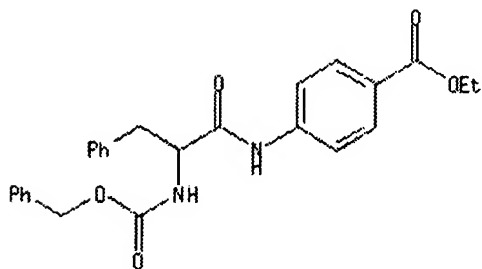
AB H-X-NHC6H4CO2Et-p [I; X = Gly, DL-Ala, DL-Val, DL-Leu, Leu, DL-Phe,
Glu(OMe)] were prepd. by deblocking PhCH2O2C-X-NHC6H4CO2Et-p with
HBr/HOAc. I (X = DL-Val, DL-Leu, Leu) were isolated as free bases,
whereas the other I derivs. were characterized as free bases and HCl and
HBr salts. I are potential local anesthetics.

IT 65321-54-2

RL: RCT (Reactant); RACT (Reactant or reagent)
(deblocking of)

RN 65321-54-2 CAPLUS

CN Benzoic acid, 4-[[[1-oxo-3-phenyl-2-[[(phenylmethoxy) carbonyl] amino] propyl]
amino]-, ethyl ester (9CI) (CA INDEX NAME)



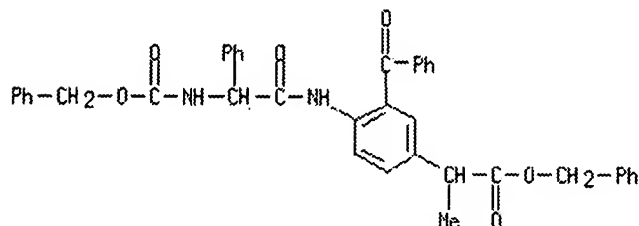
L9 ANSWER 137 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1978:105282 CAPLUS

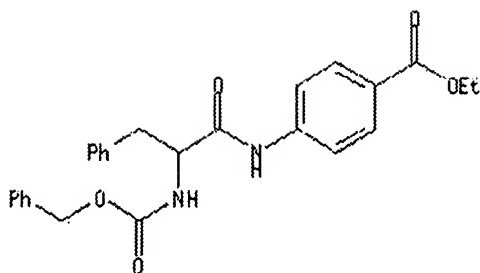
STN Columbus

DN 88:105282
 TI Synthesis of some 7- α -carboxyethyl-1,3-dihydro-(2H)-1,4-benzodiazepin-2-ones
 AU Zinic, M.; Kolbah, D.; Blazevic, N.; Kajfez, F.; Sunjic, V.
 CS Fac. Pharm. Biochem., Univ. Zagreb, Zagreb, Yugoslavia
 SO Journal of Heterocyclic Chemistry (1977), 14(7), 1225-30
 CODEN: JHTCAD; ISSN: 0022-152X
 DT Journal
 LA English
 AB Benzodiazepinones I (R = H, Me, Me₂CH, Ph) were prepd. from 2-amino-5-(α -carboxyethyl)benzophenone (II) or from its benzyl ester. An optimized route to II starting from 2-nitro-5-chlorobenzophenone was described. II was deaminated into racemic α -(3-benzoylphenyl)propionic acid.
 IT 65642-73-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and cyclization of)
 RN 65642-73-1 CAPLUS
 CN Benzeneacetic acid, 3-benzoyl- α -methyl-4-[phenyl[(phenylmethoxy)carbonyl]amino]acetyl]amino]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 138 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN
Full Text
 AN 1978:38131 CAPLUS
 DN 88:38131
 TI Synthesis of amino acid derivatives of benzocaine. I. Preparation of N-(carbobenzoxyaminoacyl)-benzocaines
 AU Kwapiszewski, Wincenty; Kolwas, Jan
 CS Dep. Pharm. Chem., Sch. Med., Warsaw, Pol.
 SO Acta Poloniae Pharmaceutica (1977), 34(2), 167-70
 CODEN: APPHAX; ISSN: 0001-6837
 DT Journal
 LA English
 AB Eight PhCH₂O₂CNHCHRCONHC₆H₄CO₂Et-p (R = H, Me, Me₂CH, Me₂CHCH₂, PhCH₂, etc.), with potential local anesthetic properties, were prepd. by acylation of benzocaine with N-(carbobenzoxy) DL- or L-amino acids by the carbodiimide or the mixed anhydride method.
 IT 65321-54-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 65321-54-2 CAPLUS
 CN Benzoic acid, 4-[[1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]-ethyl]ester (9CI) (CA INDEX NAME)

STN Columbus



L9 ANSWER 139 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1978:23352 CAPLUS

DN 88:23352

TI Synthesis of acyclic and cyclic anthranilic acid-phenylalanine peptides

AU El Azzouny, Aida; Winter, K.; Framm, J.; Richter, H.; Luckner, M.

CS Sekt. Pharm., Martin-Luther-Univ., Halle/Saale, Ger. Dem. Rep.

SO Pharmazie (1977), 32(6), 318-23

CODEN: PHARAT; ISSN: 0031-7144

DT Journal

LA German

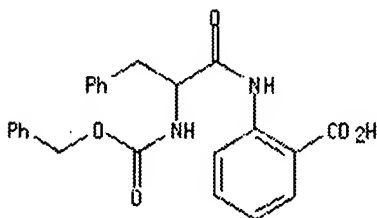
AB DL-H₂NCH(CO₂H)CH₂C₆H₄R-p (R = H, Cl, OCH₂Ph) were acylated with o-(O₂N)C₆H₄COCl to give DL-o-(O₂N)C₆H₄CONHCH(CO₂H)CH₂C₆H₄R-p which were N-methylated, treated with NaOH, and hydrogenated over Raney-Ni to give DL-o-(H₂N)C₆H₄CONMeCH(CO₂Na)CH₂C₆H₄R₁-p (DL-I; R₁ = H, Cl, OH). The latter were cyclized to give the corresponding benzodiazepines (RS)-II. Enantiomers of phenylalanine gave D- and L-I (R₁ = H) which were cyclized to the resp. (R)- and (S)-II (R₁ = H).

IT 65002-46-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deblocking of)

RN 65002-46-2 CAPLUS

CN Benzoic acid, 2-[[1-oxo-3-phenyl-2-[(phenylmethoxy)carbonyl]amino]propyl]amino]- (9CI) (CA INDEX NAME)



L9 ANSWER 140 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1978:22735 CAPLUS

DN 88:22735

TI A new synthesis of ampicillin and related investigations

AU Kajfez, F.; Kovac, T.; Mihalic, M.; Belin, B.; Sunjic, V.

CS Dep. Biomed. Biochem. Res., CRC, San Giovanni Natisone, Italy

SO Journal of Heterocyclic Chemistry (1976), 13(3), 561-6

CODEN: JHTCAD; ISSN: 0022-152X

DT Journal

LA English

STN Columbus

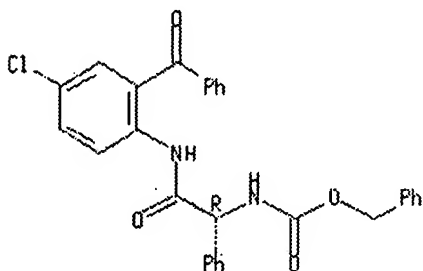
AB Quaternization of S-PhCHBrCONHCHMePr with hexamine proceeded with ~80% inversion of configuration. Similarly quaternization of I (R = SiMe₃, R₁ = Br, S configuration) with hexamine and subsequent hydrolysis gave ampicillin I (R = H, R₁ = NH₂, R configuration) some other model reactions were investigated.

IT 60656-59-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 60656-59-9 CAPLUS

CN Carbamic acid, [2-[(2-benzoyl-4-chlorophenyl)amino]-2-oxo-1-phenylethyl]-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 141 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1977:39312 CAPLUS

DN 86:39312

TI New chromophore substrates of α -chymotrypsin

AU D'yachenko, E. D.; Volkova, L. I.; Kozlov, L. V.; Antonov, V. K.

CS M. M. Shemyakin Inst. Bioorg. Chem., Moscow, USSR

SO Bioorganicheskaya Khimiya (1976), 2(12), 1665-71

CODEN: BIKHD7; ISSN: 0132-3423

DT Journal

LA Russian

AB The following derivs. of N-acetyl-L-p-nitrophenylalanine were synthesized and tested as substrates for chymotrypsin: the Me, Et, and p-nitrophenyl esters as well as the amide, methylamide, hydrazide, p-nitroanilide, glycinamide, and L-alaninamide. The molar extinction of these substrates and their hydrolysis products at 310 nm was obtained. Kinetic consts. of hydrolysis by chymotrypsin at pH 7, 25° were also detd. Almost all of the substances tested were good substrates except for the methylamide, which was not hydrolyzed.

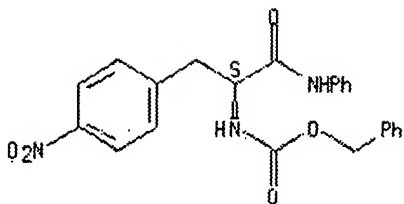
IT 61595-50-4P 61595-53-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 61595-50-4 CAPLUS

CN Carbamic acid, [1-[(4-nitrophenyl)methyl]-2-oxo-2-(phenylamino)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

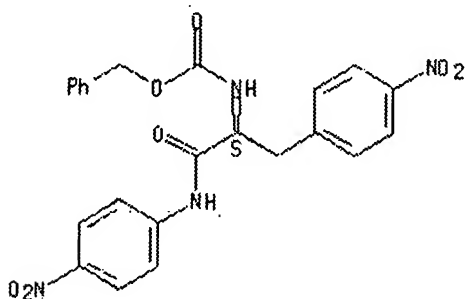
Absolute stereochemistry.



RN 61595-53-7 CAPLUS

CN Carbamic acid, [2-[(4-nitrophenyl)amino]-1-[(4-nitrophenyl)methyl]-2-oxoethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 142 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1976:588359 CAPLUS

DN 85:188359

TI p-Nitroanilides of 3-carboxypropionyl-peptides. Their cleavage by elastase, trypsin, and chymotrypsin

AU Kasafirek, Evzen; Fric, Premysl; Slaby, Jan; Malis, Frantisek

CS Res. Inst. Pharm. Biochem., Prague, Czech.

SO European Journal of Biochemistry (1976), 69(1), 1-13

CODEN: EJBICAI; ISSN: 0014-2956

DT Journal

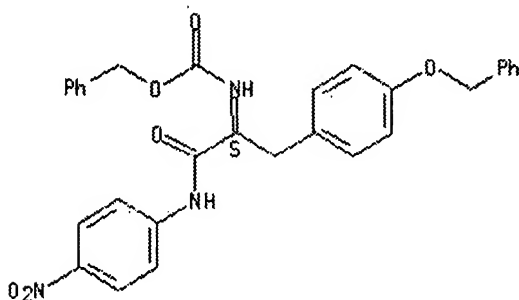
LA English

AB Fourteen 3-carboxypropionyltripeptide-p-nitroanilides of the general formula 3-carboxypropionyl-alanyl-alanyl-Y-p-nitroanilide (Y = glycine, norvaline, S-methylcysteine, valine, norleucine, S-ethylcysteine, methionine, leucine, isoleucine, phenylalanine, tyrosine, S-benzylcysteine, C α -phenylglycine, and proline) were synthesized and their cleavage by elastase, trypsin, and chymotrypsin (Km, kcat and kcat/Km) was detd. The significance of amino acid residues in the position of Y was evaluated 1st with respect to their structure (topog.), and 2nd with respect to their free energy (thermodynamically). The alanine residue substrate was cleaved best by elastase, the phenylalanine substrate by chymotrypsin. Trypsin cleaved 2 substrates only, those contg. a phenylalanine and a tyrosine residue. The optimum length of the elastolytic substrates was studied in a series of N-3-carboxypropionyl-(Ala) n -p-nitroanilides ($n = 1, 2, 3, 4, 5$), N-3-carboxypropionyl-(Gly) n -p-nitroanilides ($n = 1, 2, 3$), and in p-nitroanilides of fatty acids with 2-7 C atoms. Elastase cleaved tri-, tetra-, and pentapeptides of alanine. P-nitroanilides of the glycine series, as well as p-nitroanilides of fatty acids were not cleaved. 3-Carboxypropionyl-tetraalanine-p-nitroanilide is the most suitable substrate so far found for elastase cleavage; it is not cleaved by trypsin or chymotrypsin. The optimum distance between Y and

STN Columbus

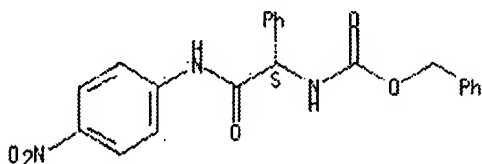
the terminal anionic CO₂H was 1.8 nm in elastolytic substrates.
 IT 61043-23-0P 61043-24-1P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 61043-23-0 CAPLUS
 CN Carbamic acid, [2-[(4-nitrophenyl)amino]-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 61043-24-1 CAPLUS
 CN Carbamic acid, [2-[(4-nitrophenyl)amino]-2-oxo-1-phenylethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 143 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

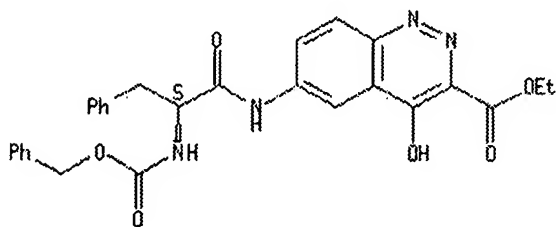
AN 1976:478150 CAPLUS
 DN 85:78150
 TI Cinnoline derivatives
 IN Preston, John; Reeve, Austin J.
 PA Imperial Chemical Industries Ltd., UK
 SO Ger. Offen., 37 pp.
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2550179	A1	19760513	DE 1975-2550179	19751107
				GB 1974-48205	19741107
	ZA 7506710	A	19761027	ZA 1975-6710	19751024
				GB 1974-48205	19741107
	IN 141110	A	19770122	IN 1975-CA2074	19751028
				GB 1974-48205	19741107
	US 4045439	A	19770830	US 1975-626531	19751028
				GB 1974-48205	19741107

STN Columbus

AU 7586101	A1	19770505	AU 1975-86101	19751029
			GB 1974-48205	19741107
BE 835165	A1	19760430	BE 1975-161513	19751031
			GB 1974-48205	19741107
NL 7512958	A	19760511	NL 1975-12958	19751105
			GB 1974-48205	19741107
FI 7503113	A	19760508	FI 1975-3113	19751106
			GB 1974-48205	19741107
NO 7503718	A	19760510	NO 1975-3718	19751106
			GB 1974-48205	19741107
SE 7512447	A	19760510	SE 1975-12447	19751106
			GB 1974-48205	19741107
FR 2290209	A1	19760604	FR 1975-34004	19751106
FR 2290209	B1	19780922		
			GB 1974-48205	19741107
DD 123339	C	19761212	DD 1975-189301	19751106
			GB 1974-48205	19741107
DK 7505007	A	19760508	DK 1975-5007	19751107
			GB 1974-48205	19741107
JP 51070778	A2	19760618	JP 1975-133920	19751107
			GB 1974-48205	19741107
SE 7807225	A	19780626	SE 1978-7225	19780626
			GB 1974-48205	19741107
AB	Antianaphylactic (no data) cinnolines I (R = Et, H; R1 = NH2, substituted amino, Ac, cyano, CO2H, furyl, pyridyl, thienyl, C6H4CO2Et, SMe) were prepd. Thus I (R = H, R1 = NO2) was esterified and reduced to I (R = Et, R1 = NH2).			
IT 60112-89-2P	60112-92-7P			
	RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)			
	(prepn. and debenzylation of)			
RN	60112-89-2 CAPLUS			
CN	3-Cinnolinecarboxylic acid, 4-hydroxy-6-[[1-oxo-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]amino]-, ethyl ester, (S)- (9CI) (CA INDEX NAME)			

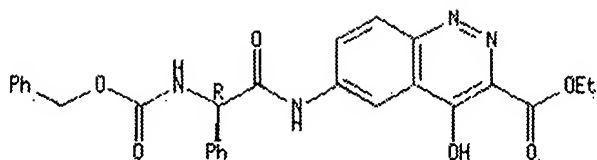
Absolute stereochemistry.



RN	60112-92-7 CAPLUS			
CN	3-Cinnolinecarboxylic acid, 4-hydroxy-6-[[phenyl[[[(phenylmethoxy)carbonyl]amino]acetyl]amino]-, ethyl ester, (R)- (9CI) (CA INDEX NAME)			

Absolute stereochemistry.

STN Columbus



L9 ANSWER 144 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1975:479562 CAPLUS

DN 83:79562

TI Anomalous nucleosides and related compounds. XXIV. Amino acid derivatives of benzotriazole

AU Rengevich, E. E.; Chernetskii, V. P.

CS Inst. Mol. Biol. Genet., Kiev, USSR

SO Ukrainskii Khimicheskii Zhurnal (Russian Edition) (1975), 41(4), 411-13
CODEN: UKZHAU; ISSN: 0041-6045

DT Journal

LA Russian

AB Dicyclohexylcarbodiimide condensation of ROH (R = Z-Gly, Z-Val, Z-Leu, Z-Phe, Z-Gly-Gly; Z = PhCH2O2C) with 4-aminobenzotriazole (I) gave II, which were deprotected by HBr-HOAc to give II.2HBr (R = Gly, Val, Phe). Condensation of ClCH2CO2H with I gave II (R = CH2CO2H).

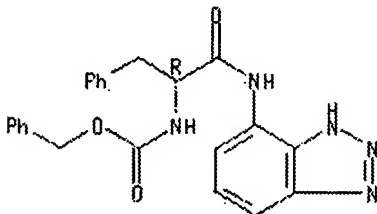
IT 56446-11-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deblocking of)

RN 56446-11-8 CAPLUS

CN Carbamic acid, [2-(1H-benzotriazol-4-ylamino)-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 145 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1975:140510 CAPLUS

DN 82:140510

TI Acyl compound

IN Fujimoto, Yasuo; Nakamizo, Yoshihiro

PA Kyowa Hakko Kogyo Co., Ltd.

SO Jpn. Tokkyo Koho, 4 pp.

CODEN: JAXXAD

DT Patent

LA Japanese

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 49019244	B4	19740516	JP 1969-51061	19690630
	GB 1305259	A	19730131	GB 1970-30923	19700625
				JP 1969-51061	19690630

STN Columbus

US 3867424	A	19750218	US 1970-49918	19700625
			JP 1969-51061	19690630
DE 2031826	A	19710121	DE 1970-2031826	19700626
DE 2031826	B2	19730222		
DE 2031826	C3	19730927		
			JP 1969-51061	19690630
FR 2051359	A5	19710402	FR 1970-23723	19700626
			JP 1969-51061	19690630
CH 531478	A	19721215	CH 1970-531478	19700626
			JP 1969-51061	19690630
US 3963728	A	19760615	US 1974-498125	19740816
			JP 1969-51061	19690630
US 29369	E	19770823	US 1970-49918	19700625
			US 1976-658039	19760213
			JP 1969-51061	19690630
US 4043992	A	19770823	US 1970-49918	19700625
			US 1976-673149	19760402
			JP 1969-51061	19690630
			US 1970-49918	19700625
			US 1974-498125	19740816

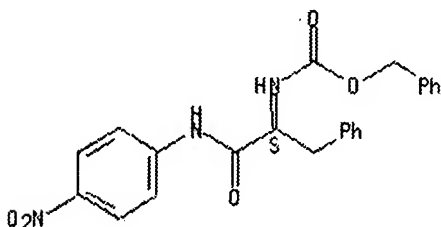
PATENT FAMILY INFORMATION:

FAN 1971:75692

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2031826	A	19710121	DE 1970-2031826	19700626
	DE 2031826	B2	19730222		
	DE 2031826	C3	19730927		

	JP 49019244	B4	19740516	JP 1969-51061	19690630
				JP 1969-51061	19690630
AB	PhCH2O2C-Phe-OC6H4NO2-4 was treated with 4-O2NC6H4NH2 in AcNMe2 contg. 2-hydroxypyridine K salt to give 73% PhCH2O2CPhe-NHC6H4NO2-4.				
IT 19647-71-3P	RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)				
RN 19647-71-3	CAPLUS				
CN	Carbamic acid, [(1S)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)				

Absolute stereochemistry.



L9 ANSWER 146 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1975:108156 CAPLUS

DN 82:108156

TI Kinetic studies of carboxypeptidase Y. I. Kinetic parameters for the hydrolysis of synthetic substrates

AU Hayashi, Rikimaru; Bai, Yasuo; Hata, Tadao

CS Res. Inst. Food Sci., Kyoto Univ., Uji, Japan

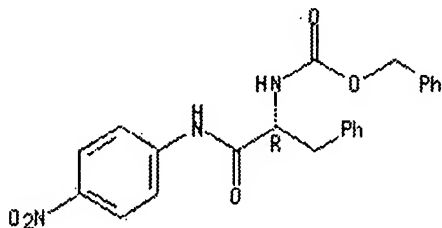
SO Journal of Biochemistry (Tokyo, Japan) (1975), 77(1), 69-79

CODEN: JOBIAO; ISSN: 0021-924X

STN Columbus

DT Journal
 LA English
 AB Kinetic parameters for carboxypeptidase Y [EC 3.4.12.1], characterized as a nonspecific enzyme, are given for the hydrolysis of a series of acylated peptides, acylated amino acid esters, and amides. The enzyme released C-terminal proline and β -alanine at an appreciable rate, as well as neutral amino acids with arom. and aliphatic side chains at a very high speed. The rates of hydrolysis of ester and amide substrates were compatible with those produced by chymotrypsin. Stereospecificity was also demonstrated by the failure of the enzyme to hydrolyze peptide, ester, amide, and anilide substrates contg. a D-amino acid. The effects of pH, solvents, and salt concns. on the kinetic parameters of hydrolysis of peptide and ester substrates are also described.
 IT 14235-15-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 14235-15-5 CAPLUS
 CN Carbamic acid, [(1R)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 147 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1974:516866 CAPLUS
 DN 81:116866
 TI Evaluating bile sufficiency
 IN Imondi, Anthony R.
 PA Rohm and Haas Co.
 SO U.S., 9 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 2

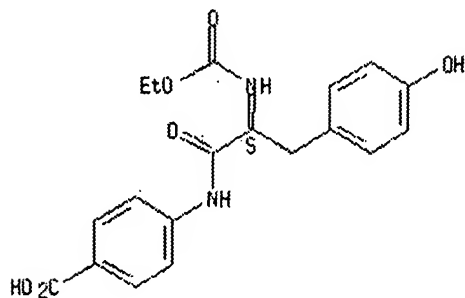
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3806592	A	19740423	US 1970-99714	19701218
	CA 984750	A1	19760302	CA 1971-126966	19711105
				US 1970-99714	19701218
	GB 1382240	A	19750129	GB 1971-57958	19711214
				US 1970-99714	19701218
	NL 7117216	A	19720620	NL 1971-17216	19711215
				US 1970-99714	19701218
	BE 776873	A1	19720619	BE 1971-111824	19711217
				US 1970-99714	19701218
	FR 2118178	A5	19720728	FR 1971-45587	19711217
	FR 2118178	B1	19750801		
				US 1970-99714	19701218

PATENT FAMILY INFORMATION:
 FAN 1973:102006

STN Columbus

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2118178	A5	19720728	FR 1971-45587	19711217
	FR 2118178	B1	19750801		
	US 3806592	A	19740423	US 1970-99714	19701218
				US 1970-99714	19701218
AB	A peptide of the formula Q-NHQ'-Q" where Q is an amine blocking group, NHQ'CO is an amino acid linkage, and Q" is an analyzable group, for instance, sodium N-benzoyl-L-phenylalanyl-p-aminobenzoate, is orally administered at a dosage of 5-10 mg/kg. A fluorescein dialkyl ester such as fluorescein dilaurate is also administered at a dosage of 5-10 mg/kg. All urine is collected for 6 hr and analyzed for peptide residue and ester. The detn. of a normal amt. of peptide residue and an unusually small amt. of ester (<2% of the administered dose) indicates significant bile insufficiency.				
IT	38219-63-5P				
	RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)				
RN	38219-63-5 CAPLUS				
CN	Benzoic acid, 4-[[2-[(ethoxycarbonyl)amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-, (S)- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.



L9 ANSWER 148 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1974:60215 CAPLUS
 DN 80:60215
 TI [[(Acylamino)acyl]amino]benzoic acids by direct acylation
 IN LaRoche deBenneville, Peter; Godfrey, William J.; Sims, Homer J.
 PA Rohm and Haas Co.
 SO Ger. Offen., 28 pp.
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2324439	A1	19731206	DE 1973-2324439	19730515
				US 1972-256551	19720524
	US 3804821	A	19740416	US 1972-256551	19720524
	CA 993446	A1	19760720	CA 1972-159275	19721218
				US 1972-256551	19720524
	JP 49026242	A2	19740308	JP 1973-4067	19721229
				US 1972-256551	19720524
	FR 2185615	A1	19740104	FR 1973-4475	19730208
				US 1972-256551	19720524

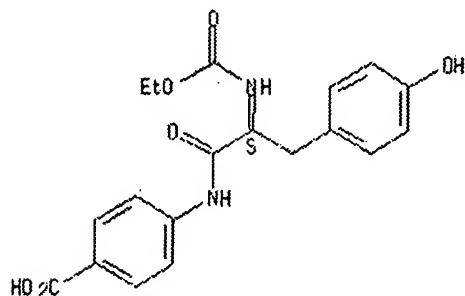
STN Columbus

BE 795418	A1	19730814	BE 1973-127637	19730214
			US 1972-256551	19720524
GB 1434217	A	19760505	GB 1973-22690	19730511
			US 1972-256551	19720524
NL 7307232	A	19731127	NL 1973-7232	19730523
			US 1972-256551	19720524

AB About 20 R₂[CONHCHR₁CONHC₆H₄-n(CO₂H)R_n-x,y]z [x = 2, 3, or 4; z = 1 or 2; in case of x = 4: y-R_n = H, 2-iodo, 3-OH, 2-Me, or 2,6-Me₂; R₁ = CH₂Ph, CH₂C₆H₄OH-4, CH₂C₆H₄OBz-4, CH₂CH₂SMe, CH₂CHMe₂, or 3-indolylmethyl; R₂ = Ph, Me, Et, Pr, EtO, or (CH₂)₄] and 4-BzNHCH(CH₂-Ph)CONHC₆H₄CONHCH₂CO₂H, useful for the diagnosis of pancreatic enzyme-insufficiency, were prepd. by reaction of the amino acids with R₂[CONHCHR₁CO₂CO₂Et]z in the presence of 4-MeC₆H₄SO₃H (I) or HCl. Thus, L-BzNHCH(CH₂Ph)CO₂H was treated with N-methylmorpholine and ClCO₂Et in THF for 12 min at -15°, followed by reaction with 4-H₂NC₆H₄CO₂H in THF in the presence of I for 2 hr at 5° to give 82.5% L-BzNHCH(CH₂Ph)CONHC₆H₄CO₂H-4.

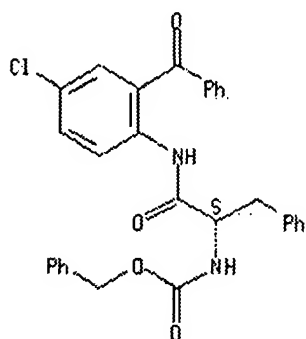
IT 38219-63-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 38219-63-5 CAPLUS
 CN Benzoic acid, 4-[[2-[(ethoxycarbonyl)amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 149 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN
Full Text
 AN 1973:526466 CAPLUS
 DN 79:126466
 TI Chiral 1,4-benzodiazepines. V. Synthesis and properties of 1,4-benzodiazepin-2-ones containing α-amino acids as a part of the 1,4-diazepine ring
 AU Sunjic, V.; Kajfez, F.; Stromar, I.; Blazevic, N.; Kolbah, D.
 CS Cia Ric. Chim. S.A., Chiasso, Switz.
 SO Journal of Heterocyclic Chemistry (1973), 10(4), 591-9
 CODEN: JHTCAD; ISSN: 0022-152X
 DT Journal
 LA English
 AB Chiral 1,4-benzodiazepin-2-ones (I) were prepd. from N-protected α-amino acids and 2-amino-5-chlorobenzophenone. The intermediates II were isolated and identified.
 IT 50691-89-9 50691-90-2 50691-92-4
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (cyclization of, chiral benzodiazepinones from)
 RN 50691-89-9 CAPLUS
 CN Carbamic acid, [2-[(2-benzoyl-4-chlorophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

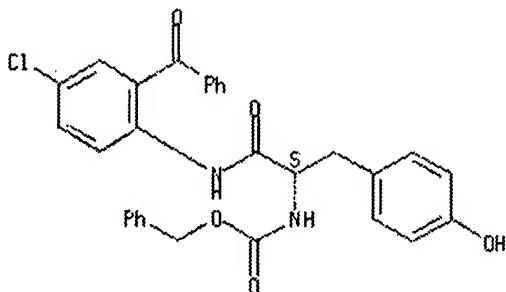
Absolute stereochemistry.



RN 50691-90-2 CAPLUS

CN Carbamic acid, [2-[(2-benzoyl-4-chlorophenyl)amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

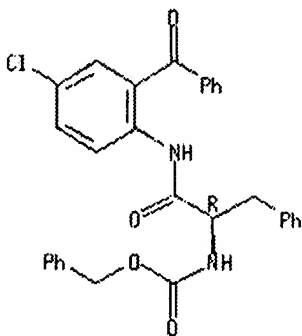
Absolute stereochemistry.



RN 50691-92-4 CAPLUS

CN Carbamic acid, [2-[(2-benzoyl-4-chlorophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

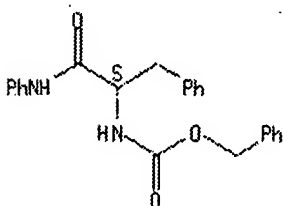
Absolute stereochemistry.



Full Text

AN 1973:432292 CAPLUS
 DN 79:32292
 TI Peptide synthesis via N-acylated aziridinone. II. Reaction of N-acylated aziridinone and its use in peptide synthesis
 AU Miyoshi, Muneji
 CS Res. Lab. Appl. Biochem., Tanabe Seiyaku Co., Ltd., Osaka, Japan
 SO Bulletin of the Chemical Society of Japan (1973), 46(5), 1489-96
 CODEN: BCSJA8; ISSN: 0009-2673
 DT Journal
 LA English
 AB Optically active N-acylated aziridinone, which was synthesized by the dehydration of the corresponding L-acylamino acid, was treated with various nucleophiles, such as alc., amine, and water. The ring fission of the aziridinone took place exclusively at the carbonyl-nitrogen bond to give L-acylamino acid derivs. The reaction was used successfully in the peptide synthesis, using an amino acid ester as a nucleophile. Retention of the optical activity was obsd. throughout the reaction.
 IT 15366-12-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 15366-12-8 CAPLUS
 CN Carbamic acid, [(1S)-2-oxo-2-(phenylamino)-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 151 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1973:428890 CAPLUS
 DN 79:28890
 TI Demonstration of the acyl-enzyme mechanism for the hydrolysis of peptides and anilides by chymotrypsin
 AU Fastrez, Jacques; Fersht, Alan R.
 CS Lab. Mol. Biol., Med. Res. Counc., Cambridge, UK
 SO Biochemistry (1973), 12(11), 2025-34
 CODEN: BICHAW; ISSN: 0006-2960
 DT Journal
 LA English
 AB The acyl-enzyme mechanism for chymotrypsin was tested by detg. the product ratios on the hydrolysis of substrates in the presence of added acceptor nucleophiles which compete effectively with H₂O in the reaction. The product analysis was facilitated by the use of new substrates which could be separated easily from the products by ionophoresis. Direct detn. of the ratio of AcPhe (N-acetyl-L-phenylalanine) to AcPhe-AlaNH₂ produced on the hydrolysis of AcPhe-OMe and AcPhe anilides in the presence of AlaNH₂ showed that 1M AlaNH₂ is 44 times more reactive than 55M H₂O for both substrates. The decrease in V_{max}/K_M for the hydrolysis of AcPhe-AlaNH₂ in the presence of AlaNH₂ is also accounted for by AlaNH₂ being 44 times more reactive. This suggests a common intermediate in the hydrolysis of ester, anilide, and peptide substrates. The ratio k_{cat}/K_M for the hydrolysis of

STN Columbus

AcPhe-AlaNH₂ was calcd. using the above partition ratio, the values of the formation constants of AcPhe-OMe and AcPhe-AlaNH₂, the relative reactivities of MeOH and H₂O towards the acyl-enzyme derived from ester substrates, and the free energy of hydrolysis of AcPhe-OMe. This agrees well with the directly measured value. This is proof of the acyl-enzyme mechanism in peptide hydrolysis. The failure of previous attempts to demonstrate a common intermediate was discussed.

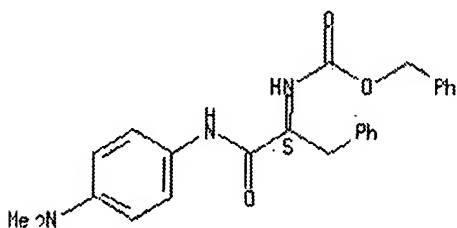
IT 42361-32-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 42361-32-0 CAPLUS

CN Carbamic acid, [2-[[4-(dimethylamino)phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 152 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1973:132248 CAPLUS

DN 78:132248

TI Tyrosyl transfer ribonucleic acid synthetase from Escherichia coli B.
Analysis of tyrosine and adenosine 5'-triphosphate binding sites

AU Santi, Daniel V.; Pena, Van A.

CS Dep. Pharm. Chem., Univ. California, San Francisco, CA, USA

SO Journal of Medicinal Chemistry (1973), 16(3), 273-80

CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

AB Structural and stereochem. requirements for substrate binding to tyrosyl-tRNA synthetase [9023-45-4] from Escherichia coli B were investigated using analogs of L-tyrosine and ATP. The 2 major binding loci for L-tyrosine (I) [60-18-4] were the phenol and amine moieties. The phenolic hydroxyl was bound as its neutral form and did not act as a H bond acceptor. It was the primary site of recognition and its omission resulted in at least a 10,000-fold loss in binding. The amino group of the substrate bound as its protonated form to an area of the enzyme probably best represented as anionic. The carboxylate moiety was not a contact point and could be substituted by disparate groups with little effect on binding. Adjacent to the carboxylate binding site were a hydrophobic region and a group capable of interaction with negatively charged substituents. The stereospecificity of the enzyme was not exact and D enantiomers complexed with only small losses in affinity, attributable to the energy required for rotation about the C α -C β bond of D-tyrosine and its analogs or an analogous conformational change of the enzyme. Binding of ATP (II) [56-65-5] required interactions of the intact triphosphate moiety. Analogs not possessing this moiety bond as weak, noncompetitive inhibitors and could interact as dimers at a site remote from that which bond ATP.

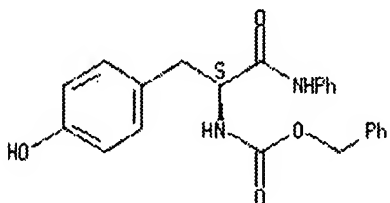
IT 40829-63-8P

RL: PREP (Preparation)

STN Columbus

(prepn. of)
 RN 40829-63-8 CAPLUS
 CN Carbamic acid, [1-[(4-hydroxyphenyl)methyl]-2-oxo-2-(phenylamino)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 153 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1973:102006 CAPLUS
 DN 78:102006
 TI Peptidic composition for evaluating biliary secretion of animal organisms
 IN Imondi, Anthony Rocco
 PA Rohm and Haas Co.
 SO Fr. Demande, 26 pp.
 CODEN: FRXXBL
 DT Patent
 LA French
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2118178	A5	19720728	FR 1971-45587	19711217
	FR 2118178	B1	19750801		
	US 3806592	A	19740423	US 1970-99714	19701218
				US 1970-99714	19701218

PATENT FAMILY INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3806592	A	19740423	US 1970-99714	19701218
	CA 984750	A1	19760302	CA 1971-126966	19711105
				US 1970-99714	19701218
	GB 1382240	A	19750129	GB 1971-57958	19711214
				US 1970-99714	19701218
	NL 7117216	A	19720620	NL 1971-17216	19711215
				US 1970-99714	19701218
	BE 776873	A1	19720619	BE 1971-111824	19711217
				US 1970-99714	19701218
	FR 2118178	A5	19720728	FR 1971-45587	19711217
	FR 2118178	B1	19750801		
				US 1970-99714	19701218

AB Comps. for evaluating animal biliary secretions by urine anal. contain a peptide, preferably, N-benzoyl-L-phenylalanyl-(I), N-benzoyl-L-tyrosyl-(II), N-acetyl-L-tyrosyl-, N-propionyl-L-tyrosyl-, and N-butyryl-L-tyrosyl-p-aminobenzoic acid together with fluorescein dihexanoate (III) or dilaurate. Fluorescein and PAB are detd. in the urine passed during 5-6 hr after oral dosing. Treatment of I Et ester in Me2SO with tert-BuOK in Me2SO at room-temp. for 5 hr gave I. In the prepn. of II, L-tyrosine was N-benzoylated in THF under reflux, and the N-benzoyl-L-tyrosine formed treated by the mixed anhydride procedure (ClCO2Et + N-methylmorpholine) with PAB in THF contg. a little

STN Columbus

p-toluenesulfonic acid. Other related compds. and examples of the assay procedure are given. E.g., tablets contained 500 mg I and 500 mg III.

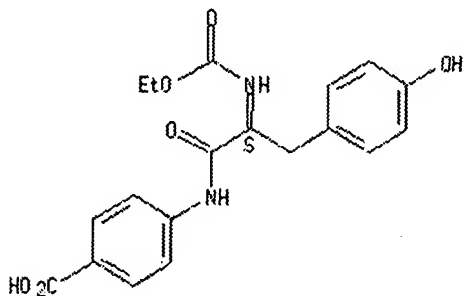
IT 38219-63-5

RL: BIOL (Biological study)
(pharmaceutical, for biliary secretion detn.)

RN 38219-63-5 CAPLUS

CN Benzoic acid, 4-[[2-[(ethoxycarbonyl)amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 154 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1973:23820 CAPLUS

DN 78:23820

TI Synthetic peptides in the diagnosis of exocrine pancreatic insufficiency in animals

AU Imondi, A. R.; Stradley, R. P.; Wolgemuth, R.

CS Div. Biomed. Res., Warren-Teed Pharm., Inc., Columbus, OH, USA

SO Gut (1972), 13(9), 726-31

CODEN: GUTTAK; ISSN: 0017-5749

DT Journal

LA English

AB A new approach in the diagnosis of exocrine pancreatic insufficiency is described which involves the oral administration of a chymotrypsin-labile peptide which contains an aromatic amino acid, a carboxy terminal p-aminobenzoic acid [150-13-0] tracer group, and an N-terminal blocking group. In the small bowel in the presence of chymotrypsin, the p-aminobenzoic acid is split from the peptide, absorbed, and the amt. of p-aminobenzoate (as total arom. amines) in the urine over 6 hr is used as an index of exocrine pancreatic function. The method was shown to be reliable in detecting surgically induced pancreatic insufficiency in rats, swine, and dogs.

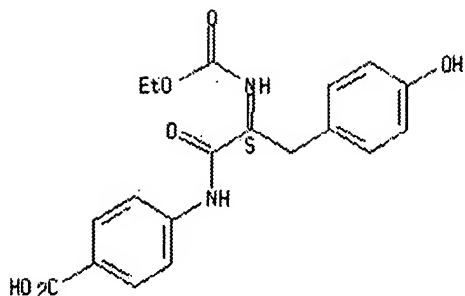
IT 38219-63-5

RL: BIOL (Biological study)
(in pancreatic insufficiency diagnosis, aminobenzoic acid formation in relation to)

RN 38219-63-5 CAPLUS

CN Benzoic acid, 4-[[2-[(ethoxycarbonyl)amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 155 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1973:11391 CAPLUS

DN 78:11391

TI New substrates for a pancreatic exocrine function test

AU DeBenneville, Peter L.; Godfrey, William J.; Sims, Homer J.; Imondi, Anthony R.

CS Res. Lab., Rohm and Haas Co., Spring House, PA, USA

SO Journal of Medicinal Chemistry (1972), 15(11), 1098-1100

CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

AB Peptide derivs. of aminobenzoic acids may be useful for crit. measurement of pancreatic exocrine function. These compds., given orally to rats, were split specifically by the pancreatic enzyme chymotrypsin [9004-07-3] to yield aminobenzoic acids, which could be recovered unchanged in the urine. The compds. consisted of the central amino acid residue (e.g. L-tyrosine, L-phenylalanine, or L-tryptophan) attached to its carboxyl group to the aminobenzoic acid and through its amino group to a protective acyl group; a typical representative was 4-(N-benzoyl-L-tyrosyl)aminobenzoic acid (I) [37106-97-1]. The compds. were prepd. from the appropriate acylamino acids and aminobenzoic acids by the mixed carbonic anhydride method in the presence of p-toluenesulfonic acid. Good in vivo recoveries were obtained from compds. which were relatively rapidly hydrolyzed by chymotrypsin in vitro; however, the soly. of the compds. in intestinal fluid influenced in vivo results. In animals with ligation of the common bile duct to exclude pancreatic enzymes, the hydrolysis of the compds. obsd. was generally <1/3 of that in sham-operated rats, confirming the specificity of the compds. as substrates of chymotrypsin.

IT 38219-63-5

RL: BIOL (Biological study)

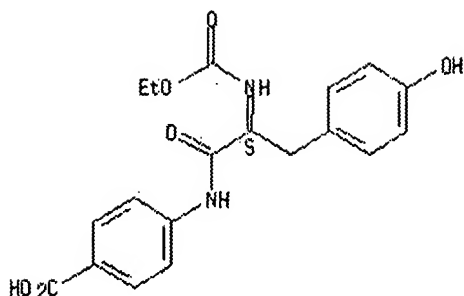
(as chymotrypsin substrate, for pancreatic function test)

RN 38219-63-5 CAPLUS

CN Benzoic acid, 4-[[2-[(ethoxycarbonyl)amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

STN Columbus



L9 ANSWER 156 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1972:514888 CAPLUS

DN 77:114888

TI Peptides for determining enzyme sufficiency or insufficiency in the pancreas of animals

IN DeBenneville, Peter LaRoche; Greenberger, Norton Herald

PA Rohm and Haas Co.

SO Ger. Offen., 52 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	DE 2156835	A	19720525	DE 1971-2156835	19711116
	DE 2156835	B2	19731220		
	DE 2156835	C3	19740718		
				US 1970-91173	19701119
				US 1970-91176	19701119
	US 3745212	A	19730710	US 1970-91173	19701119
	US 3801562	A	19740402	US 1970-91176	19701119
	BE 775377	A1	19720516	BE 1971-110538	19711116
				US 1970-91173	19701119
				US 1970-91176	19701119
	GB 1380904	A	19750115	GB 1971-53105	19711116
				US 1970-91173	19701119
				US 1970-91176	19701119
	CA 1014551	A1	19770726	CA 1971-127752	19711116
				US 1970-91176	19701119
	NL 7115862	A	19720524	NL 1971-15862	19711117
	NL 173053	B	19830701		
	NL 173053	C	19831201		
				US 1970-91173	19701119
				US 1970-91176	19701119
FR	2115246	A5	19720707	FR 1971-41365	19711118
	2115246	B1	19751226		
				US 1970-91173	19701119
	US 3893992	A	19750708	US 1973-424020	19731212
				US 1970-91176	19701119

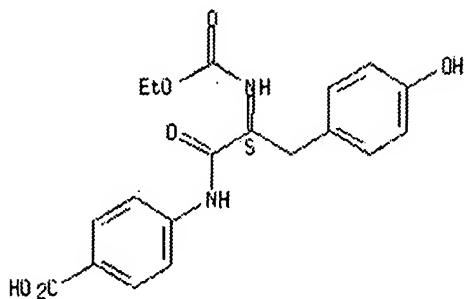
AB Peptides useful in the detn. of pancreatic enzyme sufficiency were prepd. Thus, N-methylmorpholine and ClCO₂Et were added to L-BzNHCH-(CH₂Ph)CO₂H in THF at -15°. After 3 min, p-H₂NC₆H₄-CO₂Et was added to give L-BzNHCH(CH₂Ph)CONHC₆H₄-CO₂Et-p (I). I reacted with KO₂Me₃ in Me₂SO at room temp. for 5 hr, and the resulting product was treated with 1N HCl to give L-BzNHCH(CH₂Ph)CONHC₆H₄CO₂H-p. Several similar peptides were prepd. The peptides were used in in vitro and in vivo testing of pancreatic enzyme sufficiency. Pharmaceutical formulations were given.

IT 38219-63-5P

STN Columbus

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 38219-63-5 CAPLUS
 CN Benzoic acid, 4-[[2-[(ethoxycarbonyl)amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 157 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

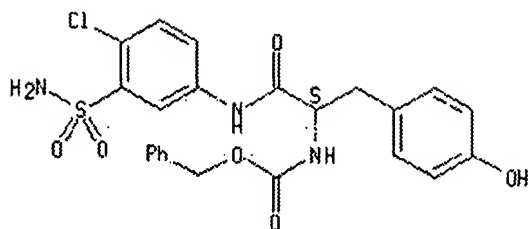
Full Text

AN 1972:113513 CAPLUS
 DN 76:113513
 TI Preparation of new 3-sulfamoyl-4-chloroaniline derivatives with expected diuretic action
 AU Parauszewski, Ryszard; Kwapiszewski, Wincenty; Slomka, Dobromila; Szyszko, Barbara
 CS Akad. Med., Warsaw, Pol.
 SO Farmacja Polska (1971), 27(12), 961-5
 CODEN: FAPOA4; ISSN: 0014-8261
 DT Journal
 LA Polish
 AB The title compds. I (R = amino acid acyl group) (II) were prepd. Thus, 5 mmoles I (R = H) HCl salt was reacted with NEt₃, N-carbobenzoxo (Z) amino acids, and N,N'-dicyclohexylcarbodiimide 5 mmoles in 70 ml dioxane at room temp. 18 hr to give 21-58% I (R = N-Z amino acid acyl group) (III). III (1 g) was hydrogenated in 70 ml MeOH over 0.5 g Pd black to give 45-72% I [R = Gly, L-Glu (α,γ -bis compd.), L-Tyr, L-Leu, L-Val, L-Asp (α,β -bis compd.)].

IT 35726-70-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 35726-70-6 CAPLUS
 CN Carbamic acid, [2-[[3-(aminosulfonyl)-4-chlorophenyl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 158 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1971:498805 CAPLUS

DN 75:98805

TI Peptides with terminal tyrosyl and phenylalanyl groups

AU Skorcz, Joseph A.

CS Lakeside Lab., Colgate-Palmolive Co., Milwaukee, WI, USA

SO Journal of Medicinal Chemistry (1971), 14(8), 775-6

CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

AB Three tripeptides and a pentapeptide contg. both N-terminal L-tyrosyl and C-terminal L-phenylalanyl groups, were prepd. for general cardiovascular evaluation in dogs. Only 1-L-tyrosylamino-1-cyclopentyl-L-phenylalanine acetate at 1 mg/ kg, i.v., caused a marked, transient decrease in blood pressure, but did not inhibit angiotensin-induced contractions of the isolated rat uterus at concns. up to 10 µg/ml.

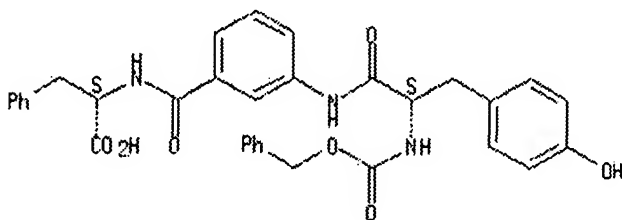
IT 33374-86-6P 33492-42-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 33374-86-6 CAPLUS

CN Alanine, N-[m-[L-α-(carboxyamino)-p-hydroxyhydrocinnamamido]benzoyl]-3-phenyl-, N-benzyl ester, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.

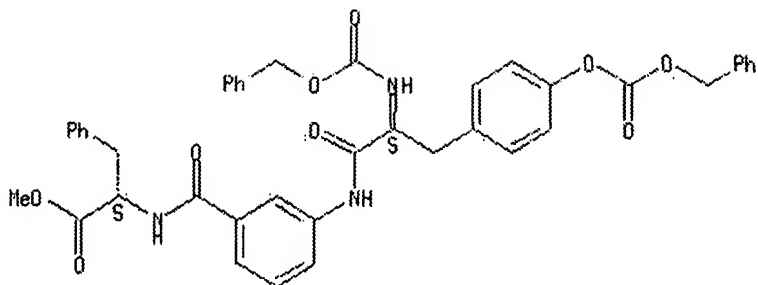


RN 33492-42-1 CAPLUS

CN Alanine, N-[m-[L-α-(carboxyamino)-p-hydroxyhydrocinnamamido]benzoyl]-3-phenyl-, N-benzyl methyl ester, benzyl carbonate (ester), L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.

STN Columbus



L9 ANSWER 159 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1971:75692 CAPLUS

DN 74:75692

TI Hydroxy- and mercaptopyridine salts catalysts in N-acylations with esters

IN Fujimoto, Yasuo; Nakamizo, Nobuhiro

PA Kyowa Fermentation Industry Co., Ltd.

SO Ger. Offen., 15 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2031826	A	19710121	DE 1970-2031826	19700626
	DE 2031826	B2	19730222		
	DE 2031826	C3	19730927		
	JP 49019244	B4	19740516	JP 1969-51061	19690630
				JP 1969-51061	19690630

PATENT FAMILY INFORMATION:

FAN 1975:140510

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 49019244	B4	19740516	JP 1969-51061	19690630
	GB 1305259	A	19730131	GB 1970-30923	19700625
				JP 1969-51061	19690630
	US 3867424	A	19750218	US 1970-49918	19700625
				JP 1969-51061	19690630
	DE 2031826	A	19710121	DE 1970-2031826	19700626
	DE 2031826	B2	19730222		
	DE 2031826	C3	19730927		
				JP 1969-51061	19690630
	FR 2051359	A5	19710402	FR 1970-23723	19700626
				JP 1969-51061	19690630
	CH 531478	A	19721215	CH 1970-531478	19700626
				JP 1969-51061	19690630
	US 3963728	A	19760615	US 1974-498125	19740816
				JP 1969-51061	19690630
				US 1970-49918	19700625
	US 29369	E	19770823	US 1976-658039	19760213
				JP 1969-51061	19690630
				US 1970-49918	19700625
	US 4043992	A	19770823	US 1976-673149	19760402
				JP 1969-51061	19690630
				US 1970-49918	19700625
				US 1974-498125	19740816

AB Na, K, Li, NEt₄, or Ca salts of the pyridines I [X = O or S, R = H or Me, R₁ = H or NO₂, R₂ = H or Me, (R₁R₂ =)CH:-CHCH:CH, XH in 2- or 3-position] were used as catalysts in N-acylations with esters. Thus, MeNHCO₂Ph was

STN Columbus

refluxed 5 hr with PhNH₂ and the NEt₄ salt of I (X = O, R = R₂ = H, R₁ = NO₂, XH in 2-position) to give 91% MeNHCONHPh. I were similarly used in the prepn. of peptides, amides, N-acylated piperidines, hydroxamic acids, or N-protected amino acids.

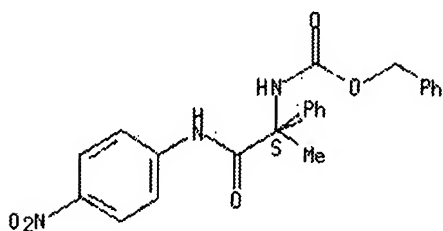
IT 30923-23-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 30923-23-0 CAPLUS

CN Carbamic acid, [α -methyl- α -[(p-nitrophenyl)carbamoyl]benzyl]-, benzyl ester, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 160 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1970:456022 CAPLUS

DN 73:56022

TI 2-(1-Hydantoinyl)propionic acids

AU Fontanella, Luigi

CS Lab. Ric., "Lepetit" S.p.A., Milan, Italy

SO Farmaco, Edizione Scientifica (1970), 25(7), 542-61

CODEN: FRPSAX; ISSN: 0430-0920

DT Journal

LA Italian

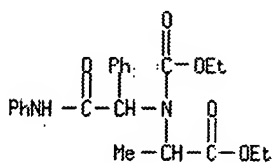
AB The synthesis of esters of 2-(1-hydantoinyl)propionic acids is described. These esters were hydrolyzed to the corresponding carboxylic acids, from which, through their acyl chlorides, substituted amides or dimethylaminoethyl esters, were obtained. By mild redn. with LiAlH₄, 3,5-disubstituted 1-(1-hydroxy-2-propyl)-4-imidazolin-2-ones were obtained, and acylated with anhydrides or acyl chlorides. 1-(1-Acetoxy-2-propyl)-3-phenyl-5-methyl-4-imidazolin-2-one was hydrogenated to the corresponding imidazolidin-2-one. By mild redn. of the esters (Ia,b) with Ca(BH₄)₂, trisubstituted ureas and imidazolinones were obtained.

IT 28017-38-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 28017-38-1 CAPLUS

CN Alanine, N-carboxy-N-[(phenylcarbamoyl)benzyl]-, diethyl ester, DL- (8CI) (CA INDEX NAME)



L9 ANSWER 161 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1969:457012 CAPLUS

DN 71:57012

TI Rate-determining step in pepsin-catalyzed reactions, and evidence against an acyl-enzyme intermediate

AU Cornish-Bowden, A. J.; Greenwell, P.; Knowles, Jeremy R.

CS Univ. Oxford, Oxford, UK

SO Biochemical Journal (1969), 113(2), 369-75

CODEN: BIJOAK; ISSN: 0264-6021

DT Journal

LA English

AB To delineate further the pathway of pepsin-catalyzed reactions, three types of expts. were performed: (a) the enzyme-catalyzed hydrolysis of a no. of di- and tripeptide substrates was studied with a view to observing the rate-detcg. breakdown of a common intermediate; (b) the interaction of pepsin with several possible substrates for which burst kinetics might be expected was investigated; (c) attempts were made to trap a possible acyl-enzyme intermediate with MeOH-14C in reactions with N-acetyl-L-phenylalanyl-L-phenylalanylglycine and with N-acetyl-L-phenylalanine under conditions where extensive hydrolysis or 18O exchange is known to occur. It was concluded that intermediates in pepsin-catalyzed reactions (aside from the Michaelis complex) occur subsequently to the rate-detcg. transition state, and that an acyl-enzyme intermediate, if such is formed, cannot be trapped with MeOH-14C in these systems.

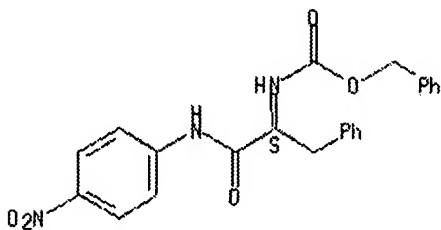
IT 19647-71-3P 24788-07-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 19647-71-3 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

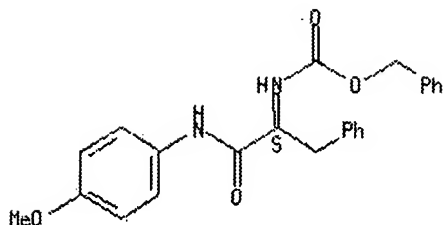


RN 24788-07-6 CAPLUS

CN Carbamic acid, [α-[(p-methoxyphenyl)carbamoyl]phenethyl]-, benzyl ester, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.

STN Columbus



L9 ANSWER 162 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1969:29292 CAPLUS

DN 70:29292

TI p-Nitranilides of amino acids

IN Kasafirek, Evzen; Rudinger, Josef

SO Czech., 3 pp.

CODEN: CZXXA9

DT Patent

LA Czech

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CS 126411		19680315	CS	19660315

AB The NH₂ groups of amino acids are protected with a benzyloxycarbonyl (Z) or tosyl (Tos) group and the compd. treated with the condensation product of 2 moles p-O₂NC₆H₄NH₂ and 1 mole PCl₃ (phosphorazo compd.). The protective groups are then split off with HBr in AcOH. Thus, a soln. of 2.75 g. p-O₂NC₆H₄NH₂ in 40 ml. pyridine is treated at -20° with 0.9 ml. PCl₃, the mixt. kept 30 min. at -20° and 30 min. at room temp., the protected amino acid (20 milli-moles) is added and the mixt. boiled 3 hrs. to yield the following protected p-nitranilides (I) (protected amino acid, % yield, and m.p. given): Z-glycine, 72, 176-8°; Z-L-proline, 67, 161-4°; Z-L-leucine, 55, 144-7°; Z-S-benzyl-L-cysteine, 64, 142-6°; Z-L-phenylalanine, -, 185-91°; Tos-L-leucine, 58, 182-9°; Tosglycine, 50, 181-8°. To remove the protective groups, a soln. of 0.15 mole I in 100 ml. AcOH is treated with 100 ml. 35% HBr soln. in AcOH, and the mixt. kept 1 hr. to give the following p-nitranilides (amino acid, % yield, and m.p. given): glycine, 69, 169-71°; L-phenylalanine (II), 71, 156-7°; L-leucine, 50, 90-1°; Gly-L-Phe, 80, 174-7°. The p-nitranilide of acetyl-L-phenylalanine, obtained in 88% yield by treating II with Ac₂O in pyridine at 5°, gave crystals, m. 243-6°. A soln. of 2.1 g. Z-glycine in 25 ml. CHCl₃ and 1.4 ml. N-ethylpiperidine was stirred 10 min. at 5° with 1.4 ml. sec-BuO₂CCl and a soln. of 2.85 g. II in 30 ml. CHCl₃ and 10 ml. tetrahydrofuran added to yield 3.7 g. Z-Gly-L-Phe, m. 198-202°.

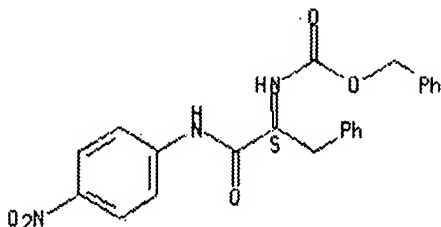
IT 19647-71-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 19647-71-3 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 163 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1969:29255 CAPLUS

DN 70:29255

TI Optical rotatory dispersion curves of N-(N-oxido-2-pyridyl)amino compounds. IV. Amino amides

AU Tortorella, Vincenzo; Bettoni, Giancarlo

CS Univ. Roma, Rome, Italy

SO Gazzetta Chimica Italiana (1968), 98(3), 324-30

CODEN: GCITA9; ISSN: 0016-5603

DT Journal

LA Italian

AB The Cotton effect observed in O.R.D. curves in MeOH of pyridyl N-oxide amine derivs. (I) is due to an inherently dissymmetric chromophore arising from the interaction of aromatic and pyridine N-oxide groups. Intramol. H bonding leads to rigid structures energetically favored by the conformations II and III. When only one of the substituted R groups on the asym. C is a chromophoric group, R1 substitution gives pos. Cotton effect for II and no effect on III while R2 substitution gave a neg. effect for III and no effect for II. When both R1 and R2 are chromophoric substituents both conformations contribute to the Cotton effect in opposite directions due to opposing spatial relation with respect to the pyridine N-oxide nucleus. As the substituted R1 group changes in order of increasing absorption peak λ with const. R2 from carboxyl to amide, cyclohexylamide, benzylamide, anilide, p-toluide, p-naphthylamide, the Cotton effect becomes more pos. Similarly with const. R1 as the R2 group changes from Me and iso-Pr to benzyl and Ph (increasing absorption peak of chromophore), the more neg. the Cotton effect. When an aromatic ring is present in one of the R groups that is not directly linked to the asym. C atom, the effect of both substituents in R1 on the width of the O.R.D. curves is additive for any const. R2 series. All the compds. were prepd. from aminobenzoyloxycarbonyl acids or amino amides in the presence of EtO₂CCl. The PhCH₂O₂C(2) group was removed by catalytic redn. or by treatment with HBr/HOAc. The following compds. were prepd. (m.p. given): Z-L-Val-NHCH₂Ph, 170-2°; L-Val-NHCH₂Ph (IV).-HBr, 162-4°; Z-L-Val-NHC₆H₄Me-p, 191-2°; L-Val-NHC₆H₄Me-p (V) 54-6°; Z-L-Leu-NHC₁₀H₇- β ·H₂O, 153-6°; Z-L-Leu-NHCH₂Ph, 161-2°; L-Phe-NHCH₂Ph (VI), 68-9°; Z-L-Phe-NHC₆H₄Me-p, 170-1°; L-Phe-NHC₆H₄Me-p (VII), 86-7°; Z-D-PheNHCH₂CONHC₆H₁₁ (C₆H₁₁-cyclohexyl), 200-1°; D-PheNHCH₂CONHC₆H₁₁ (VIII), 92-3°; Z-D-PheNHCH₂-CONHPh, 193-4°; D-PheNHCH₂CONHC₁₀H₇- β (IX).HBr.0.5-H₂O, 226° (decompn.). The following pyridyl N-oxide derivs. were prepd. (corresponding amide and m.p. given): IV, 141-2°; V 220° (decompn.) L-Leu-NHC₁₀H₇- β , 206° (decompn.); L-Phe-NH₂, 187-8°; VI, 170-1°; L-Phe-NHPh, 211° (decompn.); VII, 202° (decompn.); VIII, 204° (decompn.); D-PheNHCH₂-CONHPh, 221-2° (decompn.); IX, 228° (decompn.).

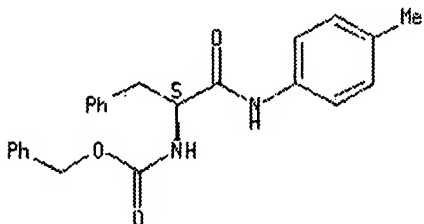
IT 20998-88-3P 20998-91-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

STN Columbus

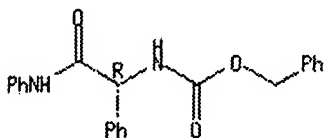
RN 20998-88-3 CAPLUS
 CN Carbamic acid, [α -(p-tolylcarbamoyl)phenethyl]-, benzyl ester, L-(8CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 20998-91-8 CAPLUS
 CN Carbamic acid, [(1R)-2-oxo-1-phenyl-2-(phenylamino)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 164 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1968:487424 CAPLUS

DN 69:87424

TI Synthesis of optically pure P-nitroanilides of amino acids

AU Ramenskii, E. V.; Botvinik, M. M.; Beisembaeva, R. U.

CS Mosk. Gos. Univ. im. Lomonosova, Moscow, USSR

SO Khimiya Prirodnykh Soedinenii (1968), 4(1), 23-7

CODEN: KPSUAR; ISSN: 0023-1150

DT Journal

LA Russian

AB In this abstr. Z = PhCH₂O₂C, PNA = NHC₆H₄NO₂-p, dicyclohexylcarbodiimide = DCC, and THF = tetrahydrofuran. The title compds. were synthesized thus: By combining 7.24 g. of p-H₂NC₆H₄NO₂ (I) and 4.02 g. of DCC in 100 ml. abs. THF contg. 2.7 g. L-Z-Phe 38% L-Z-Phe-PNA (II) was formed, m. 158.5-9.5° (80% alc.), [α]₄₃₆ 141°, (c 0.94, acetone). Treatment of 0.57 g. of II with 5 ml. 30% HBr-HOAc removed the Z-group. The HBr salt was then treated with 0.4 ml. 6.72N NH₄OH, yielding 0.20 g. of the corresponding base L-Phe-PNA (III), m. 156.5-7.5°, [α]₄₃₆ -314° (c 0.79, acetone). Acetylation of III was done by dissolving 0.45 g. III in 1 ml. boiling HOAc, cooling to 40°, adding 0.25 ml. Ac₂O and boiling 2 min. to give 0.44 g. of yellow-colored L-Ac-Phe-PNA (IV), m. 252-3°, [α]₅₀₀ 117° (c 0.5, acetone), [α]₄₃₆ 216° (c 0.5, acetone). L-Ac-Leu-PNA, m. 192-4°, [α]₅₄₆ -10.8° (c 0.46, acetone) was obtained as in IV. D-Ac-Phe-NHNH₂ (V), m. 166-8°, [α]_{20D} -22° (c 1.2, EtOH), was converted to N'-acetyl-D-phenylalanyl-3,5-dimethylpyrazole (VI). Thus, 0.22 g. of V suspended in 5 ml. abs. alc. was added to 0.2 ml. freshly distd. Ac₂CH₂ to give 0.215 g. VI, m. 147.5-9.0°, (C₆H₆-petroleum ether),

STN Columbus

[α]436 -296° (c 0.45, EtOH). Treatment of VI with I under varying conditions produced partially racemized IV.

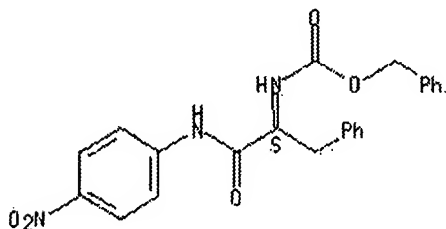
IT 19647-71-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 19647-71-3 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 165 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1968:78612 CAPLUS

DN 68:78612

TI Potential antiviral agents. Carbobenzoxy di- and tripeptides active against measles and herpes viruses

AU Nicolaides, Ernest D.; De Wald, Horace A.; Westland, Roger D.; Lipnik, Marilyn; Posler, Jeanette

CS Parke, Davis and Co., Ann Arbor, MI, USA

SO Journal of Medicinal Chemistry (1967), 11(1), 74-9

CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

AB A large no. of carbobenzoxy dipeptides, several tripeptides, and a no. of alkyl, cycloalkyl, aryl, and heterocyclic amide derivs. of carbobenzoxy-L-and D-phenylalanine were synthesized. Many of the peptides were active against measles and herpes viruses.

IT 16876-71-4P 17462-13-4P 17462-19-0P

17462-21-4P 17462-24-7P 17462-27-0P

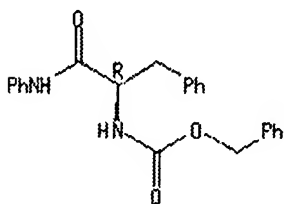
17462-29-2P 17462-30-5P 17572-38-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 16876-71-4 CAPLUS

CN Carbamic acid, [2-oxo-2-(phenylamino)-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

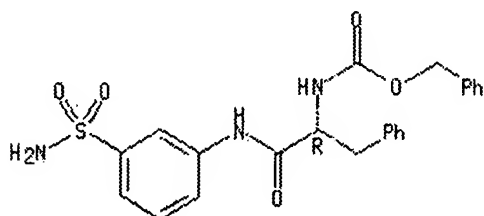


STN Columbus

RN 17462-13-4 CAPLUS

CN Carbamic acid, [α -[(m-sulfamoylphenyl)carbamoyl]phenethyl]-, benzyl ester, D- (8CI) (CA INDEX NAME)

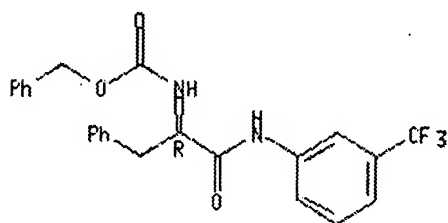
Absolute stereochemistry.



RN 17462-19-0 CAPLUS

CN Carbamic acid, [α -[(α,α,α -trifluoro-m-tolyl)carbamoyl]phenethyl]-, benzyl ester, D- (8CI) (CA INDEX NAME)

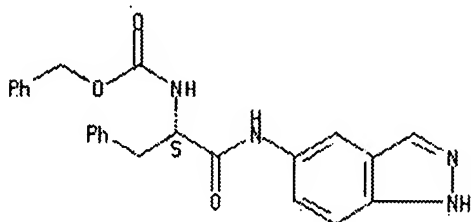
Absolute stereochemistry.



RN 17462-21-4 CAPLUS

CN Carbamic acid, [α -(1H-indazol-5-ylcarbamoyl)phenethyl]-, benzyl ester, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.

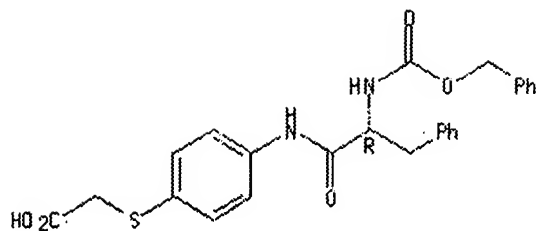


RN 17462-24-7 CAPLUS

CN Acetic acid, [[p-[α -(carboxyamino)hydrocinnamamido]phenyl]thio]-, N-benzyl ester, D- (8CI) (CA INDEX NAME)

Absolute stereochemistry.

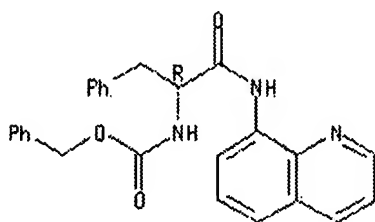
STN Columbus



RN 17462-27-0 CAPLUS

CN Carbamic acid, [α-(8-quinolylcarbamoyl)phenethyl]-, benzyl ester, D- (8CI) (CA INDEX NAME)

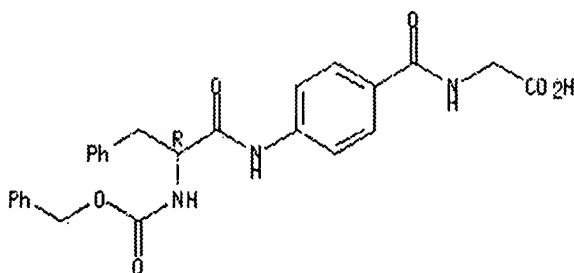
Absolute stereochemistry.



RN 17462-29-2 CAPLUS

CN Hippuric acid, p-[α-(carboxyamino)hydrocinnamamido]-, p-benzyl ester, D- (8CI) (CA INDEX NAME)

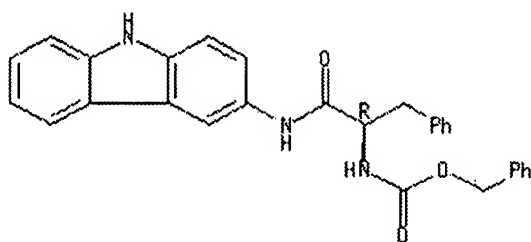
Absolute stereochemistry.



RN 17462-30-5 CAPLUS

CN Carbamic acid, [α-(carbazol-3-ylcarbamoyl)phenethyl]-, benzyl ester, D- (8CI) (CA INDEX NAME)

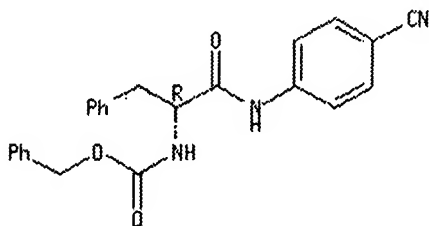
Absolute stereochemistry.



STN Columbus

RN 17572-38-2 CAPLUS
 CN Carbamic acid, [α -[(p-cyanophenyl)carbonyl]phenethyl]-, benzyl ester, D- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 166 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1968:69314 CAPLUS

DN 68:69314

TI Polypeptides. VI. Variations of the terminal amidePolypeptides. VI.
 Variations of the terminal amide position in the C-terminal tetrapeptide
 amide sequence of the gastrins

AU Gregory, Harold; Laird, Alan H.; Morley, John S.; Smith, John Munro

CS Imp. Chem. Ind. Ltd. Pharm. Div., Macclesfield, UK

SO Journal of the Chemical Society [Section] C: Organic (1968), (5), 522-31
 CODEN: JSOOAX; ISSN: 0022-4952

DT Journal

LA English

AB The synthesis is described of analogs of L-tryptophyl-L-methionyl-L-
 aspartyl-L-phenylalanine amide (the C-terminal sequence of the gastrins)
 and(or) its N-benzoyloxycarbonyl or N-tert-butoxycarbonyl derivs. wherein
 the terminal amide group has undergone replacement by H, carboxy,
 hydroxymethyl, glycol amide, L-phenylalanyl amide, or various mono- and
 disubstituted amide groups.

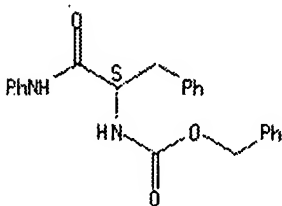
IT 15366-12-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 15366-12-8 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-(phenylamino)-1-(phenylmethyl)ethyl]-,
 phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 167 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1968:30014 CAPLUS

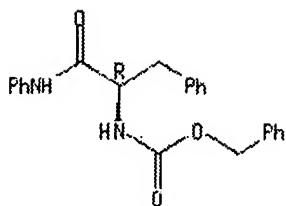
DN 68:30014

STN Columbus

TI Use of 2-halopyridine N-oxides in peptide chemistry. I. Use of
 2-fluoropyridine N-oxide in gradual degradations
 AU Tortorella, Vincenzo; Tarzia, Giorgio
 CS Univ. Rome, Rome, Italy
 SO Gazzetta Chimica Italiana (1967), 97(10), 1479-86
 CODEN: GCITA9; ISSN: 0016-5603
 DT Journal
 LA Italian
 AB I, where n is >0 and R1 is an alkyl group, II, where Ar is an aryl group,
 and III, where R1 and R2 are alkyl groups, are prepd. from
 2-fluoropyridine N-oxide (IV) and peptides and amino acids. Thus,
 N-benzyloxycarbonyl-amino acids are treated with amines in the presence of
 ClCO₂Et, as the PhCH₂O₂C group is removed by catalytic redn. or by
 treatment with HBr in HOAc, to give the following compds. [m.p. and
 [α]_D given]: benzyloxycarbonyl-β-alanine N-cyclohexylamide,
 157-8° (CH₂Cl₂-hexane), -; β-alanine N-cyclohexylamide-HBr,
 193-4° (EtOH-Et₂O), -; benzyloxycarbonyl-DL-β-aminobutyric
 acid N-cyclohexylamide, 184-5° (CH₂Cl₂-hexane), -;
 DL-β-aminobutyric acid N-cyclohexylamide-HOAc, 134-5°
 (hexane-CHCl₃), -; benzyloxycarbonyl-γ-aminobutyric acid
 N-cyclohexylamide[sic], 124-5° (CH₂Cl₂-hexane), -;
 γ-aminobutyric acid N-cyclohexylamide-HBr, 143-4°
 (EtOH-Et₂O), -; benzyloxycarbonyl-D-phenylalanine anilide, 167-8°
 (MeOH), -; D-phenylalanine anilide, 74-5° (EtOH-water), -19°
 (EtOH); benzyloxycarbonyl-L-phenylalanine 2-naphthylamide, 172-3°
 (CH₂Cl₂-hexane), -; benzyloxycarbonyl-L-valine anilide, 182-4°
 (CH₂Cl₂-hexane), -27.5°; Z-Sar-L-Phe-NHCyc (Z = PhCH₂OCO, Cyc =
 cyclohexyl), 162-4° (CH₂Cl₂-hexane), -13°; Sar-L-Phe-NHCyc,
 125-6° (CH₂Cl₂-hexane), -11°; Z-L-Pro-L-Phe-NHCyc,
 177-8° (CH₂Cl₂-hexane), -81°; L-Pro-L-Phe-NHCyc,
 133-4° (CH₂Cl₂-hexane), -54°. IV is treated with the
 peptide amides and amino acid amides according to Tortorella to give the
 following I (amide reactant and m.p. I given): β-alanine
 N-cyclohexylamide, 125-8° (Me₂CO); DL-β-aminobutyric acid
 N-cyclohexylamide, 135-6° (164-5°); γ-aminobutyric
 acid N-cyclohexylamide, 149-50° (Me₂CO); the following II (amide
 reactant, m.p. and [α]_D given): D-phenylalanine anilide,
 211-13° (MeOH), -; L-phenylalanine 2-naphthylamide, 212-13°
 (MeOH-Et₂O), +73°; L-valine anilide, 230° (decompn.)
 (MeOH-Et₂O), 126°; and the following III (amide reactant, m.p. and
 [α]_D given): Sar-L-Phe-NHCyc, 136-7° (Me₂CO-hexane),
 -90°; L-Pro-L-Phe-NHCyc.0.5H₂O, 170° (decompn.)
 (MeOH-water), -115° (EtOH). Mixts. of I and 8 ml. 99% HCO₂H are
 refluxed 3 hrs. and the I remain intact. II treated with 99% F₃CCO₂H gave
 the N-(2-pyridyl)amino acids; III are treated with 99% HCO₂H to give
 N-(2-pyridyl)amino acids.
 IT 16876-71-4P 16876-73-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 16876-71-4 CAPLUS
 CN Carbamic acid, [2-oxo-2-(phenylamino)-1-(phenylmethyl)ethyl]-,
 phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

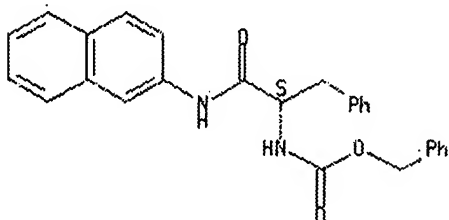
STN Columbus



RN 16876-73-6 CAPLUS

CN Carbamic acid, [2-(2-naphthalenylamino)-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 168 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1967:482392 CAPLUS

DN 67:82392

TI Diagnostic and therapeutic amide derivatives

IN Morley, John S.

PA Imperial Chemical Industries Ltd.

SO Brit., 18 pp.

CODEN: BRXXAA

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 1063728		19670330	GB	19650412
AB	cf. preceding abstr. Polypeptide derivs. are prepd. having the formula (I), (X = H or acyl; m = 0-3; n = 1-4; Y = H, alkylthio, or aralkylthio; R1 = R2 = H, NH2, alkyl, aryl, or joined to form a heterocycle). Acylated I affect gastric and pancreatic secretion, gastric and intestinal tone and motility, and pepsin output in mammals, and are, therefore, useful as diagnostic agents and in the treatment of gastric or duodenal ulcers or paralytic ileus. Thus, 4.53 parts L-norleucyl-L-aspartyl-L-phenylalaninamide acetate (m. 223-5°), in 20 parts water was added to 50 parts HCONMe2 contg. 2.02 parts Et3N at 0-10°, 5.32 parts N-tert-butoxycarbonyl-L-tryptophan 2,4,5-trichlorophenyl ester added, the mixt. stirred at 0-5° 2 days and at 20-22° 1 day, and the mixt. added to ice water 200, concd. HCl 0.74, and HOAc 2.4 parts to give a solid residue of N-tert-butoxycarbonyl-L-tryptophanyl-L-norleucyl-L-aspartyl-L-phenylalaninamide (II), m. 217-18° (decompn.). II (2.5 parts) was added to 10 parts 80% aq. F3CCO2H, the mixt. stirred 1 hr. at 15-20°, and 50 parts Et2O added to give L-tryptophanyl-L-norleucyl-L-aspartyl-L-phenylalaninamide trifluoroacetate (III), m. 205-6° with effervescence. II (4.6 parts) in 30 parts hot HOAc was added to 20 parts 1.75N HCl in HOAc at 20-5° with external cooling, the mixt. maintained at 20-5° 1.5 hrs., and 30 parts Et2O added to give				

STN Columbus

L-tryptophanyl-L-norleucyl-L-aspartyl-L-phenylalaninamide-HCl (IV), m. 235° (decompn.). IV 3.08, HCONMe₂ 50, water 25, and Et₃N 1.01 parts was stirred at 20-2° 10 min., the soln. cooled to 0°, 1.72 parts N-acetyl-β-alanine 2,4,5-trichlorophenyl ester (m. 88-90°) added, the mixt. stirred at 0-5° 3 days, at 40-5° 5 min., and added to ice water 400, concd. HCl 0.74, and EtOAc 100 parts to give N-acetyl-β-alanyl-L-tryptophanyl-L-norleucyl-L-aspartyl-L-phenylalaninamide, m. 240-2° with effervescence. [TABLE OMITTED] Similarly prepd. were N-benzyloxycarbonylglycyl-L-tryptophanyl-L-norleucyl-L-aspartyl-L-phenylalaninamide, m. 228-30°, from III and N-benzyloxycarbonylglycine 2,4,5-trichlorophenyl ester and the corresponding N-tert-butoxycarbonyl-β-alanyl-L-tryptophanyl-L-norleucyl-L-aspartyl-L-phenylalanineamide, m. 217-19° with effervescence, from IV and N-tert-butoxycarbonyl-β-alanine. Also prepd. were the following N-hydrocarbyloxycarbonyl-L-(acyl group 1)-L-(acyl group 2)-L-aspartyl-L-phenylalaninamides (V) usually from L-(acyl group 2)-L-aspartyl-L-phenylalaninamide acetate (VI) and N-hydrocarbyloxycarbonyl-L-(acyl group 1) 2,4,5-trichlorophenyl ester (VII) (see table).

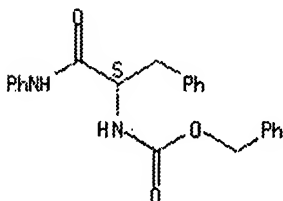
IT 15366-12-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 15366-12-8 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-(phenylamino)-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 169 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1967:36734 CAPLUS

DN 66:36734

TI Amino acid-(phenylazo)-phenyl derivatives. X. The phytotoxic effect of amino acid-4-(phenylazo)-phenylamides

AU Barth, Alfred; Schwenk, Paul

CS Inst. Naturwiss. Bernburg, Germany

SO Acta Chimica Academiae Scientiarum Hungaricae (1966), 49(4), 405-16
CODEN: ACASA2; ISSN: 0001-5407

DT Journal

LA German

AB cf. CA 65, 15723b. Amino acid-4-(phenylazo)phenylamides exhibited phytotoxic effects against *Sinapis alba*. Glycine-4-(phenylazo)phenylamide, DL-valine-4-(phenylazo)phenylamide, β-alanine-4-(phenylazo)phenylamide, sarcosine-4-(phenylazo)-phenylamide, and α-aminoisobutyrate-4-(phenylazo)phenylamide possessed strong activity, while DL-norleucine-4-(phenylazo)-phenylamide, DL-alanine-4-(phenylazo)phenylamide, DL-leucine-4-(phenylazo)phenylamide, and DL-phenylalanine-4-(phenylazo)phenylamide were less active herbicides against *S. alba*. The introduction of electron-withdrawing substituents into the (phenylazo)phenyl component decreased the herbicide efficiency, suggesting that H bonding may be involved. Differences in herbicide

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activity between the D- and L-stereoisomers of these compds. were observed; for instance, D-phenylalanine-4-4(phenylazo)phenylamide was active, whereas L-phenylalanine-4-(phenylazo)phenylamide was not active. 15 references.

IT 14378-84-8P 14538-98-8P 14539-00-5P

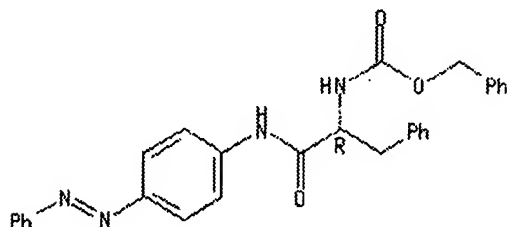
14539-01-6P 89732-77-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 14378-84-8 CAPLUS

CN Carbamic acid, [2-oxo-2-[[4-(phenylazo)phenyl]amino]-1-(phenylmethyl)ethyl]-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

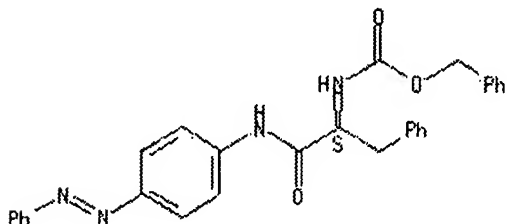
Absolute stereochemistry.
Double bond geometry unknown.



RN 14538-98-8 CAPLUS

CN Carbamic acid, [α -[[p-(phenylazo)phenyl]carbonyl]phenethyl]-, benzyl ester, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

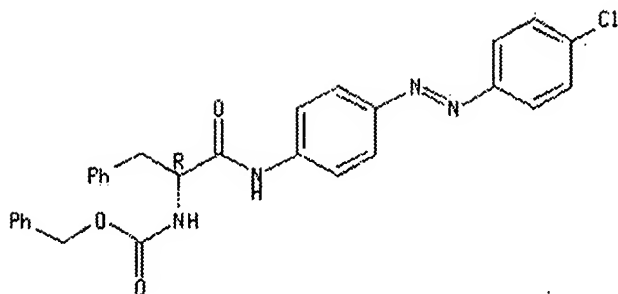


RN 14539-00-5 CAPLUS

CN Carbamic acid, [α -[[p-[(p-chlorophenyl)azo]phenyl]carbonyl]phenethyl]-, benzyl ester, D- (8CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

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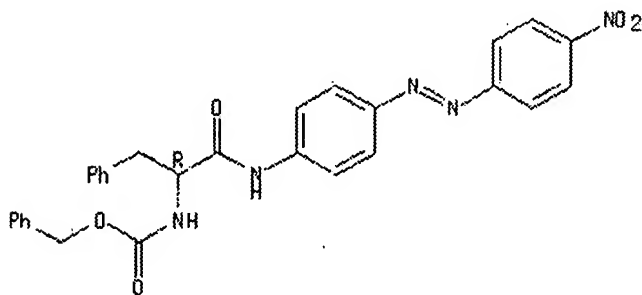


RN 14539-01-6 CAPLUS

CN Carbamic acid, [α-[[p-[(p-nitrophenyl)azo]phenyl]carbamoyl]phenethyl]-, benzyl ester, D- (8CI) (CA INDEX NAME)

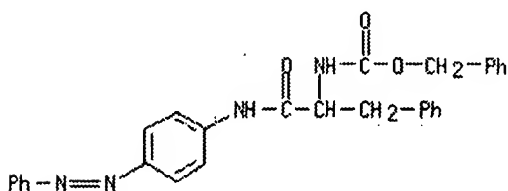
Absolute stereochemistry.

Double bond geometry unknown.



RN 89732-77-4 CAPLUS

CN Carbamic acid, [2-oxo-2-[[4-(phenylazo)phenyl]amino]-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 170 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1967:29048 CAPLUS

DN 66:29048

TI Synthesis of p-nitroanilides of N-acylated amino acids

AU Botvinik, M. M.; Ramenskii, E. V.

SO Vestnik Moskovskogo Universiteta, Seriya 2: Khimiya (1966), 21(5), 127-30
CODEN: VMUKA5; ISSN: 0579-9384

DT Journal

LA Russian

AB To prepare the title compds. the carbobenzoxyated deriv. and p-nitroaniline (I) were first combined using dicyclohexylcarbodiimide (II) to form the amide bond. The Z-group (Z = carbobenzoxy) was removed with

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HBr-HOAc and the amino group reacylated with Ac₂O. Thus, 7.24 g. D-Z-Phe, m. 88-9°, [α] 20 D -4.5° (c 5, HOAc) and 2.7 g. I was treated with 4.02 g. II in 100 ml. tetrahydrofuran. After 20 hrs. the urea was removed and the filtrate concd. in vacuo to give 3.12 g. D-Z-Phe-NHC₆H₄NO₂-p (III), m. 158-9° (80% alc.), [α] 436 -154° (c 0.94, Me₂CO). Similarly obtained was DL-Z-Phe-NHC₆H₄NO₂-p (IV), m. 208-9°. After treatment of IV with HBr-HOAc to remove the Z-group, the resulting anilide HBr salt was treated with NH₄OH to give the free base Phe-NHC₆H₄NO₂-p (V), m. 128.5-9.5°. Similarly, from III was obtained D-Phe-NHC₆H₄NO₂-p (VI), m. 156.5-7.5°, [α] 436 315.8° (c 0.79, Me₂CO). Treating VI in HOAc with Ac₂O gave 86% D-Ac-Phe-NHC₆H₄NO₂, m. 251-2°, [α] 436 -242.6° (c 1, Me₂CO). Alternately, reaction of 0.17 g. of 1-(carbobenzoxy(glycyl))-3,5-dimethylpyrazole with 0.12 g. I for 5 hrs. at 120-30° gave 89% Z-Gly-NHC₆H₄NO₂-p, m. 170-2°. An attempt to prepare 1-(N-benzoyl-L-tyrosyl)-3,5-dimethylpyrazole from Bz-Tyr-NHNH₂ and acetylacetone in abs. alc. gave, by analysis, the hydrazide of N-benzoyl-L-tyrosylacetylacetone, m. 149-50°.

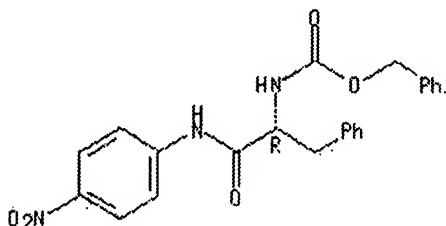
IT 14235-15-5P 14235-16-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 14235-15-5 CAPLUS

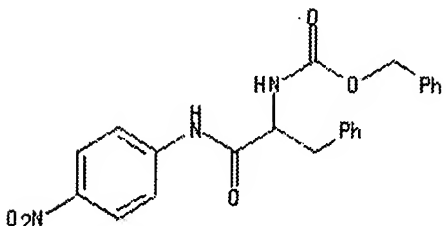
CN Carbamic acid, [(1R)-2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 14235-16-6 CAPLUS

CN Carbamic acid, [2-[(4-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 171 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1963:422024 CAPLUS

DN 59:22024

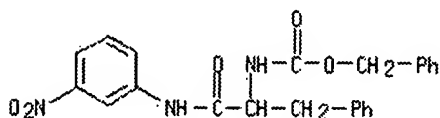
OREF 59:4029b-c

TI Synthesis of N, N-bis(2-chloroethyl)-DL-phenylalanine hydrochloride

AU Le, William W.; Ton, George L.; Martine, Abelardo P.; Weinstein, Boris;

STN Columbus

- Schelstraet, Marc G. M.; Bake, B. R.; Goodma, Leon
 CS Stanford Res. Inst., Menlo Park, CA
 SO Journal of Medicinal Chemistry (1963), 6(4), 439-42
 CODEN: JMCMAR; ISSN: 0022-2623
 DT Journal
 LA Unavailable
 AB The conversion of Me DL-phenylalaninate (I) to the α -mustard (II) of DL-phenylalanine is described. Reaction of I with ethylene oxide gave 3-benzyl-4(2-hydroxyethyl)morpholin-2-one. This reacted with ammonia to give 2-[bis(2-hydroxyethyl)amino]-3-phenylpropionamide. Chlorination followed by acid hydrolysis gave II. Neither II nor the morpholine mustard (III) exhibited significant antitumor activity against Walker 256 Sarcoma, Sarcoma 180, Adenocarcinoma 755, and Leukemia L-1210. 2-[Bis(2-chloroethyl)amino]-3-phenylpropionamide was inactive against Walker 256 Sarcoma.
 IT 6941-04-4, Carbamic acid, [α -(m-nitrophenyl)carbamoyl]phenethyl]-, benzyl ester (prepn. of)
 RN 6941-04-4 CAPLUS
 CN Carbamic acid, [2-[(3-nitrophenyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 172 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

- AN 1962:423423 CAPLUS
 DN 57:23423
 OREF 57:4749g-i,4750g-h
 TI Amino acid β -naphthylamides for determining activity of proteolytic enzymes
 AU Nesvadba, Hans
 CS Univ. Vienna
 SO Monatshefte fuer Chemie (1962), 93, 386-96
 CODEN: MOCMB7; ISSN: 0026-9247
 DT Journal
 LA Unavailable
 AB β -Naphthylamine (I) derivs. of amino acids are prepd, by coupling, for example, 1.13 g. carbobenzoxy-L-valine, 0.64 g. I, and 0.92 g. dicyclohexylcarbodiimide in 9 ml. tetrahydrofuran overnight in an icechamber; the dicyclohexylcarbodiimide complex dissolved in EtOAc, washed with N HCl, H2O, 4% NaHCO3, H2O, dried over MgSO4, then dried in vacuo gave carbobenzoxy-L-valine naphthylamide, which was hydrolyzed with 25% HBr/HOAc 1 hr. at room temp., concd. in vacuo, and pptd. with ether to give L-valine naphthylamide. Where Cbo = carbobenzoxy, NA = naphthylamide, the following derivs. wereprepd.; Cbo-L-valine-NA, m. 213-13.5°; L-valine-NA, m. 122-3°, [α]23D 35.0° (c 1, MeOH); Cbo-L-isoleucine-NA, m. 202-4°; L-isoleucine-NA.HBr, m. 212-13° [α]23D 70.0 (c 1, H2O); Cbo-L-serine-NA, m. 185-6°; L-serine-NA, m. 151.5-2.5°, [α]23D -2.0° (c 1, MeOH); Cbo-L-proline-NA, m. 131-3°; L-proline-NA.HBr, m. 238-40°, [α]21D -23.0° (c 1, MeOH); Cbo-L-hydroxyproline-NA, m. 172-3°; L-hydroxyproline-NA, m. 178.5-80°, [α]24D -34.0° (c 1, MeOH); Cbo-L-histidine-NA, m. 174°; L-histidine-NA, m. 178-80°,

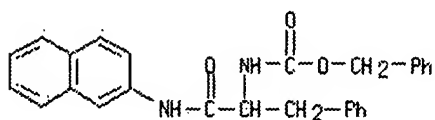
STN Columbus

[α]22D 38.0° (c 1, MeOH); Na-Cbo-O-benzyl-L-tryosine-NA, m. 181-3°; L-tryosine-NA, m. 194-6° [α]22D -152.0° (c 1, glacial HOAc); Cbo-L-tryptophan-NA, m. 181-3° L-tryptophan-NA, m. 145-6° [α]23D 76.0° (c 1, MeOH); Cbo-L-phenylalanine-NA, m. 173-4°; L-phenyl-alanine-NA, m. 128-9°, [α]23D 86.0° (c 1, MeOH); Cbo-L-asparagine- β -benzyl ester- α -NA, m. 171-2°; L-asparagine- β -NA, m. 234-5°, [α]28D 42.3° (c 1, 95% HOAc); Cbo-L-asparagine- α -benzylester- β -NA, m. 180-1°; L-asparagine β -NA, m. 252-4°, [α]28D 1.4° (c 0.5, 95% HOAc); Cbo-L-glutamic acid- γ -benzyl ester- γ -NA, m. 181-3°; L-glutamic acid- α -NA, m. 184-6°, [α]28D 65.7° (c 1, 95% HOAc); Cbo-L-glutamic acid- α -benzyl ester- α -NA, m. 150-1°; L-glutamic acid- γ -NA, m. 207°, [α]28D 0.5° (c 1, 95% HOAc); di-Cbo-L-lysine-NA, m. 151.5-3.5°; L-lysine-NA carbonate, m. 104-5°, [α]19D 89.0° (c 1, N HCl); L-lysine-NA dipicrate, m. 238-9° (decompn.); di-Cbo-L-ornithine-NA, m. 176-8°; L-ornithine-NA carbonate monohydrate, m. 115-17°, [α]21D 82.8° (c 1, N HCl); L-ornithine-NA dipicrate, m. 226-8° (decompn.); tri-Cbo-L-arginine-NA, m. 192-4°; L-arginine NA carbonate hemihydrate, m. 150-8° [α]21D 61.4° (c 1, 95% HOAc).

IT 96068-67-6, Carbamic acid, [α -(2-naphthylcarbamoyl)phenethyl]-, benzyl ester 96980-38-0, Carbamic acid, [p-(benzyloxy)- α -(2-naphthylcarbamoyl)phenethyl]-, benzyl ester (prepn. of)

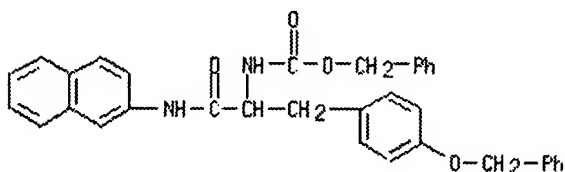
RN 96068-67-6 CAPLUS

CN Carbamic acid, [α -(2-naphthylcarbamoyl)phenethyl]-, benzyl ester (7CI) (CA INDEX NAME)



RN 96980-38-0 CAPLUS

CN Carbamic acid, [p-(benzyloxy)- α -(2-naphthylcarbamoyl)phenethyl]-, benzyl ester (7CI) (CA INDEX NAME)



L9 ANSWER 173 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1962:404021 CAPLUS

DN 57:4021

OREF 57:830a-i, 831a-h

TI 1,3-Dihydro-2H-1,4-benzodiazepin-2-ones and their 4-oxides

AU Bell, Stanley C.; Sulkowski, Theodore S.; Gochman, Carl; Childress, Scott

J.
 CS Wyeth Labs., Inc., Radnor, PA, USA
 SO Journal of Organic Chemistry (1962), 27, 562-6
 CODEN: JOCEAH; ISSN: 0022-3263
 DT Journal
 LA Unavailable
 AB Alc. NaOH converted 6-chloro-2-chloromethyl-4-phenylquinazoline 3-oxide (I) into 7-chloro-5-phenyl-1,3-dihydro-2H-1,4-benzo-diazepin-2-one 4-oxide (II). 7-Chloro-5-phenyl-1,3-dihydro-2H-1,4-benzodiazepin-2-one (III) was prepd. by redn. of II and by several alternate routes. A no. of analogs were made. The following methods were employed. Method A. I (1.5 g.) added to 2 g. NaOH in 30 ml. 85% alc., the mixt. stirred 0.5 hr., dild. with 30 ml. H₂O, and acidified gave 1 g. II, m. 238-9°. Method A also afforded the product prepd. from 2-(α -bromoethyl)-6-chloro-4-phenylquinazoline 3-oxide. Alc. was used as the solvent. In addn. a 22% yield of 7-chloro-2-ethoxy-3-methyl-5-phenyl-3H-1,4-benzodiazepine 4-oxide, m. 156-7°, was isolated. Method B. III (1 g.) and 1 ml. 40% AcOH in 25 ml. AcOH kept 24 hrs. at room temp., dild. with 200 ml. H₂O, neutralized, and crystd. gave 0.5 g. II. Method C. 2-Amino-5-chlorobenzophenone (23 g.) in 100 ml. CHCl₃ treated at room temp. with 8.5 ml. ClCH₂COCl in 50 ml. CHCl₃, after 1 hr. the solvent removed, and the residue crystd. gave 24 g. 2-chloroacetamido-5-chlorobenzophenone (IV), m. 119-21°. IV (5 g.) added to 125 ml. alc. satd. with NH₃ and contg. a trace of NaI, the mixt. stirred 2 days, evapd., the solid extd. with dil. HCl, and neutralized gave 1.2 g. III, m. 214-16°; MeI salt m. 250-1°. III.MeI (3 g.) in 300 ml. H₂O treated dropwise with NaBH₄ in H₂O and the ppt. recrystd. gave 1.8 g. 7-chloro-4-methyl-5-phenyl-1,3,4,5-tetrahydro-2H-1,4-benzo-diazepin-2-one, m. 206-8°. Method D. The compd. (2.5 g.) in 120 ml. 80% alc. and 2 ml. 6N HCl shaken with H in the presence of 1 g. 5% Pd-C, the filtrate evapd., MeCN added, the salt sepd., and treated with Na₂CO₃ gave 1.3 g. 5-phenyl-1,3-dihydro-2H-1,4-benzodiazepin-2-one (V), m. 170-80° (C₆H₆). V was isolated by catalytic redn. of II. When a third mole of H was added, satn. of the double bond occurred to give 50% 5-phenyl-1,3,4,5-tetrahydro-2H-1,4-benzodiazepin-2-one, m. 145-6°. Method E. α -Carbo-benzoxamidophenylacetyl chloride (from 10 g. α -carbo-benzoxamidophenylacetic acid and 7.9 g. PCl₅ in 200 ml. Et₂O) left overnight with 8 g. 2-amino-5-chlorobenzophenone gave 9.8 g. product, m. 137°. This product (8 g.) in 25 ml. AcOH contg. 30% HBr left 1 hr., the product dissolved in 100 ml. 75% aq. MeOH, neutralized, and poured on ice gave a solid, presumably 2-(α -aminophenylacetamido)-5-chlorobenzophenone, which was refluxed in PhMe over-night to give 90% 7-chloro-3,5-diphenyl-1,3-dihydro-2H-1,4-benzodiazepin-2-one, m. 270° (decompn.) (PhMe). 2-(α -Carbobenzoxamidoacetamido)-5-chlorobenzophenone (VI), m. 115-16° (alc.), was prepd. as in the above example and used in method E to give III. Method F. 1-Aminocyclopentanecarboxylic acid (12.9 g.), 40 g. PCl₅, and 300 ml. CCl₄ shaken 18 hrs., the solid filtered off, washed, and dried gave 18.3 g. acid chloride-HCl, m. above 300°. This product in 20 g. 2-amino-5-chlorobenzophenone in 400 ml. CCl₄ shaken overnight, the mixt. evapd., and the residue extd. with hot PhMe gave 13.5 g. 7-chloro-5-phenylspiro(3H-1,4-benzodiazepin-3,1'-cyclopentan)-2(1H)-one, m. 238-40° (alc.). When 2-amino-5-chlorobenzophenone and glycyl chloride-HCl were used in method F, a 15% yield of 3-amino-6-chloro-4-phenyl-2(1H)-quinoline (VII) was obtained, m. 239-41° (alc.). VII (6 g.), 30 ml. 95% alc., and 6 ml. H₂SO₄ heated on the steam bath to give a clear soln., cooled to 5°, 4 g. NaNO₂ in 10 ml. H₂O added, the mixt. stirred 20 min., 1 g. Cu powder added, the mixt. heated to reflux, poured onto ice, made basic, and the solid crystd. gave 2.1 g. 6-chloro-4-phenyl-2(1H)-quinoline (VIII), m. 262° (alc.). Di-Et malonate (10 g.) and 11.6 g. 2-amino-5-chlorobenzophenone heated 1 hr. at 150-60°, cooled,

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trituated with hexane, and the product crystd. gave 9.5 g. 3-carbethoxy-6-chloro-4-phenyl-2(1H)-quinolone (IX), m. 235° (alc.). IX (8 g.), 150 ml. 20% NaOH, and 30 ml. alc. refluxed 1 hr., cooled, and acidified gave 7 g. 3-carboxy-5-chloro-4-phenyl-2(1H)-quinolone (X), m. 305°. X (1.5 g.) refluxed 1 hr. in 50 ml. Dowtherm, dild., and chilled gave 1.1 g. VIII. Method G. II (50.8 g.) and 8.1 g. NaOH in 1.5 l. H₂O and 300 ml. alc. treated with 17.5 ml. Me₂SO₄ gave after 1 hr. 36.5 g. 7-chloro-1-methyl-5-phenyl-1,3-dihydro-2H-1,4-benzodiazepin-2-one 4-oxide (XI), m. 179-80° (alc.). Method H. PC13 (10 ml.) in 10 ml. C₆H₆ slowly added to 12.5 g. XI in 50 ml. CHCl₃ and 150 ml. C₆H₆, the mixt. refluxed 20 min., treated with 3 ml. alc. and 10 ml. C₆H₆, the ppt. sepd., stirred in 300 ml. H₂O contg. 3 ml. HCl, and the product recrystd. gave 8.7 g. 7-chloro-1-methyl-5-phenyl-1,3-dihydro-2H-1,4-benzodiazepin-2-one, m. 122-4° (cyclohexane). II (2 g.) in 15 ml. alc. and 30 ml. 5N NaOH warmed 10 min., the Na salt, m. 220-2°, collected, dissolved in H₂O, acidified, and recrystd. gave 1 g. N-(2-amino-5-chloro- α -phenylbenzylidene)glycine N-oxide, m. 150-1° (decompn.) (MeCN). N-(2-Methylamino-5-chloro- α -phenylbenzylidene)glycine N-oxide was similarly prepd., m. 150-1° (decompn.). The 2 preceding compds. could be recycled by heating 5 min. in 3N aq. alc. HCl. III (2 g.) in 15 ml. alc. and 30 ml. 5N NaOH refluxed 10 min. and the 1.5 g. Na salt (XII) of N-(2-amino-5-chloro- α -phenylbenzylidene)glycine acidified gave 2-amino-5-chlorobenzophenone and glycine. XII (3 g.) treated with 0.5 g. NaBH₄ in 15 ml. H₂O and the mixt. after 15 min. cautiously acidified gave 2.5 g. N-(2-amino-5-chloro- α -phenylbenzyl)glycine, m. 192-4°. 2-Aminoacetophenone oxime (4.6 g.) in 50 ml. AcOH treated overnight with 5 ml. ClCH₂COCl gave 4.6 g. 2-chloromethyl-4-methylquinazoline 3-oxide, m. 169-70°. p-Chlorobenzoyl chloride (100 g.) added to 45 g. p-bromoaniline, the mixt. heated to 180°, 35 g. fused ZnCl₂ added in 15 min., the mixt. heated a further 1.5 hrs., cooled, mixed into 300 ml. alc., heated 4 days in a mixt. of 250 ml. H₂SO₄, 250 ml. H₂O, and 300 ml. alc., the unhydrolyzed material removed, and the filtrate dild. with H₂O gave 14 g. 2-amino-5-bromo-4'-chlorobenzophenone, m. 122-4°; oxime (XIII) m. 175-7° (C₆H₆). XIII (12 g.) in 100 ml. AcOH treated with 5.8 ml. ClCH₂COCl and HCl passed in gave 6.6 g. 6-bromo-2-chloromethyl-4-(p-chlorophenyl)quinazoline 3-oxide, m. 180-1°. The following intermediates were prepd. as described in method C for 2-chloroacetamido-5-chlorobenzophenone: 2-chloroacetamido-5-chloro-4'-methoxybenzophenone, m. 138-40° (alc.); 2-chloroacetamido-5-chlorophenyl cyclohexyl ketone, m. 116-18° (alc.); 2-(α -bromopropionamido)-5-chlorobenzophenone, m. 113-14° (MeOH). 6-Chloro-2-chloromethyl-4-phenylquinazoline (3 g.) slowly added to 2 g. NaOH in 45 ml. alc., the mixt. stirred 1 hr., heated 0.5 hr. at 60°, cooled, kept overnight at room temp., treated with H₂O, and crystd. gave 1.6 g. 6-chloro-2-ethoxymethyl-4-phenylquinazoline, m. 94-6° (MeCN). 2-Benzamido-4'-chloroacetanilide (2 g.) and 50 ml. polyphosphoric acid heated 1 hr. gave 0.9 g. solid, identified as hippuric acid, but no III was obtained. 2-Amino-5-chlorobenzophenone (23 g.) in 50 ml. C₅H₅N treated with 21 g. p-MeC₆H₄SO₂Cl gave 36 g. 2'-benzoyl-5'-chloro-p-toluenesulfonanilide (XIV), m. 115-16°. XIV in dil. NaOH treated with Me₂SO₄ gave quant. N-methyl-2'-benzoyl-5'-chloro-p-toluenesulfonanilide (XV), m. 150-2°. Crude XV (35 g.) in 100 ml. concd. H₂SO₄ warmed 0.5 hr. on the steam bath, the soln. cooled, poured into H₂O, made basic, and crystd. gave 19 g. 2-methylamino-5-chlorobenzophenone, m. 94-6°. II (0.5 g.) refluxed 10 min. with 5 ml. SOCl₂ gave 0.3 g. III. The following 1,3-dihydro-2H-1,4-benzodiazepin-2-ones were prepd. in addn. to the above by the described methods (substituents at 1, 3, 4, 5, and 7 positions, m.p. of product, method, recrystn. solvent, and % yield given): H, H₂, -, Me, H, 285-6°, D, alc., 45; H, H₂, O, Me, H, 235-6°, A, H₂O, 59; H, H₂, -, C₆H₁₁, Cl, 200-2°, C, MeCN, 25; H, H₂, O, Ph, H, 250°, A, repptd. from

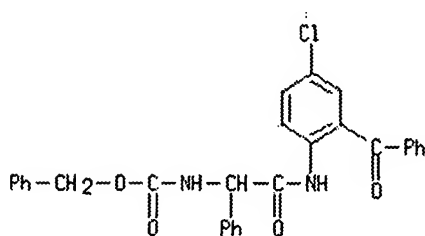
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alkali, 84; H, H₂, -, Ph, Me, 204-6°, D, PhMe, 77; H, H₂, O, Ph, Me, 234-6°, A, EtOAc, 90; H, H₂, -, Ph, Cl, 214-16°, C (D, E, F, H), alc., 27 (C); H, H (Me), -, Ph, Cl, 220-1°, C, alc., 30; H, H₂, O, 2-C₄H₃S, Cl, 255-6°, A, alc., 55; H, H₂, -, p-MeOC₆H₄, Cl, 213-14°, C, alc., 20; H, H₂, O, p-ClC₆H₄, Br, 260-1° (decompn.), A, alc., 67; Et, H₂, -, Ph, Cl, 129-31°, H, MeOH, 63; Et, H₂, O, Ph, Cl, 211-12°, G, alc., 22; Me₂NCH₂CH₂, H₂, O, Ph, Cl, 211-12°, G, alc.-Et₂O, 10; H, H(Ph), -, Me, Cl, 245-7°, F, alc., 50; H, Me₂, -, Ph, Cl, 209-11°, F, alc., 8.

IT 96272-60-5, Carbamic acid, [α -(2-benzoyl-4-chlorophenyl)carbamoyl]benzyl]-, benzyl ester (prepn. of)

RN 96272-60-5 CAPLUS

CN Carbamic acid, [α -(2-benzoyl-4-chlorophenyl)carbamoyl]benzyl]-, benzyl ester (7CI) (CA INDEX NAME)



L9 ANSWER 174 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1959:67497 CAPLUS

DN 53:67497

OREF 53:12203c-h

TI Cytoactive amino acids and peptides. VI. Synthesis of N'-(α -aminoacyl)-N,N-bis(2-chloroethyl)-p-phenylenediamines

AU Bergel, F.; Stock, J. A.

CS Chester Beatty Research Inst., London

SO Journal of the Chemical Society, Abstracts (1959) 97-9

CODEN: JCSAAZ; ISSN: 0590-9791

DT Journal

LA Unavailable

AB A no. of N'-(α -(benzyloxycarbonylamino)-acyl-N,N-bis(2-chloroethyl)-p-phenylenediamines and 2 derived α -aminoacyl compds. are described. Tests of the latter on exptl. tumors failed to give promising results. The following prepn. illustrates the general method: ClCO₂Et (0.19 ml.) added to 0.28 mg. NEt₃ and 418 mg. benzyloxycarbonylglycine in 4 ml. dioxane, the flask stoppered and left 10 min. in ice H₂O, and set aside 5 min. at room temp. with 538 mg. N,N-bis(2-chloroethyl)-p-phenylenediamine HCl salt and 0.28 ml. NEt₃ in 2 ml. dioxane and 1 ml. H₂O, and addn. of H₂O pptd. 61% N'-benzyloxycarbonylglycyl-N,N-bis(2-chloroethyl)-p-phenylenediamine (I), m. 144-5° (alc.). The following analogs of I, p-PhCH₂OCONHCH₂CONHC₆H₄N(CH₂CH₂Cl)₂, were similarly prepd. (R, isomer, crystn. solvents, m.p., and % yield given): Me, DL, aq. alc., 126-7°, 44; Me₂CHCH₂, L, aq. MeOH, 124-5°, 64; MeSCH₂CH₂, DL- pentanol-ligroine, 94-5°, 53; PhCH₂, DL (II), alc., 146-7°, 72. In the prepn. of the Me₂CHCH₂ deriv. CHCl₃ and isobutyl chloroformate were used in place of dioxane and ClCO₂Et. The above benzyloxycarbonyl derivs. were best deacylated by the action of HCl in HCO₂H or by HBr in AcOH. Only the glycine and the DL-phenylalanine compd. gave cryst. nondeliquescent salts. The following typical examples are given. I (1.69 g.) in 20 ml. satd. soln. of HCl in 98% HCO₂H left 2

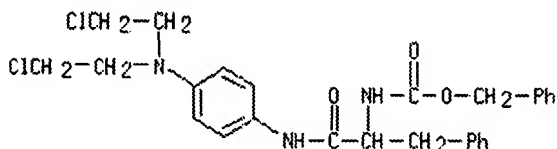
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days at room temp., the soln. evapd. to dryness in vacuo, and the residual gum treated with 1 ml. H₂O, and evapd. gave 72% N'-glycyl-N,N-bis(2-chloroethyl)-p-phenylenediamine-HCl (III), m. 250-1° (MeOH). II (650 mg.) in an approx. molar soln. of HBr in 8 ml. AcOH kept 16 hrs. at room temp., and treated with N'-DL-phenylalanyl-N,N-bis(2-chloroethyl)-p-phenylenediamine, m. 103-4° (H₂O). The following HX.H₂NCH₂CONHC₆H₄N(CH₂CH₂Cl)₂-p were similarly prepd. (X, R, isomer, solvent of crystn., m.p., and % yield given): Br, H, -, H₂O, 232-4°, 50; Cl, PhCH₂, DL, MeOH-Et₂O, 112-14°, 76. Hydrogenolysis of the phenylalanine analog of I over PtO₂ in MeOH failed, while HCl in AcOH had little effect at room temp. for 48 hrs. Attempts to convert the product into picrates or reineckates were not successful.

IT 104095-60-5, Carbamic acid, {α-[[p-[bis(2-chloroethyl)amino]phenyl]carbamoyl]phenethyl}-, benzyl ester (prepn. of)

RN 104095-60-5 CAPLUS

CN Carbamic acid, {α-[[p-[bis(2-chloroethyl)amino]phenyl]carbamoyl]phenethyl}-, benzyl ester (6CI) (CA INDEX NAME)



L9 ANSWER 175 OF 175 CAPLUS COPYRIGHT 2003 ACS on STN

Full Text

AN 1958:92829 CAPLUS

DN 52:92829

OREF 52:16333c-i,16334a-h

TI Degradative studies on peptides and proteins. IV. Formation of salts of 2-acylaminothiazol-5-ones by acid-catalyzed degradation of N-acylthiocarbamoylpeptides and their behavior towards nucleophilic reagents

AU Elmore, D. T.; Toseland, P. A.

CS Univ. Sheffield, UK

SO Journal of the Chemical Society, Abstracts (1957) 2460-6

CODEN: JCSAAZ; ISSN: 0590-9791

DT Journal

LA Unavailable

AB cf. C.A. 51, 3570h. N-(Acylthiocarbamoyl)peptides and their esters gives salts of 2-acylaminothiazol-5-ones. Nucleophilic reagents open the heterocyclic ring to give N-(acylthiocarbamoyl)amino acid derivs. which have been identified by comparison of infrared spectra and mixed m.ps. with samples prepd. by unambiguous routes. The mixed acid anhydride procedure of Vaughan and Osato (C.A. 47, 9918d) gave 57% N-(benzyloxycarbonyl)glycine p-toluidide (I), m. 153-4° (CHCl₃-petr. ether), which on treatment with HBr in AcOH (Ben-Ishai, C.A. 49, 3015i) gave glycine p-toluidide-HBr in quant. yield. N-benzyloxycarbonyl-DL-alanine p-toluidide, m. 153-3.5°, was prepd. via mixed acid anhydride by using ClCO₂Et (58%) or tetraethyl pyrophosphite (Anderson, et al., C.A. 48, 2590d) (96%). By the pyrophosphite procedure N-benzyloxycarbonyl-DL-phenylalanine p-toluidide, m. 157°, and N-benzyloxycarbonyl-DL-norleucine p-toluidide (II), m. 149.5-50.5°, were prepd. in theoretical yield. DL-Alanine p-toluidide-HBr, m. 203-4°, and DL-phenylalanine p-toluidide-HBr, m. 210-10.5° (as monohydrate), were prepd. from the respective N-benzyloxycarbonyl compd. Hydrogenation of II in MeOH contg. AcOH over

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Pd gave 75% DL-norleucine p-toluidide, m. 63.5-64°.

N-Benzoyloxycarbonylglycine, benzyl p-aminobenzoate, and tetrapyrophosphite in di-Et H phosphite at 100° 1 hr. gave N-(benzyloxycarbonyl)glycine p-benzyloxycarbonylanilide, m 144-5°, in quant. yield; hydrogenation of 5.8 g. in MeOH and dioxane over Pd gave 2.2 g. glycine p-carboxanilide, m. 240° (decompn.) [King, et al., C.A. 49, 10228h, reported 300° (decompn.), and Tropp, C.A. 22, 4513, 229° (decompn.), for the monohydrate]. 2-Benzamidothiazol-5-one-HCl (III), m. 192-3° (decompn.), λ 2440 (ϵ 17,800) (CH₂Cl₂), was prepd. from N-(benzoylthiocarbamoyl)glycine (IV) by treatment with PCl₃ in Et₂O-dioxane (Aubert, C.A. 46, 8549g) and by passing dry HCl through a suspension of N-(benzoylthiocarbamoyl)glycylglycine Et ester in dry MeNO₂ at 0°. 2-Benzamidothiazol-5-one hydrobromide was prepd. as described (loc. cit.), m. 206-7° (decompn.), λ 2460-80 (ϵ 17,100) (CH₂Cl₂). When 20 mg. III was heated in 4 cc. boiling H₂O 5 min. and cooled, 14 mg. IV, m. 202-3°, was obtained. III (100 mg.) heated in 10 ml. MeOH and the product sepd. by the addn. of Et₂O gave Me ester of IV, identical with that prepd. by the method of Douglass and Dains (C.A. 28, 27109), m. 98°; III Et ester, 85%, m. 129°. IV (476 mg.), 198 mg. cyclohexylamine, and 542 mg. tetra-Et pyrophosphite in 2 cc. di-Et H phosphate were kept at 90° 1 hr. On the addn. of H₂O 478 mg. cyclohexylamide (V) of IV was pptd., m. 204-5° (EtOH). To 165 mg. cyclohexylamine in 10 ml. CHCl₃, 360 mg. III was added, the soln. warmed, shaken with C, filtered, and washed with dil. HCl, H₂O, satd. NaHCO₃, and H₂O, and evapd. to dryness, giving 118 mg. V, m. 203.5-4° (EtOH). III (1.4 g.) and 1.5 g. dry NH₄CNS in 25 ml. glacial AcOH heated on a steam bath until soln. was complete and poured into 300 ml. H₂O pptd. 0.92 g. 1-benzoylthiocarbamoyl-2-thiohydantoin, m. 189-92° (decompn.) (EtOAc-petr. ether). N-Benzoylthiocarbamoyl-DL-alanine p-toluidide (VI), m. 207-7.5° (EtOH), was obtained from DL-alanine p-toluidide with either benzoyl isothiocyanate in ether (92%) or Me N-benzoyldithiocarbamate (VII) in EtOH-Et₂O (89%). It was also prepd. from 167 mg. 2-benzamido-4-methylthiazol-5-one-HCl (VIII) and 66 mg. p-toluidine in 5 cc. CHCl₃. Addn. of light petroleum (b. 40-60°) to the cooled filtered soln. gave 86 mg. VI, m. 207.5° (EtOH). When 300 mg. VI in 9 cc. AcOH satd. with dry HCl was shaken 1 hr. and 7 cc. dry Et₂O added, 150 mg. VIII, m. 187-9° (decompn.), was obtained. VII with DL-alanine gave 74% N-benzoylthiocarbamoyl-DL-alanine (IX) which sepd. from EtOH with a mol. of solvent of crystn., m. 144°; after drying 6 hrs. at 120°/0.05 mm. IX, it m. 157°. Soln. of VIII in boiling H₂O and cooling also gave 92% IX, m. 155-7°; Et ester, 77%, m. 121°. Me N-(2,4-dichlorobenzoyl)dithiocarbamate (X) (C.A. 51, 4309i) with glycylglycine Et ester in CHCl₃ at room temp. during 2 days yielded 77% N-(2,4-dichlorobenzoyl)thiocarbamoylglycylglycine Et ester (XI), m. 206.5-7° (decompn.) (PrOH). XI (1 g.) in 5 cc. F₃CCO₂H was left at room temp. 4 hrs., poured into 200 cc. dry Et₂O, left 15 min. at 0°, filtered, the filtrate evapd. in vacuo to 50 cc., and light petroleum (b. 40-60°) added pptd. after 15 min. at 0° 2-(2,4-dichlorobenzamido)thiazol-5-one trifluoroacetate (XII), which on refluxing with EtOH yielded 45% N-(2,4-dichlorobenzoyl)thiocarbamoylglycine Et ester (XIII), m. 142.5-3.5°. X with glycine Et ester in CHCl₃ also gave 50% XIII, m. 145.5-6.5° (CCl₄). X with glycine in 67% aq. dioxane at pH 8.8 and 37° during 5.25 hrs. and isolation in the usual way gave 91% N-(2,4-dichlorobenzoyl)thiocarbamoylglycine, m. 197.5-9° (decompn.) also obtained in 37% yield by refluxing XII in 25% dioxane. Warming XIII and p-aminobenzoic acid in 2:1 CHCl₃-dioxane gave 82% N-(2,4-dichlorobenzoyl)thiocarbamoylglycine p-carboxanilide, m. 260-1°. 2-Acetamidothiazol-5-one-HCl was prepd. in 84% yield by treatment of N-acetylthiocarbamoylglycine (XIV) in Et₂O-dioxane and by degradation of the p-toluidide of XIV in AcOH satd. with HCl. The

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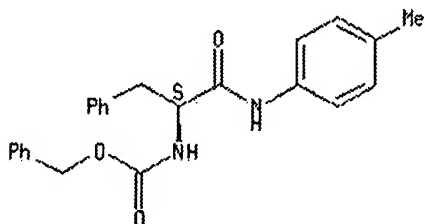
product, m. 178-83° (decompn.), and 176° (decompn.), resp., was very unstable and reverted to XIV over a 3-week period. 2-Acetamidothiazol-5-one trifluoroacetate (XV), m. 105-6°, was prepd. as described for XII from N-acetylthiocarbamoylglycylglycine Et ester (m. 166°, not 112° as previously reported). XV with hot H2O and hot EtOH gave, resp., XIV, m. 200-2°, and its Et ester, m. 105°, with p-toluidine in warm CHCl3 the p-toluidide of XIV, m. 237-9° (decompn.), and with Et p-aminobenzoate in CHCl3 the p-ethoxycarbonylanilide of XIV, m. 226°. The p-toluidide and the p-ethoxycarbonylanilide derivs. were identical with samples prepd. from XIV by the pyrophosphite procedure. XV with p-aminobenzoic acid in dry dioxane at room temp. overnight gave the p-carboxanilide of XIV, m. 260° (decompn.), also prepd. from glycine p-carboxyanilide and Me N-acetyldithiocarbamate (XVI). DL-Alanine p-toluidide and XVI in 4:1 EtOH-Et2O at room temp. yielded 93% N-acetylthiocarbamoyl-DL-alanine p-toluidide, m. 218° (EtOH). Degradation in AcOH satd. with HCl yielded 2-acetamido-4-methylthiazol-5-one-HCl, m. 144-8° (decompn.), also obtained in 76% yield from the reaction of N-acetylthiocarbamoyl-DL-alanine with PCl3 in Et2O-dioxane. VII with DL-phenylalanine p-toluidide in CHCl3 at room temp. gave 58% N-benzoylthiocarbamoyl-DL-phenylalanine p-toluidide, m. 176-6.5° (EtOH). DL-Norleucine p-toluidide and VII yielded 85% N-benzoylthiocarbamoyl-DL-norleucine p-toluidide, m. 179-9.5° (EtOH-petr. ether).

IT 20998-88-3, Carbamic acid, (α -p-tolylcarbamoylphenethyl)-, benzyl ester
(prepn. of)

RN 20998-88-3 CAPLUS

CN Carbamic acid, [α -(p-tolylcarbamoyl)phenethyl]-, benzyl ester, L-
(8CI) (CA INDEX NAME)

Absolute stereochemistry.



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FILE 'CAPLUS' ENTERED AT 18:09:14 ON 27 JUL 2003
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